Electronic Supplementary Information for

Copper-catalyzed three-component radical aminoazolation of vinylarenes with *N*-fluorobenzenesulfonimide and trimethylsilylazole derivatives

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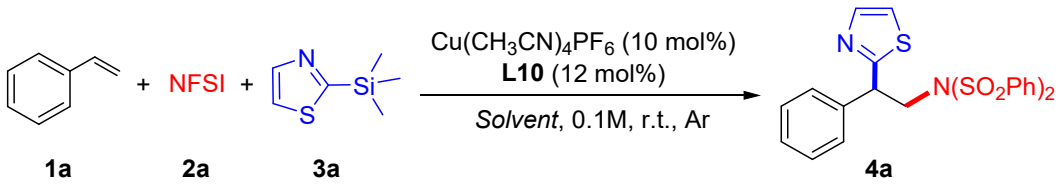
1. General Information

Instrumentation. All manipulations were performed under argon atmosphere using the standard Schlenk-line or glove-box technique. All catalytic reactions were carried out in an oven-dried glass tube with rubber stopper caps. All the solvents were purified by usual methods before use unless otherwise noted. NMR spectra were collected on a Bruker Model AV-500 instrument. The chemical shifts are given in ppm relative to $CDCl_3$ (7.26 ppm for ^1H; 77.16 ppm for ^{13}C). Elemental analysis (C, H, N, S) was performed on a Vario EL III elemental analyzer. Single-crystal data was performed on a Bruker Smart APEX II CCD single-crystal X-ray diffractometer. HRMS were measured on an Agilent model 6220-TOF mass spectrometer.

Materials. The starting material 2-(trimethylsilyl)benzoxazole was synthesized according to literature procedures.[S1] **1x** was synthesized according to a published method.[S2] Other commercially available compounds were purchased from Aldrich, Aladdin, Adamas, etc. and were used as received. Abbreviations: Tol = toluene; PhCl = chlorobenzene; DCM = chlorobenzene; THF = tetrahydrofuran; MTBE = methyl *tert*-butyl ether or 2-methoxy-2-methylpropane; DCE = 1,2-dichloroethane; CH_3CN = acetonitrile; DMSO = dimethyl sulfoxide; DMF = *N*,*N*-dimethylformamide

2. Optimization Studies

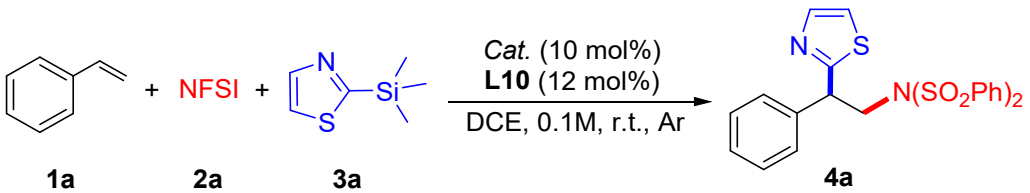
Table S1. Reaction condition optimization: screening of different solvents[a]



Entry	*Solvent*	Yield[b] (%)
1	Tol	N.D.[c]
2	PhCl	22
3	DCM	36
4	THF	<5
5	MTBE	N.D.
6	DCE	63
7	Acetone	27
8	CH_3CN	60
9	DMSO	N.D.
10	DMF	N.D.

[a]Reaction condition: $Cu(CH_3CN)_4PF_6$ (10 mol%) and **L10** (12 mol%) were dissolved in 1.0 mL solvent at room temperature under argon atmosphere for 0.5 h, then **1a** (0.10 mmol), **2a** (0.15 mmol), **3a** (0.20 mmol) were added to the above reaction system for 12 h. [b]Based on ^1H NMR (500 MHz) analysis of the reaction mixtures with 1,3,5-trimethoxybenzene as an internal standard (±5% integration error). [c]N.D. = Not Detected.

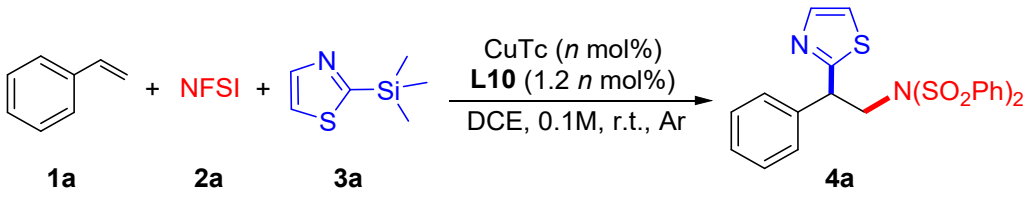
Table S2. Reaction condition optimization: screening of different copper salts[a]



Entry	*Cat.*	Yield[b] (%)
1	$Cu(CH_3CN)_4PF_6$	63
2	CuCl	85
3	CuBr	25
4	CuI	N.D.[c]
5	CuOAc	89
6	CuCN	93
7	CuTc	94
8	$Cu(OTf)_2$	88
9	–	N.D.

[a]Reaction condition: Cat. (10 mol%) and **L10** (12 mol%) were dissolved in 1.0 mL DCE at room temperature under argon atmosphere for 0.5 h, then **1a** (0.10 mmol), **2a** (0.15 mmol), **3a** (0.20 mmol) were added to the above reaction system for 12 h. [b]Based on ^{1}H NMR (500 MHz) analysis of the reaction mixtures with 1,3,5-trimethoxybenzene as an internal standard (±5% integration error). [c]N.D. = Not Detected.

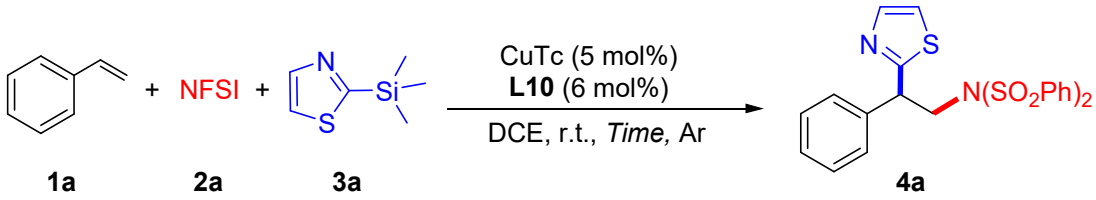
Table S3. Reaction condition optimization: screening of catalyst loading[a]



Entry	*n* (mol%)	Yield[b] (%)
1	10	94
2	5	93
3	2	85

[a]Reaction condition: CuTc (n mol%) and **L10** (1.2 n mol%) were dissolved in 1.0 mL DCE at room temperature under argon atmosphere for 0.5 h, then **1a** (0.10 mmol), **2a** (0.15 mmol), **3a** (0.20 mmol) were added to the above reaction system for 12 h. [b]Based on ^{1}H NMR (500 MHz) analysis of the reaction mixtures with 1,3,5-trimethoxybenzene as an internal standard (±5% integration error).

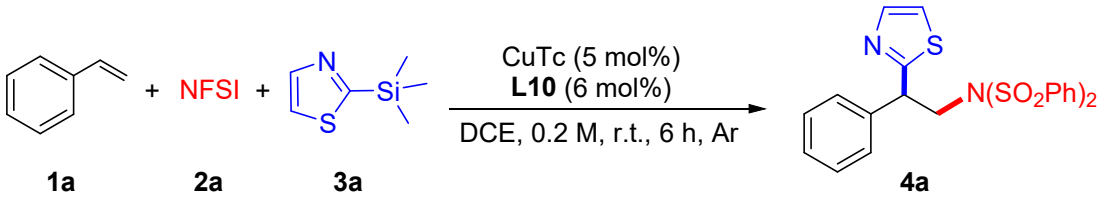
Table S4. Reaction condition optimization: screening of ratio of substrates, reaction time, and solvent volume[a]



Entry	**2a** (*x* equiv.)	**3a** (*y* equiv.)	*Time* (h)	Yield[b] (%)
1	1.5	2.0	12	93
2	1.4	2.0	12	93
3	1.2	2.0	12	90
4	1.4	1.5	12	93
5	1.4	1.5	6	93
6	1.4	1.5	3	89
7[c]	1.4	1.5	6	93

[a]Reaction condition: CuTc (5 mol%) and **L10** (6 mol%) were dissolved in 1.0 mL DCE at room temperature under argon atmosphere for 0.5 h, then **1a**, **2a,** 3**a** were added to the above reaction system. [b]Based on ^{1}H NMR (500 MHz) analysis of the reaction mixtures with 1,3,5-trimethoxybenzene as an internal standard (±5% integration error). [c]0.5 mL DCE.

Scheme S1. Optimal conditions for the aminoazolation reaction.



Optimal conditions for the aminoazolation reaction. 5 mol% CuTc and 6 mol% **L10** were stired in DCE (0.2 M) at room temperature for 0.5 h. To the mixture were added 1 equiv. of styrene, 1.4 equiv. of NFSI, and 1.5 equiv. of 2-(trimethylsilyl)thiazole at room temperature for 6 h, affording **4a** in 93% NMR yield and 92% isolated yield.

Experimental procedure for ^{1}H NMR yield analysis. Upon reaction completion, a precisely weighed amount of 1,3,5-trimethoxybenzene was added to the crude reaction mixture as an internal standard. The mixture was stirred for 3 minute to ensure homogeneity. A 100 μL aliquot was transferred to an NMR tube using a calibrated micropipette. Then the solvent was evaporated and diluted with 500 uL $CDCl_3$ for ^{1}H NMR analysis.

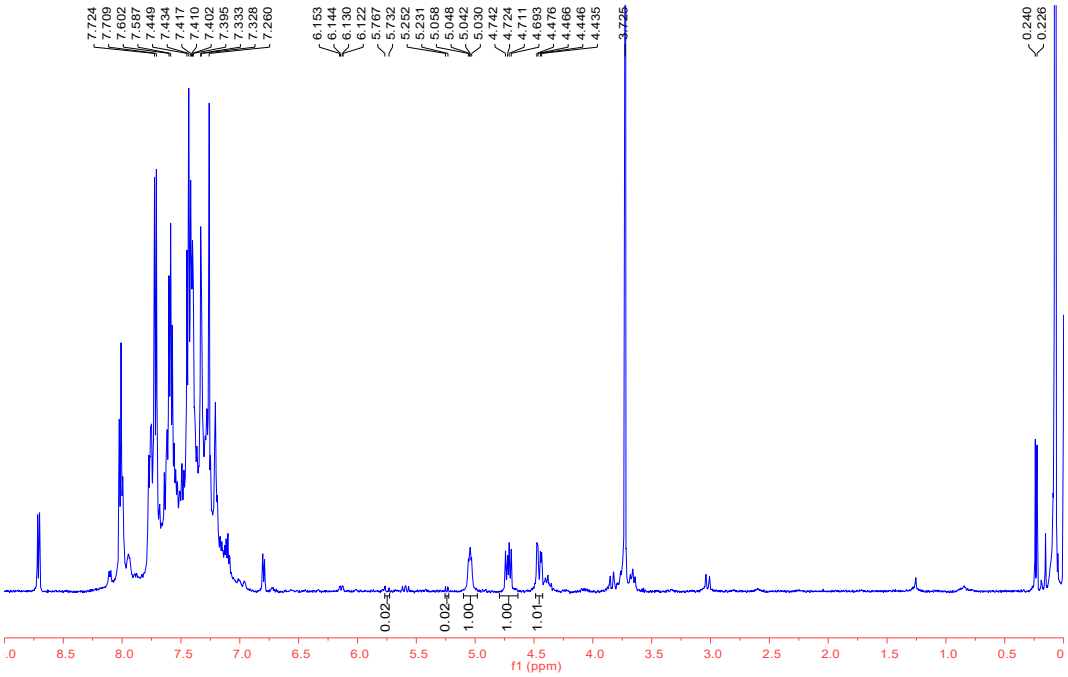


Figure S1. Original ^{1}H NMR spectrum (500 MHz) of reaction system of **4a** in $CDCl_3$ at 25 ℃ with no internal standard

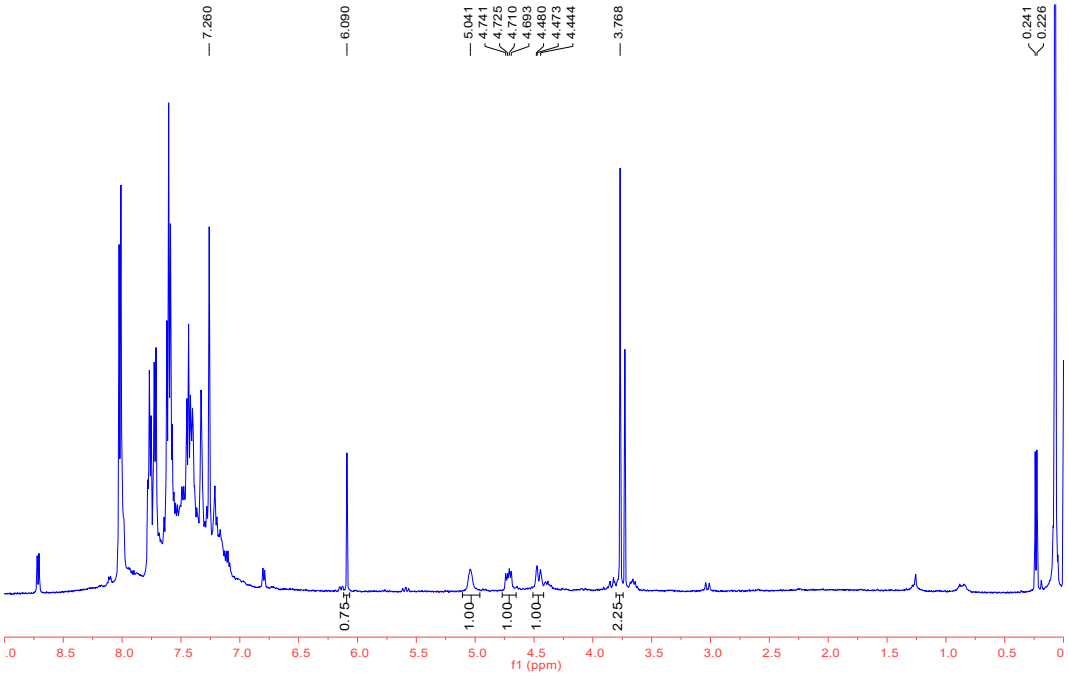
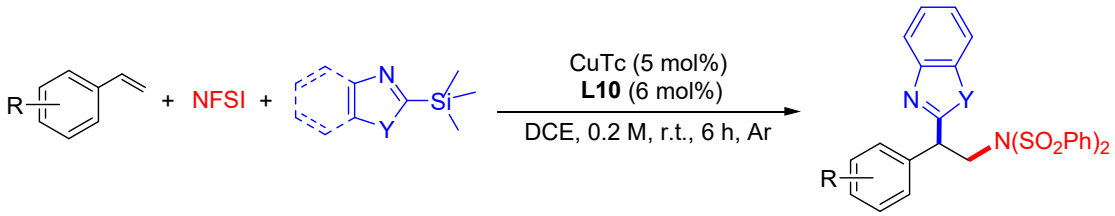


Figure S2. Original ^{1}H NMR spectrum (500 MHz) of reaction system of **4a** in $CDCl_3$ at 25 ℃ with internal standard

3. Experimental Procedures

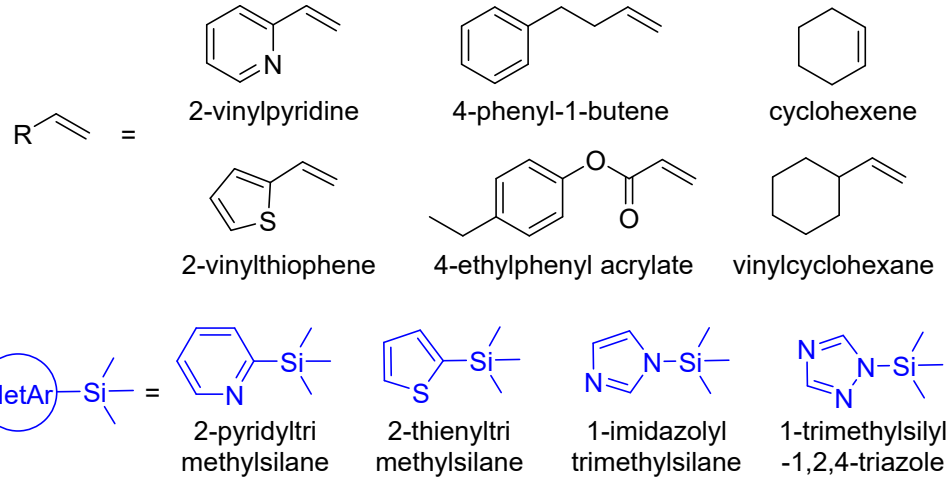
Caution: *Trimethylsilyl fluoride (TMSF) is a toxic compound. It can be hydrolyzed by moisture, evolving hydrogen fluoride that can cause burns, and inhalation of TMSF vapors may result in corrosive injuries to the respiratory tract and pulmonary edema. When conducting experimental operations, strict precautions must be taken. Use of a glovebox is highly recommended to minimize exposure and maintain a controlled environment. Adequate ventilation is also crucial to prevent the accumulation of TMSF vapors in the working area.*

Scheme S2. Aminoazolation of vinylarenes with NFSI and trimethylsilanylazoles

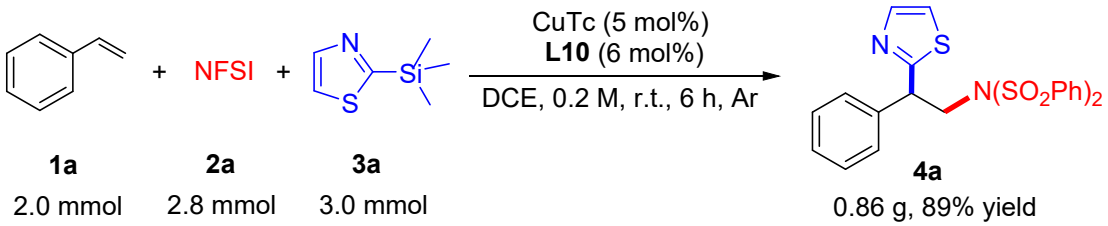


General procedure. Under argon atmosphere, an oven-dried Schlenk tube equipped with a magnetic stir bar was charged with CuTc (1.9 mg, 0.01 mmol, 0.05 equiv), **L10** (2.5 mg, 0.012 mmol, 0.06 equiv.) and anhydrous DCE (1.0 mL), the mixture was stirred at room temperature for 0.5 h. Then vinylarene (0.2 mmol, 1.0 equiv.), NFSI (88.2 mg, 0.28 mmol, 1.4 equiv.), and trimethylsilyl reagent (0.3 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. Upon completion (monitored by TLC), the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the product.

Scheme S3. Unsuccessful substrates of alkenes and heteroaryltrimethylsilyl reagents



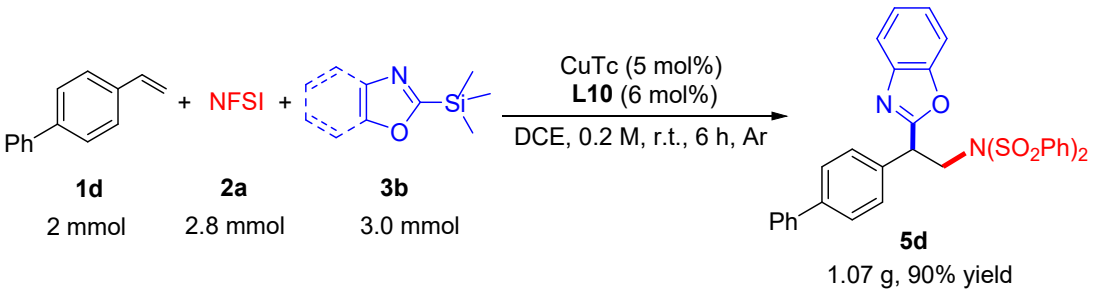
Scheme S4. 2.0 mmol scale experiment for aminoazolation product **4a**.



Experimental procedure for a 2.0 mmol scale experiment for aminoazolation product 4a. Under argon atmosphere, an oven-dried Schlenk tube equipped with a magnetic stir bar was charged with CuTc (19 mg, 0.1 mmol, 0.05 equiv), **L10** (25 mg, 0.12 mmol, 0.06 equiv.) and anhydrous DCE (5.0 mL), the mixture was stirred at room temperature for 0.5 h. Then styrene (208 mg, 2.0 mmol, 1.0 equiv.), NFSI (882 mg, 2.8 mmol, 1.4 equiv.), and 2-(trimethylsilyl)thiazole (471 mg, 3.0 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. Upon completion (monitored by TLC), the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (30 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was

evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the product **4a** (0.86 g, 89% yield).

Scheme S5. Gram-scale experiment for aminoazolation product **5d**.

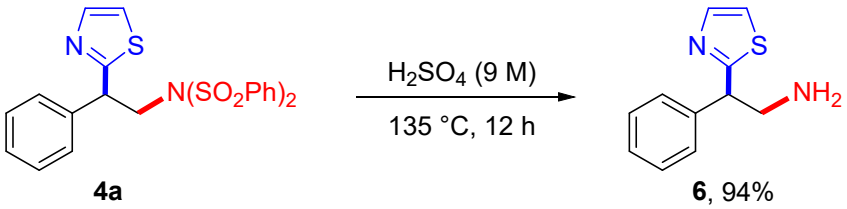


1d 2 mmol | **2a** 2.8 mmol | **3b** 3.0 mmol

5d 1.07 g, 90% yield

Experimental procedure for a gram-scale reaction of 5d. Under argon atmosphere, an oven-dried Schlenk tube equipped with a magnetic stir bar was charged with CuTc (19 mg, 0.1 mmol, 0.05 equiv), **L10** (25 mg, 0.12 mmol, 0.06 equiv.) and anhydrous DCE (5.0 mL), the mixture was stirred at room temperature for 0.5 h. Then 4-vinylbiphenyl (360 mg, 2.0 mmol, 1.0 equiv.), NFSI (882 mg, 2.8 mmol, 1.4 equiv.), and 2-(trimethylsilyl)bezoxazole (574 mg, 3.0 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. Upon completion (monitored by TLC), the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the product **5d** (1.07 g, 90% yield).

Scheme S6. Transformation of aminoazolation product **4a**.

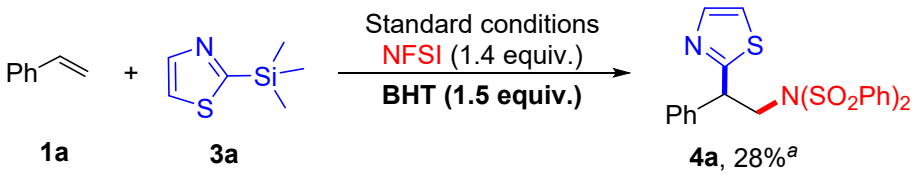


4a | **6**, 94%

Experimental procedure for synthesis of 2-phenyl-2-(thiazol-2-yl)ethan-1-amine (6). [S3] Under argon atmosphere, a Schlenk tube equipped with a magnetic stir bar was charged with **4a** (97 mg, 0.2 mmol) and aq. H_2SO_4 (9.0 M, 2.0 mL), the mixture was stirred at 135 ºC for 12 h. After the reaction mixture was washed with Et_2O at room temperature, the water layer was deacidified with aq. NaOH (2.0 M) until pH = 14 and then extracted with CH_2Cl_2 (8 mL × 3). The combined organic layers were washed with brine, dried over anhydrous $MgSO_4$. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with dichloromethane/methyl alcohol (4:1) to afford the product **6** (38 mg, 94% yield).

4. Mechanism studies

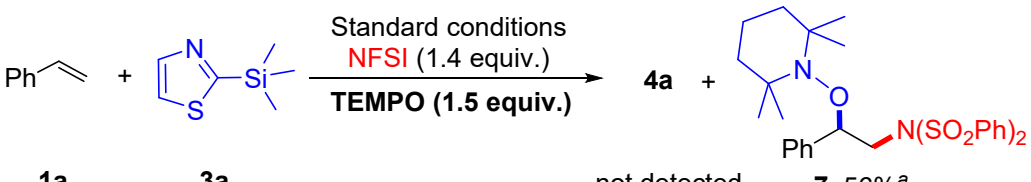
Scheme S7. Radical inhibiting experiment with BHT



1a **3a** **4a**, 28%[a]

The reaction was conducted following above general procedure. To an oven-dried Schlenk tube with a magnetic stir bar, CuTc (1.9 mg, 0.01 mmol, 0.05 equiv), **L10** (2.5 mg, 0.012 mmol, 0.06 equiv.) were dissolved in anhydrous DCE (1.0 mL) under argon atmosphere, the mixture was stirred at room temperature for 0.5 h. Then styrene (20.8 mg, 0.2 mmol, 1.0 equiv.), BHT (66.1 mg, 0.3 mmol, 1.5 equiv.), NFSI (88.2 mg, 0.28 mmol, 1.4 equiv.), and 2-(trimethylsilyl)thiazole (47.2 mg, 0.3 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. After that, the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the product **4a** (27.1 mg, 28% yield).

Scheme S8. Radical trapping experiment with TEMPO

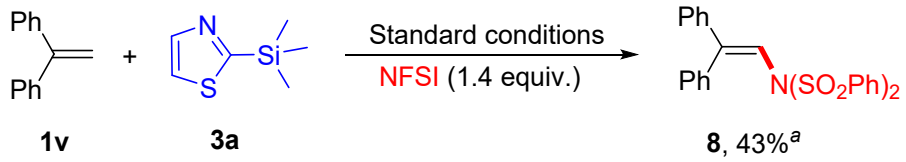


1a **3a** not detected **7**, 56%[a]

The reaction was conducted following above general procedure. To an oven-dried Schlenk tube with a magnetic stir bar, CuTc (1.9 mg, 0.01 mmol, 0.05 equiv), **L10** (2.5 mg, 0.012 mmol, 0.06 equiv.) were dissolved in anhydrous DCE (1.0 mL) under argon

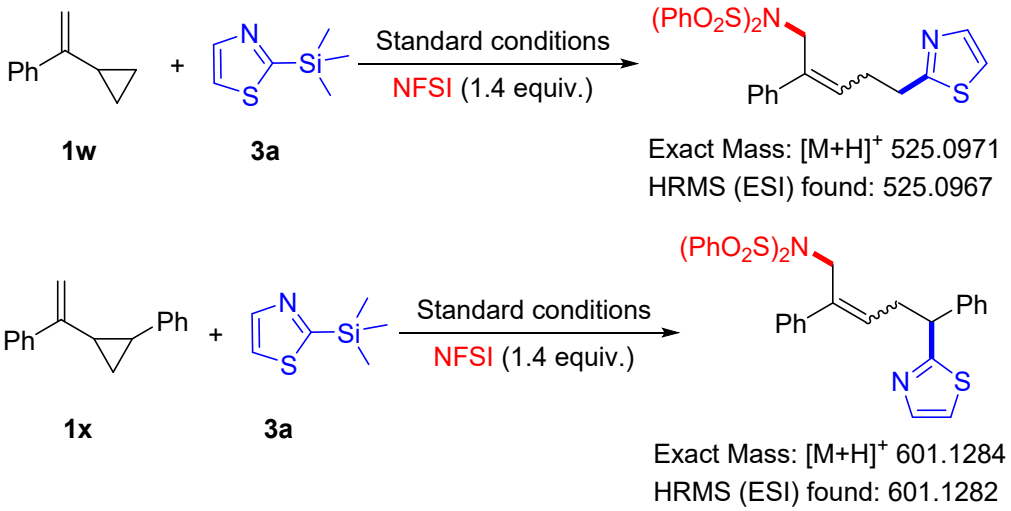
atmosphere, the mixture was stirred at room temperature for 0.5 h. Then styrene (20.8 mg, 0.2 mmol, 1.0 equiv.), TEMPO (46.9 mg, 00.3 mmol, 1.5 equiv.), NFSI (88.2 mg, 0.28 mmol, 1.4 equiv.), and 2-(trimethylsilyl)thiazole (47.2 mg, 0.3 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. After that, the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the aminooxygenated product **7** (62.2 mg, 56% yield).

Scheme S9. C-H amination of 1,1-disubstituted alkene **1u** with NFSI



The reaction was conducted following general above procedure. To an oven-dried Schlenk tube with a magnetic stir bar, CuTc (1.9 mg, 0.01 mmol, 0.05 equiv), **L10** (2.5 mg, 0.012 mmol, 0.06 equiv.) were dissolved in anhydrous DCE (1.0 mL) under argon atmosphere, the mixture was stirred at room temperature for 0.5 h. Then **1v** (36.1 mg, 0.2 mmol, 1.0 equiv.), NFSI (88.2 mg, 0.28 mmol, 1.4 equiv.), and 2-(trimethylsilyl)thiazole (47.2 mg, 0.3 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. After that, the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the crude residue was purified by silica gel column chromatography eluting with *n*-hexane/ethyl acetate (4:1) to afford the aminooxygenated product **8** (62.2 mg, 56% yield).

Scheme S10. Radical clock experiments



The reaction was conducted according to the general procedure for the aminoazolation reaction. To an oven-dried Schlenk tube with a magnetic stir bar, CuTc (1.9 mg, 0.01 mmol, 0.05 equiv), **L10** (2.5 mg, 0.012 mmol, 0.06 equiv.) were dissolved in anhydrous DCE (1.0 mL) under argon atmosphere, the mixture was stirred at room temperature for 0.5 h. Then alkene**s** **1w** or **1x** (0.2 mmol, 1.0 equiv.), NFSI (88.2 mg, 0.28 mmol, 1.4 equiv.), and 2-(trimethylsilyl)thiazole (47.2 mg, 0.3 mmol, 1.5 equiv.) were sequentially added into the mixture and stirred at room temperature for 6 h. After that, the mixture was quenched with saturated aqueous $NaHCO_3$. The resulting mixture was extracted with DCE (10 mL × 3). The combined organic layers were washed with brine, dried over anhydrous Na_2SO_4. The filtrate was evaporated and the ^1H NMR yield of crude product was below 10% with 1,3,5-trimethoxybenzene as an internal standard, then the crude product was analyzed by HRMS.

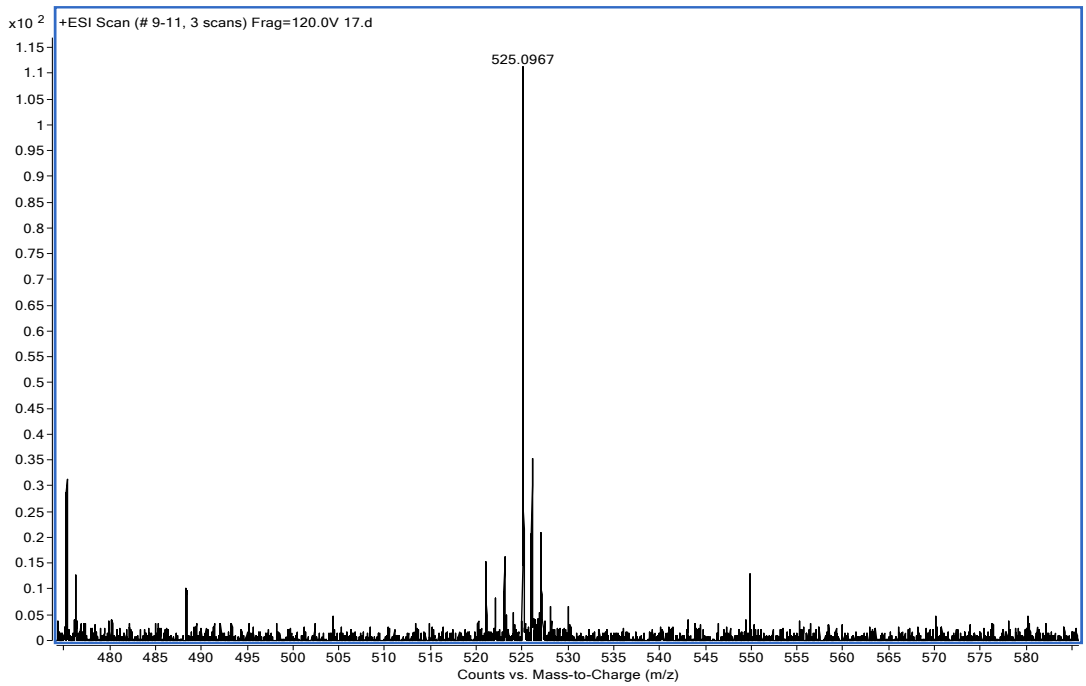


Figure S3. HRMS spectrum using **1v** as the substrate

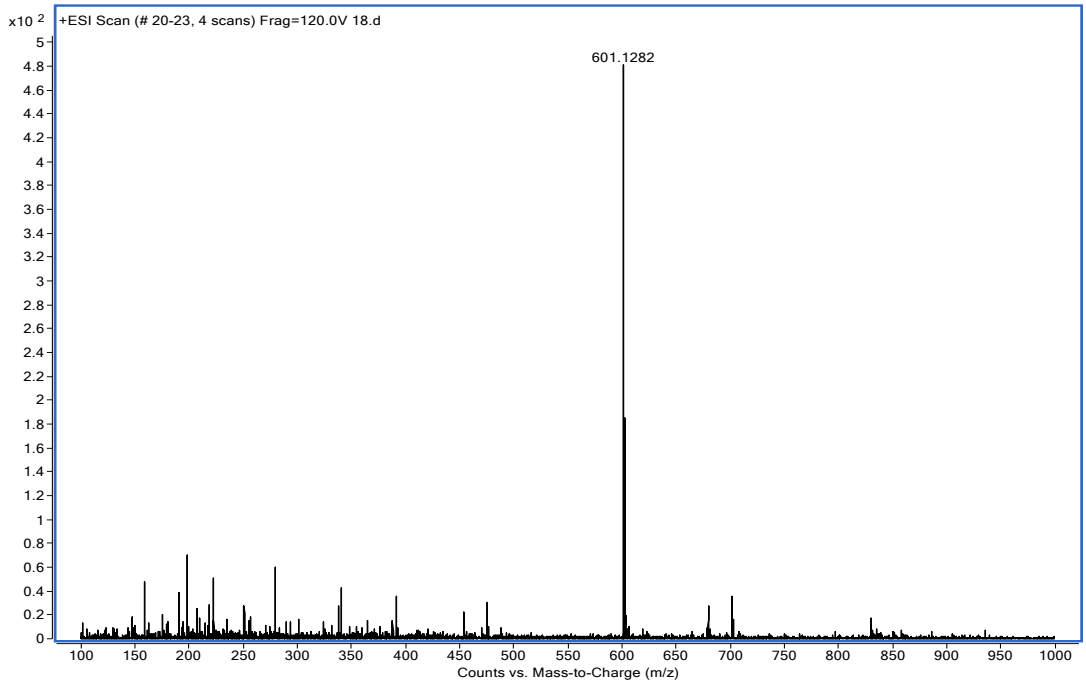
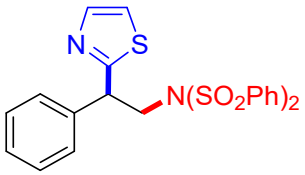


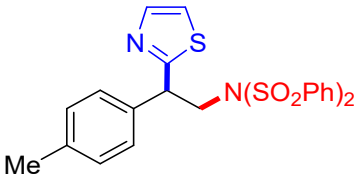
Figure S4. HRMS spectrum using **1w** as the substrate

5. Spectral Data



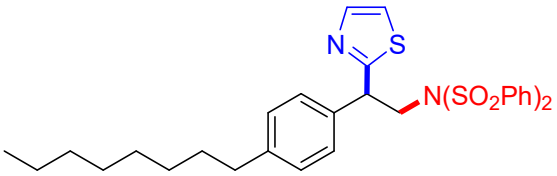
4a

***N*-(2-phenyl-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 104–105 °C. Yield 89 mg, 92%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.74–7.71 (m, 5H), 7.60 (t, J = 7.4 Hz, 2H), 7.52–7.40 (m, 6H), 7.36–7.31 (m, 3H) 7.20 (d, J = 3.2 Hz, 1H), 5.05 (dd, J = 8.9, 5.5 Hz, 1H), 4.72 (dd, J = 15.4, 9.1 Hz, 1H), 4.46 (dd, J = 15.5, 5.5 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.9, 143.2, 139.6, 139.5, 134.3, 130.0, 129.5, 129.2, 128.5, 119.9, 53.1, 50.0. HRMS: *m*/*z* (ESI) calcd. for $C_{23}H_{20}N_2O_4S_3$ $[M+H]^+$: 485.0658, found: 485.0656.



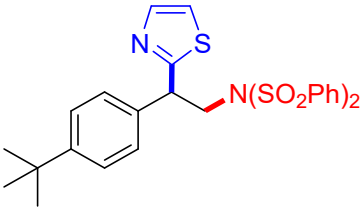
4b

***N*-(phenylsulfonyl)-*N*-(2-(thiazol-2-yl)-2-(*p*-tolyl)ethyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 109–110 °C. Yield 90 mg, 90%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.86–7.69 (m, J = 7.6 Hz, 5H), 7.62 (t, J = 7.4 Hz, 2H), 7.46 (t, J = 7.8 Hz, 4H), 7.31 (t, J = 7.4 Hz, 2H), 7.23 (d, J = 3.0 Hz, 1H), 7.16 (d, J = 7.7 Hz, 2H), 5.05 (dd, J = 8.9, 5.5 Hz, 1H), 4.74 (dd, J = 15.4, 9.2 Hz, 1H), 4.47 (dd, J = 15.4, 5.4 Hz, 1H), 2.40 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.1, 142.9, 139.38, 138.1, 136.4, 134.09, 130.0, 129.7, 129.2, 129.0, 119.6, 52.9, 49.5, 21.6. HRMS: *m*/*z* (ESI) calcd. for $C_{24}H_{22}N_2O_4S_3$ $[M+H]^+$: 499.0814, found: 499.0811.



4c

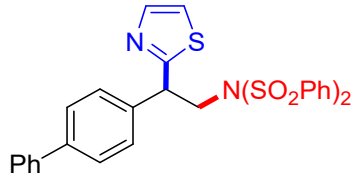
***N*-(2-(4-octylphenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). Colorless oil. Yield 104 mg, 87%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.73 (d, J = 7.5 Hz, 4H), 7.65 – 7.55 (m, 3H), 7.47 – 7.39 (m, 4H), 7.32 (d, J = 7.8 Hz, 2H), 7.23 – 7.17 (m, 1H), 7.14 (d, J = 7.8 Hz, 2H), 5.02 (dd, J = 8.7, 5.8 Hz, 1H), 4.68 (dd, J = 15.4, 8.7 Hz, 1H), 4.46 (dd, J = 15.4, 5.8 Hz, 1H), 2.68 – 2.57 (m, 2H), 1.65 – 1.57 (m, 2H), 1.34 – 1.21 (m, 10H), 0.88 (t, J = 6.6 Hz, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.9, 142.9, 142.6, 139.1, 136.1, 133.9, 129.3, 129.0, 128.8, 124.8, 119.3, 52.6, 49.2, 35.9, 32.0, 31.6, 29.6, 29.4, 22.8, 14.3. HRMS: *m*/*z* (ESI) calcd. for $C_{31}H_{36}N_2O_4S_3$ $[M+H]^+$: 597.1910, found: 597.1911.



4d

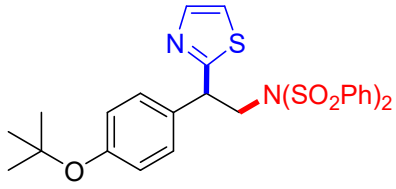
***N*-(2-(4-(tert-butyl)phenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 101–102 °C. Yield 94 mg, 87%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.84–7.69 (m, 5H), 7.62 (t, J = 7.4 Hz, 2H), 7.47 (t, J = 7.8 Hz, 4H), 7.43–7.37 (m, 4H), 7.21 (d, J = 3.2 Hz, 1H), 5.06 (dd, J = 8.2, 6.1 Hz, 1H), 4.70 (dd, J = 15.4, 8.6 Hz, 1H), 4.47 (dd, J = 15.4, 5.9 Hz, 1H), 1.38 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.0, 151.3, 143.1, 139.4 (d, J = 6.2 Hz), 136.2, 134.1 (d, J = 2.4 Hz), 129.3, 129.2, 129.0, 126.1, 119.5 (d,

J = 3.1 Hz), 52.7, 49.5, 35.0, 31.8. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{28}N_2O_4S_3$ $[M+H]^+$: 541.1284, found: 541.1291.



4e

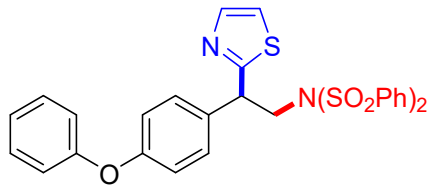
***N*-(2-([1,1'-biphenyl]-4-yl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 114–115 ℃. Yield 103 mg, 92%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.84–7.73 (m, 5H), 7.65 (d, J = 7.5 Hz, 2H), 7.62–7.57 (m, 4H), 7.55–7.48 (m, 4H), 7.47–7.39 (m, 5H), 7.26 (d, J = 3.2 Hz, 1H), 5.15 (dd, J = 8.7, 5.2 Hz, 1H), 4.84 (dd, J = 15.4, 9.3 Hz, 1H), 4.46 (dd, J = 15.5, 5.0 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.7, 143.1, 141.2, 140.8, 139.3, 138.3, 134.2, 130.3, 129.3, 129.3, 129.0, 127.9, 127.4, 119.7, 52.8, 49.5. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{24}N_2O_4S_3$ $[M+H]^+$: 561.0971, found: 561.0965.



4f

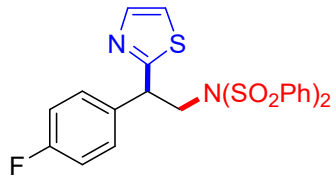
***N*-(2-(4-(tert-butoxy)phenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 106–107 ℃. Yield 100 mg, 90%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.81 – 7.74 (m, 5H), 7.61 (t, J = 7.5 Hz, 2H), 7.47 (t, J = 7.8 Hz, 4H), 7.32 (d, J = 1.7 Hz, 2H), 7.24 (d, J = 3.2 Hz, 1H), 6.94 (d, J = 8.5 Hz, 2H), 5.01 (t, J = 7.1 Hz, 1H), 4.63 – 4.50 (m, 2H), 1.35 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.0, 155.8, 143.0, 139.4, 134.1, 133.7, 130.1, 129.3, 128.9, 124.

4, 119.6, 78.9, 53.1, 49.1, 29.3. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{28}N_2O_5S_3$ $[M+H]^+$: 5 57.1233, found: 557.1225.



4g

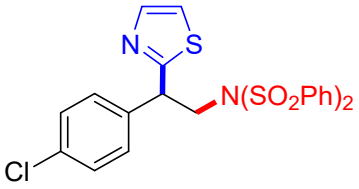
***N*-(2-(4-phenoxyphenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 118–119 °C. Yield 104 mg, 90%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.86 – 7.71 (m, 5H), 7.62 (t, J = 7.5 Hz, 2H), 7.48 (t, J = 7.8 Hz, 4H), 7.38 – 7.32 (m, 4H), 7.23 (d, J = 3.3 Hz, 1H), 7.13 (t, J = 7.4 Hz, 1H), 7.03 (d, J = 8.0 Hz, 2H), 6.94 (d, J = 8.2 Hz, 2H), 5.03 (dd, J = 8.8, 5.7 Hz, 1H), 4.66 (dd, J = 15.4, 8.8 Hz, 1H), 4.47 (dd, J = 15.4, 5.7 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.6, 157.4, 156.8, 142.5, 139.1, 134.0, 133.4, 130.8, 130.0, 129.1, 128.7, 123.8, 119.5, 119.3, 118.9, 52.9, 48.8. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{25}N_2O_5S_3$ $[M+H]^+$: 577.0920, found: 557.0914.



4h

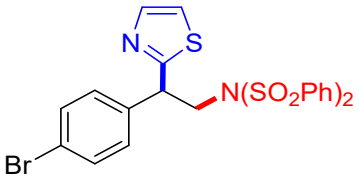
***N*-(2-(4-fluorophenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (80:1). White solid. Mp: 114–115 °C. Yield 80 mg, 80%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.85–7.75 (m, 5H), 7.63 (t, J = 7.4 Hz, 2H), 7.48 (t, J = 7.8 Hz, 4H), 7.37 (dd, J = 8.3, 5.4 Hz, 2H), 7.25 (d, J = 3.1 Hz, 1H), 6.99 (t, J = 8.6 Hz, 2H), 5.06 (dd, J = 9.1, 5.4 Hz, 1H), 4.71 (dd, J = 15.4, 9.2 Hz, 1H), 4.45 (dd, J = 15.5, 5.4 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.5, 162.9 (d, J = 246.8 Hz), 143.0, 139.4, 135.0, 134.2, 131.4 (d, J = 8.1 Hz), 129.3,

128.9, 119.7, 116.1 (d, J = 21.4 Hz), 53.2, 49.0. ^{19}F NMR (470 MHz, $CDCl_3$, 298 K)): δ (ppm) –114.24– –114.30 (m). HRMS: m/z (ESI) calcd. for $C_{23}H_{19}FN_2O_4S_3$ $[M+H]^+$: 503.0564, found: 503.0557.



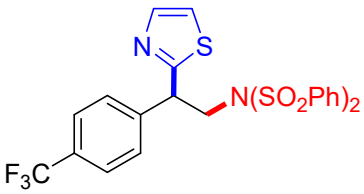
4i

***N*-(2-(4-chlorophenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 101–102 ℃. Yield 85 mg, 82%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.98–7.88 (m, 1H), 7.78 (d, J = 7.5 Hz, 4H), 7.63 (t, J = 7.4 Hz, 2H), 7.48 (t, J = 7.7 Hz, 4H), 7.37 (dd, J = 7.8, 5.7 Hz, 2H), 7.27–7.21 (m, 1H), 6.99 (t, J = 8.5 Hz, 2H), 5.06 (dd, J = 8.9, 5.4 Hz, 1H), 4.71 (dd, J = 15.4, 9.3 Hz, 1H), 4.44 (dd, J = 15.4, 5.1 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.5, 163.9, 161.9, 143.1, 140.7, 139.3, 135.0, 134.2, 133.7, 131.4 (d, J = 8.1 Hz), 129.3, 128.9, 128.0, 119.8, 116.1 (d, J = 21.5 Hz), 53.2, 49.0. HRMS: m/z (ESI) calcd. for $C_{23}H_{19}ClN_2O_4S_3$ $[M+Na]^+$: 541.0088, found: 541.0093.



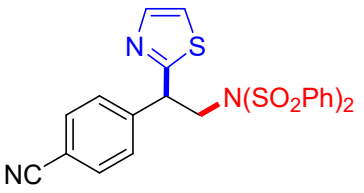
4j

***N*-(2-(4-bromophenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 91–92 ℃. Yield 93 mg, 83%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.84–7.72 (m, 5H), 7.65 (t, J = 7.5 Hz, 2H), 7.50 (t, J = 7.8 Hz, 4H), 7.43 (d, J = 8.3 Hz, 2H), 7.31–7.23 (m, 3H), 5.06 (dd, J = 9.5, 5.1 Hz, 1H), 4.77 (dd, J = 15.5, 9.6 Hz, 1H), 4.40 (dd, J = 15.5, 5.1 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 169.9, 143.1, 139.2, 138.3, 134.3, 132.4, 131.5, 129.4, 128.9, 122.6, 119.8, 52.9, 49.2. HRMS: m/z (ESI) calcd. for $C_{23}H_{19}BrN_2O_4S_3$ $[M+H]^+$: 562.9763, found: 562.9772.



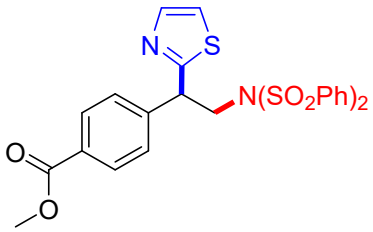
4k

***N*-(phenylsulfonyl)-*N*-(2-(thiazol-2-yl)-2-(4-(trifluoromethyl)phenyl)ethyl)benz enesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ ethyl acetate (4:1). White solid. Mp: 74–75 °C. Yield 79 mg, 72%. ^{1}H NMR (500 MH z, $CDCl_3$, 298 K): δ (ppm) 7.80–7.78 (m, 1H), 7.75 (d, J = 7.9 Hz, 4H), 7.63 (t, J = 7.4 Hz, 2H), 7.56 (d, J = 8.6 Hz, 4H), 7.47 (t, J = 7.8 Hz, 4H), 7.28–7.26 (m, 1H), 5.16 (d d, J = 9.1, 5.2 Hz, 1H), 4.80 (dd, J = 15.5, 9.3 Hz, 1H), 4.42 (dd, J = 15.5, 5.1 Hz, 1H) . ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 169.3, 143.2, 139.2, 134.4, 130.6 (q, J = 32.4 Hz), 130.2, 129.3, 128.9, 128.4, 126.2 (q, J = 3.2 Hz), 124.4 (q, J = 272.2 Hz), 119.9, 52.9, 49.5. ^{19}F NMR (470 MHz, $CDCl_3$, 298 K): δ (ppm) -62.40, (s). HR MS: *m*/*z* (ESI) calcd. for $C_{24}H_{19}F_3N_2O_4S_3$ $[M+H]^+$: 553.0532, found: 553.0524.



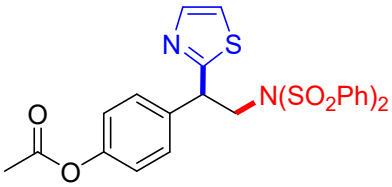
4l

***N*-(2-(4-cyanophenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfona mide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetat e (3:1). White solid. Mp: 109–110 °C. Yield 75 mg, 73%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.83 – 7.71 (m, 5H), 7.69 – 7.51 (m, 5H), 7.50 – 7.41 (m, 6H), 5.10 (dd, J = 9.3, 5.5 Hz, 1H), 4.70 (dd, J = 15.6, 9.3 Hz, 1H), 4.42 (dd, J = 15.6, 5.5 Hz, 1 H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 168.2, 144.1, 143.1, 138.9, 13 4.2, 132.6, 130.2, 129.2, 128.6, 119.8, 118.7, 112.0, 53.0, 49.3. HRMS: *m*/*z* (ESI) calc d. for $C_{24}H_{19}N_3O_4S_3$ $[M+H]^+$: 510.0610, found: 510.0608.



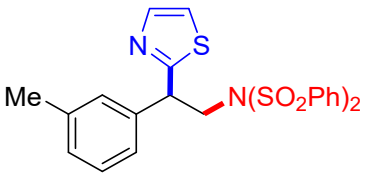
4m

Methyl-4-(2-(*N*-(phenylsulfonyl)phenylsulfonamido)-1-(thiazol-2-yl)ethyl)benzoate was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 99–100 ℃. Yield 84 mg, 77%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 8.01 (t, J = 7.7 Hz, 1H), 7.94 (d, J = 8.3 Hz, 2H), 7.82 – 7.70 (m, 4H), 7.60 (t, J = 7.5 Hz, 2H), 7.43 (t, J = 7.7 Hz, 4H), 7.26 (s, 3H), 5.10 (dd, J = 8.9, 5.5 Hz, 1H), 4.71 (dd, J = 15.5, 8.9 Hz, 1H), 4.48 (dd, J = 15.5, 5.5 Hz, 1H), 3.93 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 169.3, 166.9, 142.8, 139.0, 134.0, 130.3, 130.0, 129.5, 129.4, 129.1, 128.6, 119.7, 52.8, 52.4, 49.4. HRMS: *m/z* (ESI) calcd. for $C_{25}H_{22}N_2O_6S_3$ $[M+H]^+$: 543.0713, found: 543.0709.



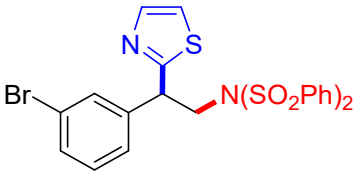
4n

4-(2-(*N*-(phenylsulfonyl)phenylsulfonamido)-1-(thiazol-2-yl)ethyl)phenyl acetate was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 97–98 ℃. Yield 82 mg, 76%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.74 (d, J = 7.4 Hz, 5H), 7.60 (t, J = 7.4 Hz, 2H), 7.54 – 7.37 (m, 6H), 7.20 (d, J = 3.1 Hz, 1H), 7.05 (d, J = 8.4 Hz, 2H), 5.05 (dd, J = 8.7, 5.7 Hz, 1H), 4.69 (dd, J = 15.5, 8.7 Hz, 1H), 4.41 (dd, J = 15.5, 5.7 Hz, 1H), 2.31 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.0, 169.3, 150.6, 142.9, 139.1, 136.5, 134.0, 130.5, 129.1, 128.7, 122.1, 119.4, 52.6, 49.0, 21.3. HRMS: *m/z* (ESI) calcd. for $C_{25}H_{22}N_2O_6S_3$ $[M+H]^+$: 543.0713, found: 543.0706.



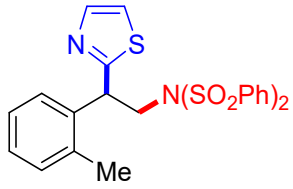
4o

***N*-(phenylsulfonyl)-*N*-(2-(thiazol-2-yl)-2-(*m*-tolyl)ethyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 114–115 °C. Yield 73 mg, 73%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.79–7.71 (m, 5H), 7.62 (t, J = 7.5 Hz, 2H), 7.47 (t, J = 7.9 Hz, 4H), 7.26 (d, J = 4.8 Hz, 2H), 7.23 (d, J = 3.2 Hz, 1H), 7.19 (s, 1H), 7.18–7.13 (m, 1H), 5.03 (dd, J = 9.0, 5.5 Hz, 1H), 4.74 (dd, J = 15.4, 9.0 Hz, 1H), 4.49 (dd, J = 15.4, 5.5 Hz, 1H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.9, 142.9, 139.4, 139.3, 138.9, 134.0, 130.5, 129.19, 129.16, 129.04, 128.95, 126.6, 119.5, 53.0, 49.8, 21.8. HRMS: *m*/*z* (ESI) calcd. for $C_{24}H_{22}N_2O_4S_3$ $[M+H]^+$: 499.0814, found: 499.0813.



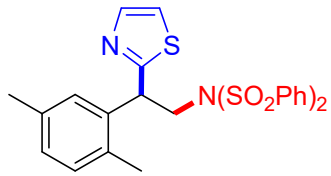
4p

***N*-(2-(3-bromophenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 101–102 °C. Yield 75 mg, 67%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.83–7.77 (m, 4H), 7.65 (t, J = 7.4 Hz, 2H), 7.51 (t, J = 7.9 Hz, 4H), 7.47–7.38 (m, 3H), 7.29 (s, 1H), 7.26 (d, J = 3.0 Hz, 1H), 7.21 (t, J = 7.9 Hz, 1H), 5.00 (dd, J = 9.0, 5.4 Hz, 1H), 4.71 (dd, J = 15.5, 9.1 Hz, 1H), 4.47 (dd, J = 15.5, 5.3 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 169.6, 143.1, 141.5, 139.3, 134.3, 132.7, 131.5, 130.8, 129.4, 128.9, 128.2, 123.1, 119.9, 53.1, 49.4. HRMS: *m*/*z* (ESI) calcd. for $C_{23}H_{19}BrN_2O_4S_3$ $[M+H]^+$: 562.9763, found: 562.9766.



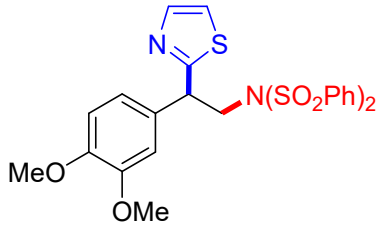
4q

***N*-(phenylsulfonyl)-*N*-(2-(thiazol-2-yl)-2-(*o*-tolyl)ethyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 121–122 °C. Yield 63 mg, 63%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.85–7.75 (m, 5H), 7.63 (t, J = 7.4 Hz, 2H), 7.48 (t, J = 7.8 Hz, 4H), 7.37 (dd, J = 8.3, 5.4 Hz, 2H), 7.25 (d, J = 3.1 Hz, 1H), 6.99 (t, J = 8.6 Hz, 2H), 5.06 (dd, J = 9.1, 5.4 Hz, 1H), 4.71 (dd, J = 15.4, 9.2 Hz, 1H), 4.45 (dd, J = 15.5, 5.4 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.4, 149.4, 149.2, 142.8, 139.4, 134.1, 131.7, 129.2, 128.9, 122.0, 119.7, 112.8, 111.6, 56.3, 53.1, 49.5. HRMS: *m*/*z* (ESI) calcd. for $C_{24}H_{22}N_2O_4S_3$ $[M+H]^+$: 499.0814, found: 499.0820.



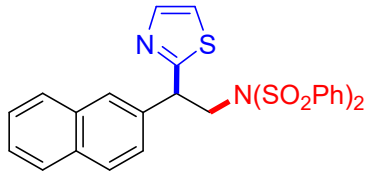
4r

***N*-(2-(2,5-dimethylphenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 122–123 °C. Yield 63 mg, 61%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.76 (d, J = 7.9 Hz, 4H), 7.72 (d, J = 3.1 Hz, 1H), 7.63–7.58 (m, 2H), 7.46 (t, J = 7.7 Hz, 4H), 7.33 (s, 1H), 7.19 (d, J = 3.1 Hz, 1H), 7.04 (d, J = 7.9 Hz, 2H), 5.31 (dd, J = 8.1, 6.0 Hz, 1H), 4.72 (dd, J = 15.5, 8.6 Hz, 1H), 4.62 (dd, J = 15.5, 5.6 Hz, 1H), 2.32 (s, 3H), 2.29 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.5, 142.6, 139.4, 137.6, 136.5, 134.1, 131.3, 130.3, 129.6, 129.2, 129.0, 125.0, 119.5, 52.5, 45.8, 21.5, 19.7. HRMS: *m*/*z* (ESI) calcd. for $C_{25}H_{24}N_2O_4S_3$ $[M+H]^+$: 513.0971, found: 513.0972.



4s

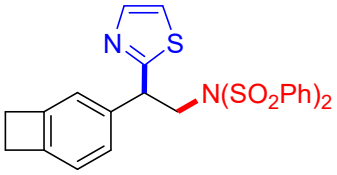
***N*-(2-(3,4-dimethoxyphenyl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenes ulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 116–117 °C. Yield 70 mg, 64%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.85–7.72 (m, 5H), 7.62 (t, J = 7.4 Hz, 2H), 7.47 (t, J = 7.7 H z, 4H), 7.26 (d, J = 2.8 Hz, 1H), 6.99–6.90 (m, 2H), 6.81 (d, J = 8.6 Hz, 1H), 5.02 (dd, J = 9.0, 5.0 Hz, 1H), 4.77 (dd, J = 15.4, 9.4 Hz, 1H), 4.46 (dd, J = 15.3, 5.0 Hz, 1H), 3 .92 (s, 3H), 3.82 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.4, 14 9.4, 149.2, 142.8, 139.4, 134.1, 131.7, 129.2, 128.9, 122.0, 119.7, 112.87, 111.6, 56.3, 56.2, 53.1, 49.45. HRMS: *m/z* (ESI) calcd. for $C_{25}H_{24}N_2O_6S_3$ $[M+H]^+$: 545.0869, foun d: 545.0863.



4t

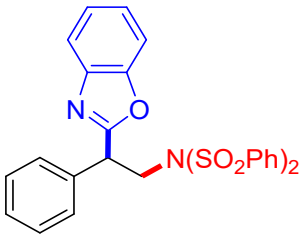
***N*-(2-(naphthalen-2-yl)-2-(thiazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (5:1). White solid. Mp: 108–109 °C. Yield 73 mg, 68%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.86 – 7.81 (m, 2H), 7.79 – 7.72 (m, 3H), 7.63 (d, J = 7.9 Hz, 4H), 7.57 (d, J = 8.6 Hz, 1H), 7.54 – 7.49 (m, 2H), 7.49 – 7.44 (m, 2H), 7.26 – 7.15 (m, 5H), 5.23 (dd, J = 9.7, 4.9 Hz, 1H), 4.93 (dd, J = 15.6, 9.7 Hz, 1H), 4.50 (dd, J = 15.6, 4.9 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 170.7, 142.5, 138.9, 136.4, 133.8, 133.6, 133.1, 129.2, 128.9, 128.8, 128.6,

128.3, 127.8, 126.7, 126.5, 126.4, 119.6, 52.6, 49.8. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{22}N_2O_4S_3$ $[M+H]^+$: 535.0814, found: 535.0818.



4u

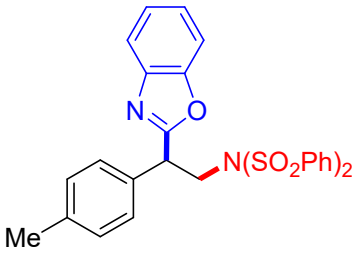
***N*-(2-(bicyclo[4.2.0]octa-1(6),2,4-trien-3-yl)-2-(thiazol-2-yl)ethyl)-N-(phenyl-sulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 107–108 °C. Yield 83 mg, 81%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.74 (d, J = 8.2 Hz, 4H), 7.72 (d, J = 3.2 Hz, 1H), 7.59 (t, J = 7.5 Hz, 2H), 7.44 (t, J = 7.7 Hz, 4H), 7.22 (d, J = 7.5 Hz, 1H), 7.19 (d, J = 3.2 Hz, 1H), 7.08 (s, 1H), 6.98 (d, J = 7.5 Hz, 1H), 5.01 (dd, J = 9.2, 5.5 Hz, 1H), 4.72 (dd, J = 15.5, 9.2 Hz, 1H), 4.45 (dd, J = 15.5, 5.5 Hz, 1H), 3.21 – 3.08 (m, 4H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.0, 146.3, 145.6, 142.6, 139.2, 137.7, 133.8, 128.9, 128.7, 128.2, 123.85, 123.1, 119.3, 52.9, 50.0, 29.6, 29.5. HRMS: *m/z* (ESI) calcd. for $C_{25}H_{23}N_2O_4S_3$ $[M+H]^+$: 511.0814, found: 511.0807.



5a

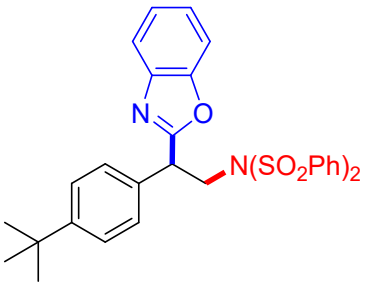
***N*-(2-(benzo[d]oxazol-2-yl)-2-phenylethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 118–119 °C. Yield 95 mg, 92%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.80 (d, J = 7.9 Hz, 4H), 7.74–7.70 (m, 1H), 7.58 (t, J = 7.4 Hz, 2H), 7.50–7.39 (m, 7H), 7.39–7.30 (m, 5H), 5.05 (t, J = 7.2 Hz, 1H), 4.70 (dd, J = 15.5, 8.0 Hz, 1H), 4.53 (dd, J = 15.5, 6.5 Hz, 1H).^{13}C{^{1}H}

NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.4, 151.1, 141.4, 139.3, 136.8, 134.2, 129.5, 129.5, 128.9, 128.6, 125.4, 124.7, 120.4, 111.1, 51.8, 46.6. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{22}N_2O_5S_2$ $[M+H]^+$: 519.1043, found: 519.1042. Elem. Anal. Calc. for $C_{27}H_{22}N_2O_5S_2$ (518.10 g mol^{-1}): C, 62.53; H, 4.28; N, 5.40; S, 12.36. Found: C, 62.45; H, 4.02; N, 5.46; S, 12.34.



5b

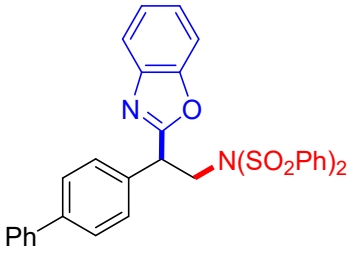
***N*-(2-(benzo[d]oxazol-2-yl)-2-(*p*-tolyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 122–123 °C. Yield 96 mg, 90%. ^1H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.78 (d, J = 7.8 Hz, 4H), 7.72–7.66 (m, J = 5.9, 2.7 Hz, 1H), 7.55 (t, J = 7.4 Hz, 2H), 7.45–7.35 (m, 5H), 7.34–7.27 (m, 4H), 7.12 (d, J = 7.8 Hz, 2H), 4.99 (t, J = 7.3 Hz, 1H), 4.66 (dd, J = 15.5, 8.2 Hz, 1H), 4.48 (dd, J = 15.5, 6.4 Hz, 1H), 2.35 (s, 3H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.7, 151.1, 141.4, 139.4, 138.3, 134.1, 133.6, 130.1, 129.4, 129.2, 128.9, 125.4, 124.7, 120.4, 111.1, 51.9, 46.2, 21.6. HRMS: *m/z* (ESI) calcd. for $C_{28}H_{24}N_2O_5S_2$ $[M+H]^+$: 533.1199, found: 533.1192.



5c

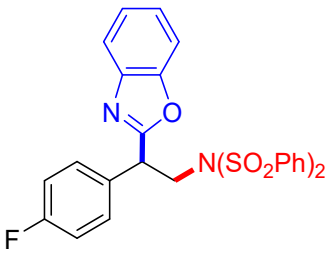
***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-(tert-butyl)phenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on

silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 114–115 ℃. Yield 102 mg, 89%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.80 (d, J = 7.8 Hz, 4H), 7.71–7.66 (m, 1H), 7.54 (t, J = 7.4 Hz, 2H), 7.43–7.35 (m, 9H), 7.31–7.27 (m, 2H), 5.01 (t, J = 7.2 Hz, 1H), 4.62 (dd, J = 15.4, 7.3 Hz, 1H), 4.54 (dd, J = 15.5, 7.1 Hz, 1H), 1.33 (s, 9H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.7, 151.5, 151.1, 141.5, 139.3, 134.2, 133.7, 129.3, 129.0, 128.9, 126.4, 125.4, 124.7, 120.4, 111.1, 51.7, 46.3, 35.0, 31.8. HRMS: *m/z* (ESI) calcd. for $C_{31}H_{30}N_2O_5S_2$ $[M+H]^+$: 575.1669, found: 575.1677.



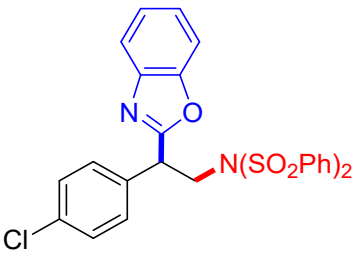
5d

***N*-(2-([1,1'-biphenyl]-4-yl)-2-(benzo[d]oxazol-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 129–130 ℃. Yield 109 mg, 91%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.80 (d, J = 8.2 Hz, 4H), 7.73–7.70 (m, 1H), 7.60 (d, J = 7.6 Hz, 2H), 7.57 – 7.52 (m, 4H), 7.51 – 7.44 (m, 5H), 7.41 – 7.36 (m, 5H), 7.34–7.30 (m, 2H), 5.09 (dd, J = 8.4, 6.2 Hz, 1H), 4.78 (dd, J = 15.6, 8.4 Hz, 1H), 4.48 (dd, J = 15.6, 6.2 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.2, 150.9, 141.2, 141.1, 140.5, 139.0, 135.5, 133.9, 129.7, 129.03, 129.00, 128.7, 127.8, 127.7, 127.1, 125.2, 124.5, 120.2, 110.8, 51.4, 46.0. HRMS: *m/z* (ESI) calcd. for $C_{33}H_{26}N_2O_5S_2$ $[M+H]^+$: 595.1356, found: 595.1360.



5e

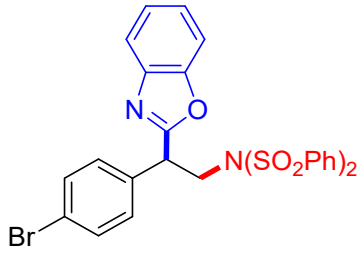
***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-fluorophenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 128–129 °C. Yield 88 mg, 82%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.80 (d, J = 7.9 Hz, 4H), 7.73–7.67 (m, 1H), 7.57 (t, J = 7.4 Hz, 2H), 7.46–7.39 (m, 5H), 7.36 (dd, J = 8.5, 5.3 Hz, 2H), 7.34–7.29 (m, 2H), 6.98 (t, J = 8.6 Hz, 2H), 5.02 (dd, J = 8.1, 6.5 Hz, 1H), 4.66 (dd, J = 15.6, 8.5 Hz, 1H), 4.46 (dd, J = 15.6, 6.2 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 164.9, 162.7 (d, J = 247.3 Hz), 150.8, 141.0, 139.0, 134.0 (d, J = 2.6 Hz), 132.2, 130.9 (d, J = 8.1 Hz), 129.0, 128.5, 125.3, 124.5, 120.2, 116.1 (d, J = 21.4 Hz). 110.8, 51.7, 45.5. ^{19}F NMR (470 MHz, $CDCl_3$, 298 K): δ (ppm) –113.74 – –113.78 (m). HRMS: *m/z* (ESI) calcd. for $C_{27}H_{21}FN_2O_5S_2$ $[M+H]^+$: 537.0949, found: 537.0957.



5f

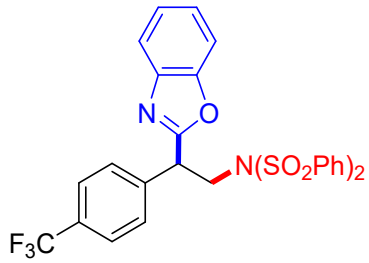
***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-chlorophenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 116–117 °C. Yield 91 mg, 82%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.81 (d, J = 7.6 Hz, 4H), 7.72–7.67 (m, 1H), 7.58 (t, J = 7.5 Hz, 2H), 7.47–7.39 (m, 5H), 7.35–7.29 (m, 4H), 7.27–7.23 (m, 2H), 4.96 (dd, J = 8.2, 6.3 Hz, 1H), 4.65 (dd, J = 15.6, 8.3 Hz, 1H), 4.49 (dd, J = 15.5,

6.2 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.0, 151.1, 141.3, 139.2, 135.2, 134.7, 134.3, 130.9, 129.6, 129.3, 128.8, 125.6, 124.9, 120.5, 111.1, 51.8, 45.9. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{21}ClN_2O_5S_2$ $[M+H]^+$: 553.0653, found: 553.0651.



5g

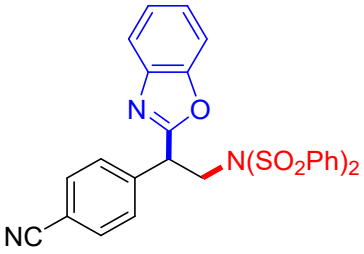
***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-bromophenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 105–106 °C. Yield 100 mg, 84%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.78 (d, J = 7.7 Hz, 4H), 7.73–7.67 (m, 1H), 7.59 (t, J = 7.4 Hz, 2H), 7.48–7.38 (m, 7H), 7.35–7.29 (m, 2H), 7.26 (d, J = 8.4 Hz, 2H), 5.01 (dd, J = 8.9, 5.9 Hz, 1H), 4.72 (dd, J = 15.6, 9.0 Hz, 1H), 4.40 (dd, J = 15.6, 5.8 Hz, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 164.9, 151.1, 141.3, 139.1, 135.7, 134.3, 132.6, 131.3, 129.4, 128.9, 125.7, 124.9, 122.9, 120.5, 111.1, 51.6, 45.9. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{21}BrN_2O_5S_2$ $[M+H]^+$: 597.0148, found: 597.0154.



5h

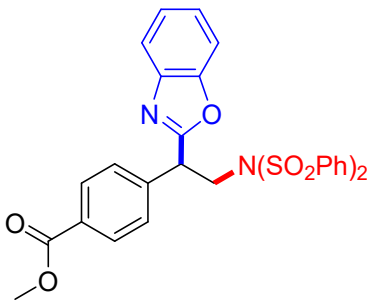
***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-(trifluoromethyl)phenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (3:1). White solid. Mp: 90–91 °C. Yield 88 mg, 75%. ^{1}H NMR

(500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.77 (d, J = 7.8 Hz, 4H), 7.73–7.68 (m, 1H), 7.61–7.51 (m, 6H), 7.46–7.38 (m, 5H), 7.34–7.31 (m, 2H), 5.11 (dd, J = 8.6, 6.0 Hz, 1H), 4.75 (dd, J = 15.6, 8.8 Hz, 1H), 4.46 (dd, J = 15.6, 5.9 Hz, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 164.6, 151.1, 141.2, 140.7, 139.1, 134.4, 130.8 (q, J = 32.6 Hz) 130.1, 129.4, 128.8, 126.3 (d, J = 3.3 Hz), 125.8, 125.0, 124.3 (q, J = 277.7 Hz), 120.5, 111.1, 51.6, 46.3. ^{19}F NMR (470 MHz, $CDCl_3$, 298 K): δ (ppm) –62.47 (s). HRMS: m/z (ESI) calcd. for $C_{28}H_{21}F_3N_2O_5S_2$ $[M+H]^+$: 587.0917, found: 587.0912.



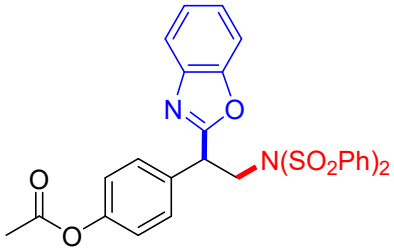
5i

***N*-(2-(benzo[d]oxazol-2-yl)-2-(4-cyanophenyl)ethyl)-*N*-(phenylsulfonyl)benzene sulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (3:1). White solid. Mp: 122–123 ℃. Yield 85 mg, 79%. ^1H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.79 (d, J = 7.1 Hz, 4H), 7.74 – 7.68 (m, 1H), 7.65 – 7.57 (m, 2H), 7.56 (d, J = 8.4 Hz, 2H), 7.48 (d, J = 8.2 Hz, 3H), 7.48 – 7.38 (m, 6H), 7.37 – 7.32 (m, 2H), 5.07 (dd, J = 8.8, 6.0 Hz, 1H), 4.68 (dd, J = 15.6, 8.8 Hz, 1H), 4.47 (dd, J = 15.6, 6.0 Hz, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 163.8, 150.8, 141.6, 140.9, 138.8, 134.2, 132.8, 130.1, 129.2, 128.5, 125.6, 124.8, 120.3, 118.5, 112.4, 110.9, 51.5, 46.2. HRMS: m/z (ESI) calcd. for $C_{28}H_{21}N_3O_5S_2$ $[M+H]^+$: 544.0995, found: 544.0989.



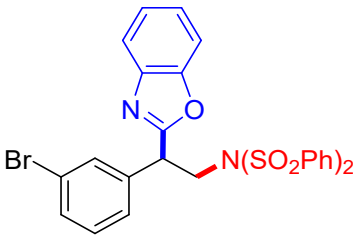
5j

Methyl-4-(1-(benzo[d]oxazol-2-yl)-2-(N-(phenylsulfonyl)phenylsulfonamido)ethyl)benzoate was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 114–115 ℃. Yield 95 mg, 82%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.95 (d, J = 8.1 Hz, 2H), 7.79 (d, J = 8.0 Hz, 4H), 7.73–7.67 (m, 1H), 7.56 (t, J = 7.5 Hz, 2H), 7.48–7.36 (m, 7H), 7.35–7.28 (m, 2H), 5.07 (t, J = 7.0 Hz, 1H), 4.67 (dd, J = 15.5, 8.3 Hz, 1H), 4.52 (dd, J = 15.6, 6.2 Hz, 1H), 3.92 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 167.0, 164.7, 151.1, 141.7, 141.3, 139.17, 134.3, 130.6, 130.5, 129.5, 129.4, 128.8, 125.7, 124.9, 120.5, 111.1, 52.6, 51.8, 46.5. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{24}N_2O_7S_2$ $[M+H]^+$: 577.1098, found: 577.1092.



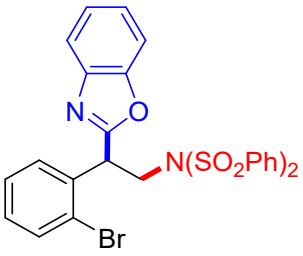
5k

4-(1-(benzo[d]oxazol-2-yl)-2-(N-(phenylsulfonyl)phenylsulfonamido)ethyl)phenyl acetate was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 112–113 ℃. Yield 93 mg, 81%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.79 (d, J = 7.9 Hz, 4H), 7.71 – 7.66 (m, 1H), 7.56 (t, J = 7.4 Hz, 2H), 7.50 – 7.37 (m, 7H), 7.35 – 7.28 (m, 2H), 7.05 (d, J = 8.4 Hz, 2H), 5.02 (t, J = 7.2 Hz, 1H), 4.64 (dd, J = 15.5, 8.0 Hz, 1H), 4.47 (dd, J = 15.5, 6.6 Hz, 1H), 2.31 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 169.4, 164.9, 150.9, 150.7, 141.1, 138.9, 134.0, 130.3, 129.1, 128.6, 125.3, 124.5, 122.3, 120.2, 110.9, 51.412, 45.8, 21.3. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{24}N_2O_7S_2$ $[M+H]^+$: 577.1098, found: 577.1094.



5l

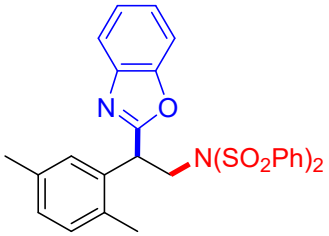
***N*-(2-(benzo[d]oxazol-2-yl)-2-(3-bromophenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 114–115 °C. Yield 87 mg, 73%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.81 (d, J = 7.7 Hz, 4H), 7.73–7.68 (m, 1H), 7.58 (t, J = 7.4 Hz, 2H), 7.49–7.40 (m, 7H), 7.38–7.31 (m, 3H), 7.18 (t, J = 7.8 Hz, 1H), 4.94 (dd, J = 8.3, 6.2 Hz, 1H), 4.66 (dd, J = 15.6, 8.3 Hz, 1H), 4.49 (dd, J = 15.6, 6.2 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 164.7, 151.1, 141.3, 139.2, 138.9, 134.4, 132.5, 131.8, 131.0, 129.4, 128.8, 128.0, 125.7, 124.9, 123.4, 120.5, 111.1, 51.8, 46.2. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{21}BrN_2O_5S_2$ $[M+H]^+$: 597.0148, found: 597.0142.



5m

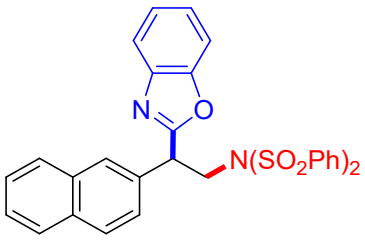
***N*-(2-(benzo[d]oxazol-2-yl)-2-(2-bromophenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 118–119 °C. Yield 67 mg, 56%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.88 (d, J = 7.8 Hz, 4H), 7.73–7.67 (m, 1H), 7.60–7.52 (m, 4H), 7.45–7.40 (m, 5H), 7.34–7.28 (m, 3H), 7.16 (t, J = 8.0 Hz, 1H), 5.56 (t, J = 7.0 Hz, 1H), 4.71 (dd, J = 15.5, 6.4 Hz, 1H), 4.62 (dd, J = 15.5, 7.8 Hz, 1H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 164.8, 151.1, 141.3, 139.4,

136.4, 134.2, 134.0, 131.3, 130.1, 129.3, 128.9, 128.4, 125.6, 125.5, 124.7, 120.4, 111.1, 51.32, 45.53. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{21}BrN_2O_5S_2$ $[M+H]^+$: 597.0148, found: 597.0154.



5n

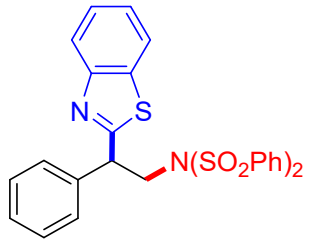
***N*-(2-(benzo[d]oxazol-2-yl)-2-(2,5-dimethylphenyl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 134–135 °C. Yield 71 mg, 65%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.79 (d, J = 7.8 Hz, 4H), 7.71 – 7.65 (m, 1H), 7.54 (t, J = 7.5 Hz, 2H), 7.42 –7.35 (m, 5H), 7.33–7.25 (m, 3H), 7.08–6.99 (m, 2H), 5.27 (t, J = 7.1 Hz, 1H), 4.64 (qd, J = 15.5, 7.2 Hz, 2H), 2.39 (s, 3H), 2.28 (s, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.9, 151.1, 141.4, 139.4, 136.6, 135.1, 134.6, 134.1, 131.4, 129.8, 129.2, 128.8, 125.3, 124.6, 120.4, 111.1, 51.6, 42.5, 21.4, 19.7. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{26}N_2O_5S_2$ $[M+H]^+$: 547.1356, found: 547.1362.



5o

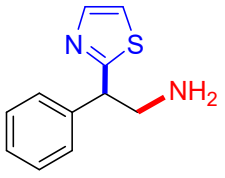
***N*-(2-(benzo[d]oxazol-2-yl)-2-(naphthalen-2-yl)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (5:1). White solid. Mp: 123–124 °C. Yield 87 mg, 76%. ^{1}H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 7.84–7.77 (m, 3H), 7.73 (t, J = 7.6 Hz, 2H), 7.68 (d, J = 8.0 Hz, 4H), 7.56–7.53 (m, 1H), 7.52–7.48 (m, 2H), 7.47–7.40

(m, 3H), 7.31 (t, J = 7.0 Hz, 2H), 7.20 (t, J = 7.9 Hz, 4H), 5.20 (dd, J = 9.2, 5.6 Hz, 1H), 4.91 (dd, J = 15.6, 9.2 Hz, 1H), 4.49 (dd, J = 15.6, 5.6 Hz, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 165.5, 151.2, 141.4, 139.1, 134.1, 133.9, 133.5, 129.52, 129.3, 129.3, 129.1, 128.8, 128.6, 128.1, 126.8, 126.8, 126.5, 125.5, 124.8, 120.4, 111.1, 51.7, 46.7. HRMS: *m/z* (ESI) calcd. for $C_{31}H_{24}N_2O_5S_2$ $[M+H]^+$: 569.1199, found: 569.1190.



5p

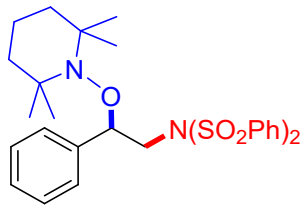
***N*-(2-(benzo[d]thiazol-2-yl)-2-phenylethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 135–136 °C. Yield 95 mg, 89%. ^1H NMR (500 MHz, $CDCl_3$, 298 K): δ (ppm) 8.03 (d, J = 8.1 Hz, 1H), 7.76 (d, J = 8.1 Hz, 1H), 7.73 (d, J = 7.8 Hz, 4H), 7.55 (t, J = 7.5 Hz, 2H), 7.50–7.43 (m, 3H), 7.42–7.31 (m, 8H), 5.12 (dd, J = 8.6, 5.8 Hz, 1H), 4.81 (dd, J = 15.6, 8.7 Hz, 1H), 4.61 (dd, J = 15.6, 5.7 Hz, 1H). $^{13}C\{^1H\}$ NMR (125 MHz, $CDCl_3$, 298 K): δ (ppm) 171.4, 153.5, 139.3, 139.0, 135.8, 134.1, 129.8, 129.4, 129.2, 129.0, 128.5, 126.4, 125.5, 123.6, 121.9, 52.7, 50.8. HRMS: *m/z* (ESI) calcd. for $C_{27}H_{22}N_2O_4S_3$ $[M+H]^+$: 535.0814, found: 535.0807



6

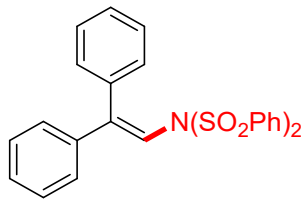
2-phenyl-2-(thiazol-2-yl)ethan-1-amine was purified by column chromatography on silica gel with dichloromethane/methyl alcohol (4:1). White solid. Mp: 90–91 °C.

Yield 38 mg, 94%, ^{1}H NMR (500 MHz, $CDCl_3$): δ (ppm) 7.75 (d, J = 3.2 Hz, 1H), 7.40 – 7.31 (m, 4H), 7.30 – 7.26 (m, 1H), 7.22 (d, J = 3.2 Hz, 1H), 4.38 (t, J = 7.2 Hz, 1H), 3.55 (dd, J = 12.8, 7.4 Hz, 1H), 3.34 (dd, J = 13.3, 6.9 Hz, 1H), 1.66 (s, 2H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ (ppm) 172.5, 142.5, 140.6, 129.0, 128.4, 127.6, 118.9, 53.5, 47.8. HRMS: *m/z* (ESI) calcd. for $C_{11}H_{13}N_2S$ $[M+H]^+$: 205.0794, found: 205.0787.



7

***N*-(2-phenyl-2-((2,2,6,6-tetramethylpiperidin-1-yl)oxy)ethyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 132–133 °C. Yield 62 mg, 56%, ^{1}H NMR (500 MHz, $CDCl_3$): δ (ppm) 7.67 – 7.51 (m, 6H), 7.46 – 7.39 (m, 4H), 7.38 – 7.28 (m, 5H), 5.23 (dd, J = 11.0, 4.7 Hz, 1H), 4.39 (dd, J = 15.0, 11.0 Hz, 1H), 4.03 (dd, J = 15.0, 4.7 Hz, 1H), 1.54 – 1.38 (m, 5H), 1.30 – 1.17 (m, 4H), 1.15 – 1.05 (m, 3H), 1.03 – 0.91 (m, 3H), 0.87 – 0.63 (m, 3H). ^{13}C{^{1}H} NMR (125 MHz, $CDCl_3$): δ (ppm) 140.1, 138.7, 133.8, 129.5, 129.0, 128.8, 128.3, 128.3, 84.3, 60.2, 50.3, 40.7, 34.8, 34.4, 20.5, 17.3. HRMS: *m/z* (ESI) calcd. for $C_{29}H_{36}N_2O_5S_2$ $[M+H]^+$: 557.2138, found:557.2129. Spectroscopic data are in accordance with those described in the literature.[S4]



8

***N*-(2,2-diphenylvinyl)-*N*-(phenylsulfonyl)benzenesulfonamide** was purified by column chromatography on silica gel with *n*-hexane/ethyl acetate (4:1). White solid. Mp: 170–171 °C. Yield 39 mg, 41%, ^{1}H NMR (500 MHz, $CDCl_3$): δ (ppm) 7.74 – 7.67

(m, 4H), 7.60 – 7.56 (m, 2H), 7.43 – 7.38 (m, 4H), 7.36 – 7.30 (m, 3H), 7.26 – 7.16 (m, 7H), 6.12 (s, 1H). $^{13}C\{^{1}H\}$ NMR (125 MHz, $CDCl_3$): δ (ppm) 152.4, 140.0, 138.7, 136.9, 134.0, 130.0, 129.2, 128.93, 128.88, 128.8, 128.5, 128.4, 128.3, 116.4. HRMS: *m/z* (ESI) calcd. for $C_{26}H_{21}NO_4S_2$ $[M+H]^+$: 476.0985, found:476.0980. Spectroscopic data are in accordance with those described in the literature.[S4]

6. References

[S1] E. V. Zarudnitskii, I. I. Pervak, A. S. Merkulov, A. A. Yurchenko, A. A. Tolmachev and A. M. Pinchuk, *Synthesis*, 2006, **2006**, 1279-1282.

[S2] K. Liu and A. Studer, *J. Am. Chem. Soc.*, 2021, **143**, 4903-4909.

[S3] (a) X. Hu, G. Zhang, L. Nie, T. Kong and A. Lei, *Nat. Commun.*, 2019, **10**, 5467; (b) H. Xiao, H. Shen, L. Zhu and C. Li, *J. Am. Chem. Soc.*, 2019, **141**, 11440-11445; (c) Y. Yang, Y. Yu, Y. Wang, Q. Zhang and D. Li, *Tetrahedron*, 2018, **74**, 1085-1091; (d) Y. Okamura, D. Sato, A. Yoshimura, V. V. Zhdankin and A. Saito, *Adv. Synth. Catal.*, 2017, **359**, 3243-3247; (e) Á. Iglesias, R. Álvarez, Á. R. de Lera and K. Muñiz, *Angew. Chem. Int. Ed.*, 2012, **51**, 2225-2228; (f) P. A. Sibbald, C. F. Rosewall, R. D. Swartz and F. E. Michael, *J. Am. Chem. Soc.*, 2009, **131**, 15945-15951.

[S4] B. Lei, X. Wang, L. Ma, Y. Li and Z. Li, *Org. Biomol. Chem.*, 2018, **16**, 3109-3113.

7. NMR spectra

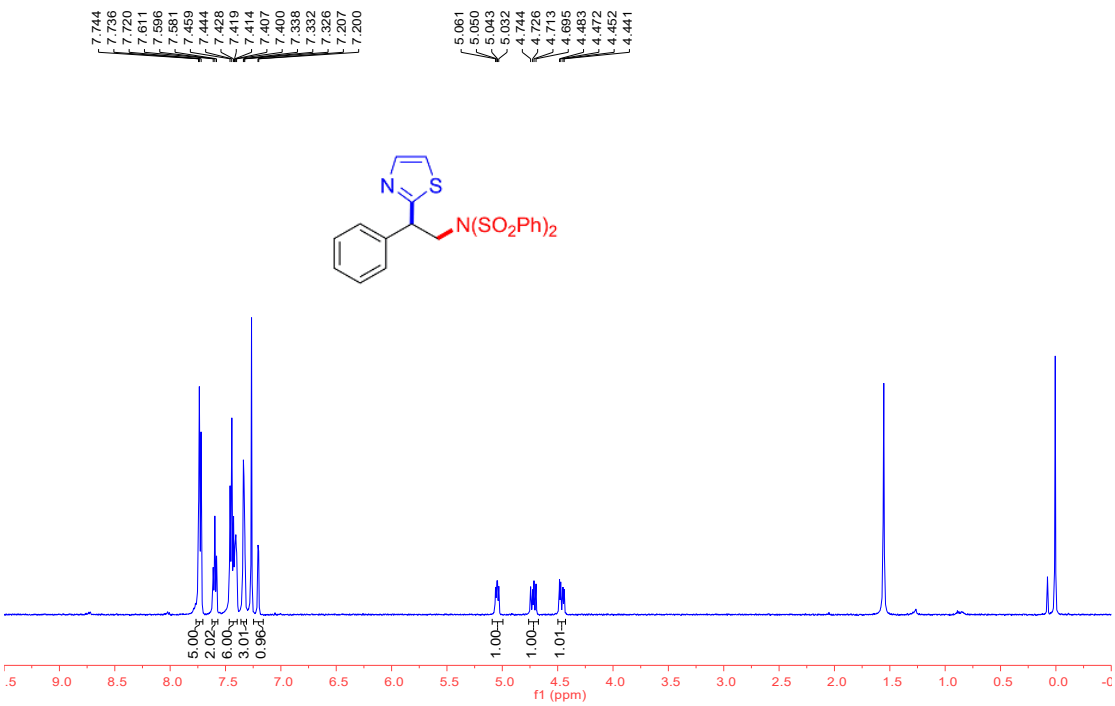


Figure S5. ^{1}H NMR spectrum (500 MHz) of **4a** in $CDCl_3$ at 25 °C

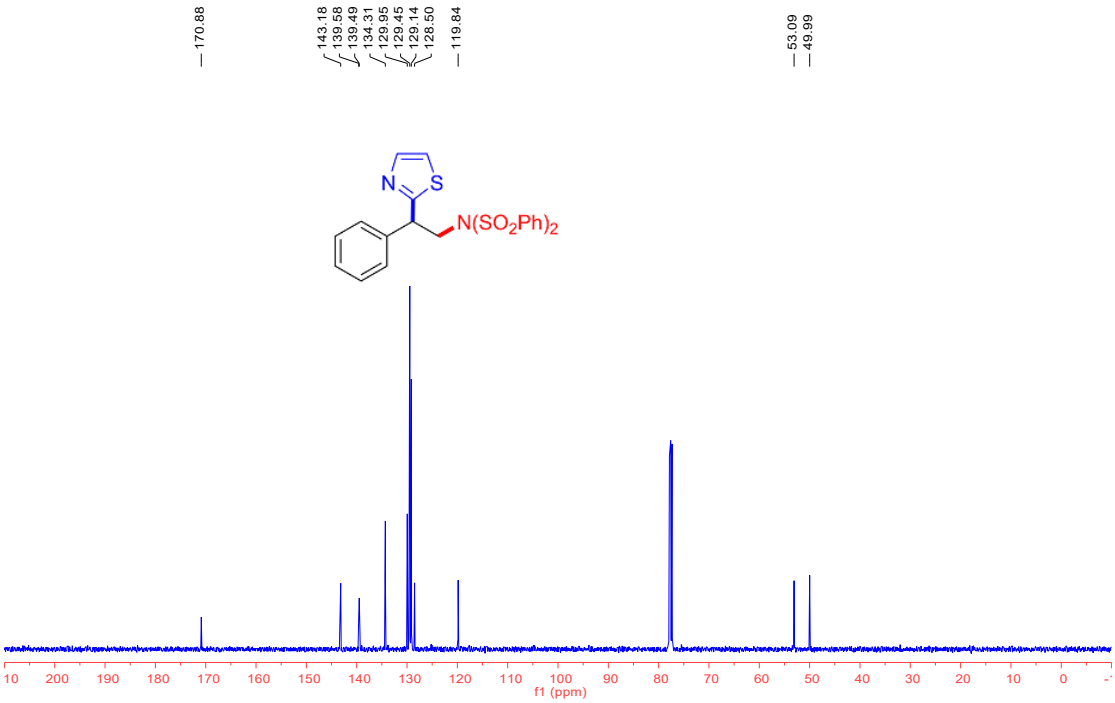


Figure S6. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4a** in $CDCl_3$ at 25 °C

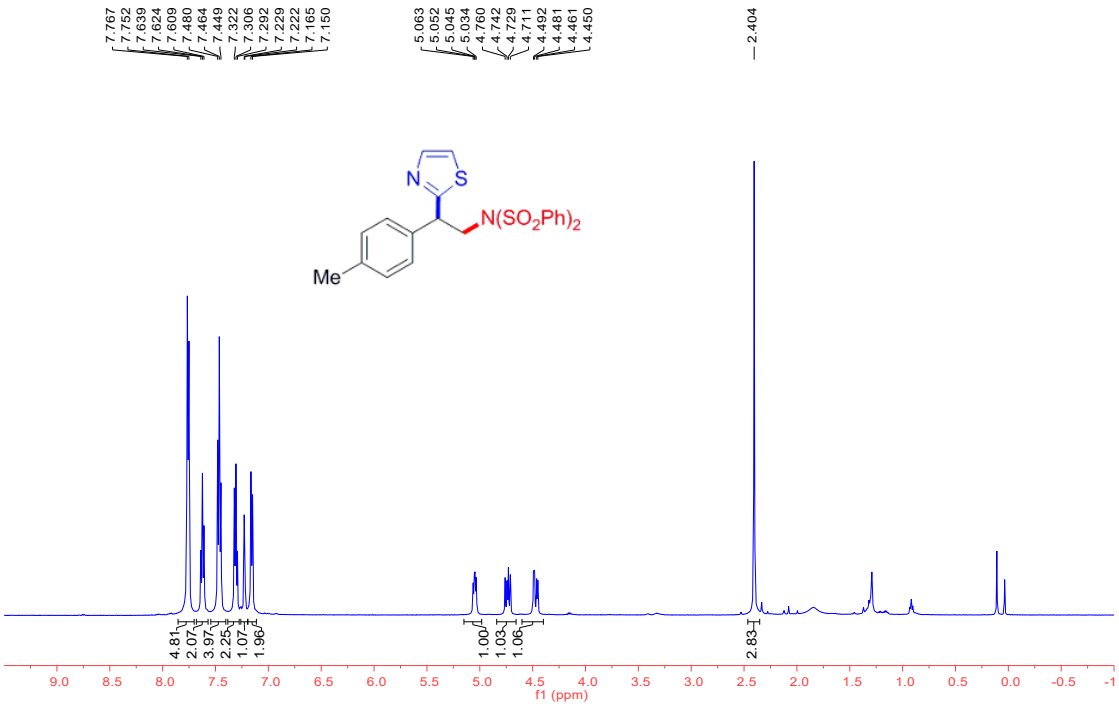


Figure S7. ^{1}H NMR spectrum (500 MHz) of **4b** in $CDCl_3$ at 25 °C

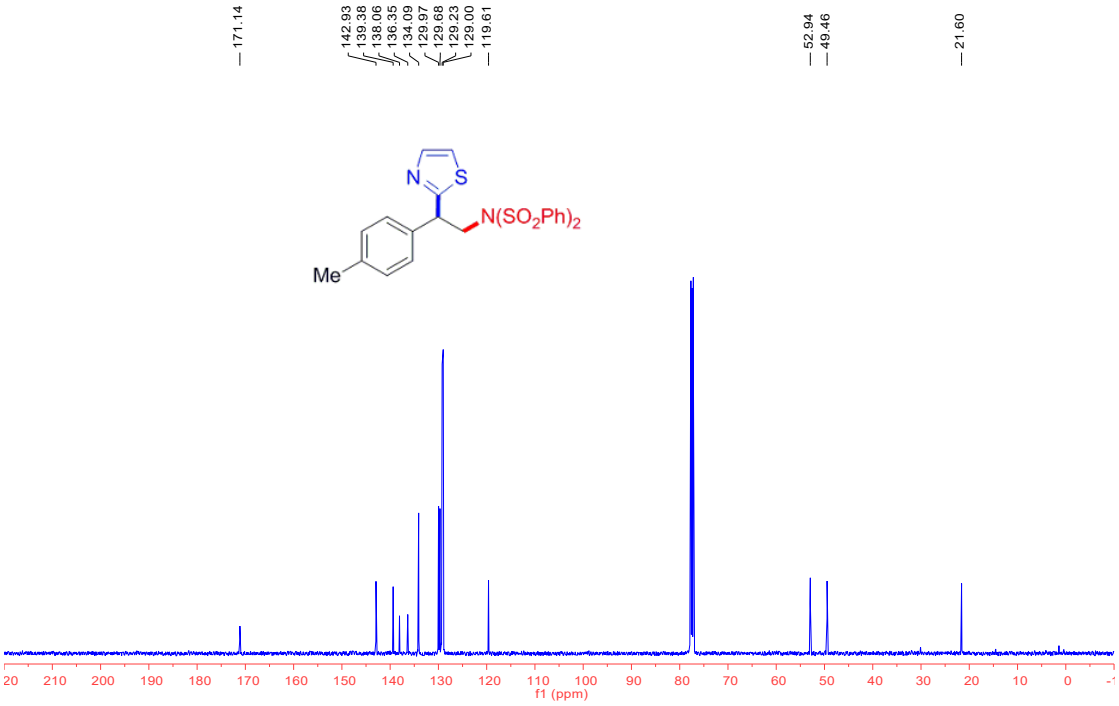


Figure S8. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4b** in $CDCl_3$ at 25 °C

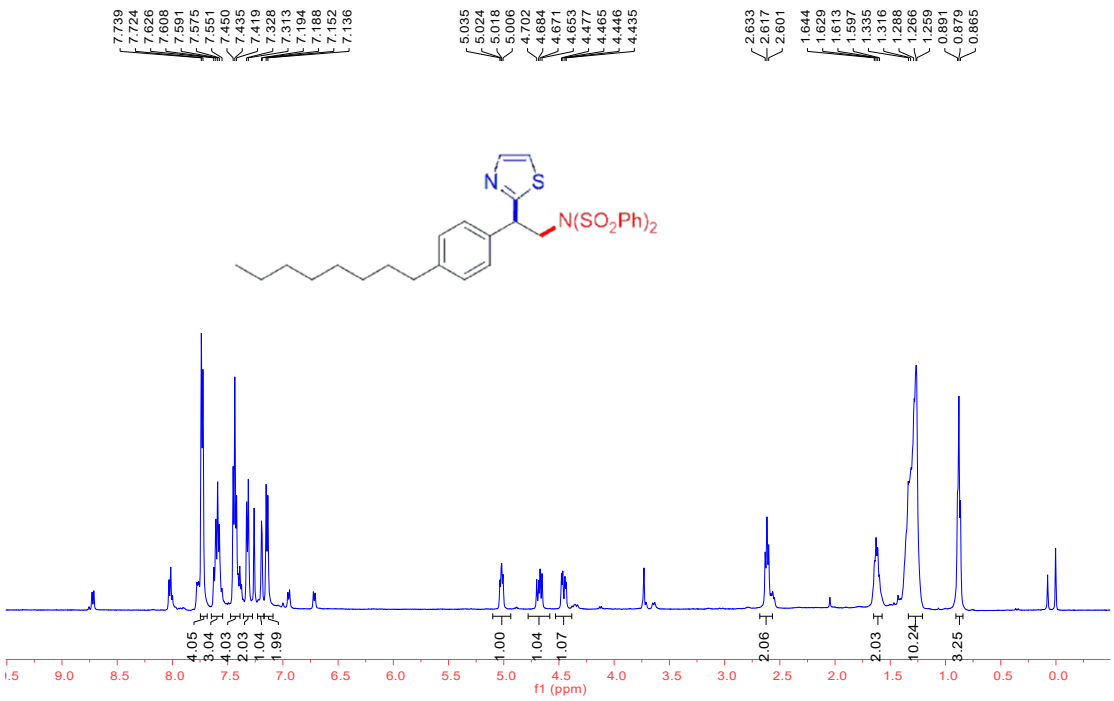


Figure S9. ^{1}H NMR spectrum (500 MHz) of **4c** in $CDCl_3$ at 25 °C

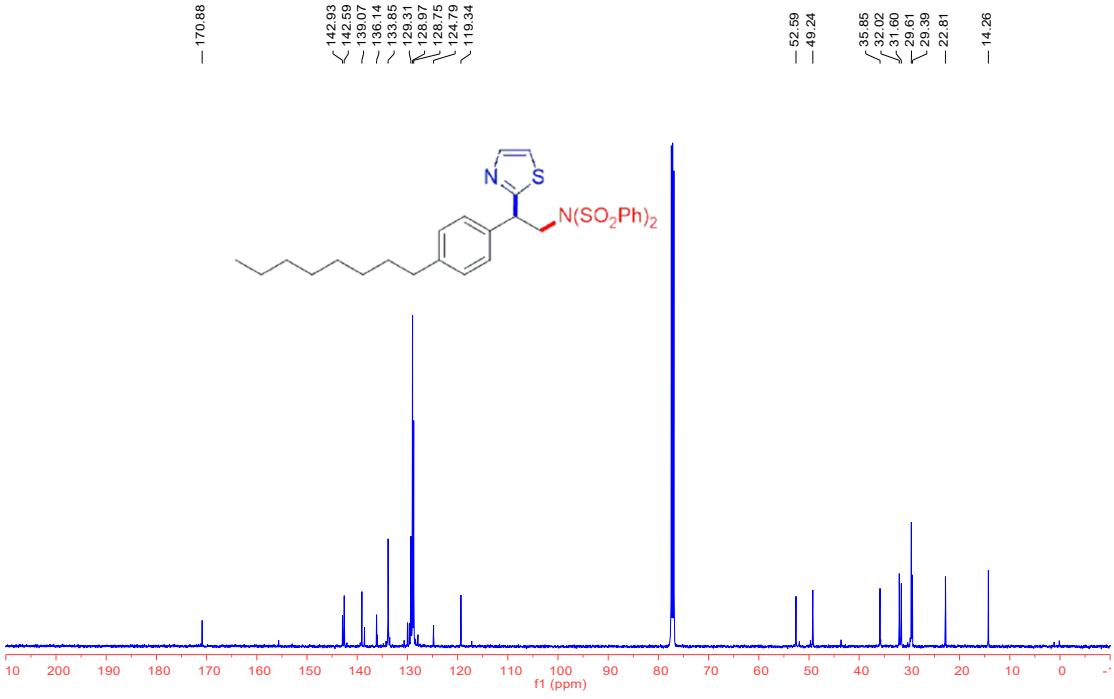


Figure S10. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4c** in $CDCl_3$ at 25 °C

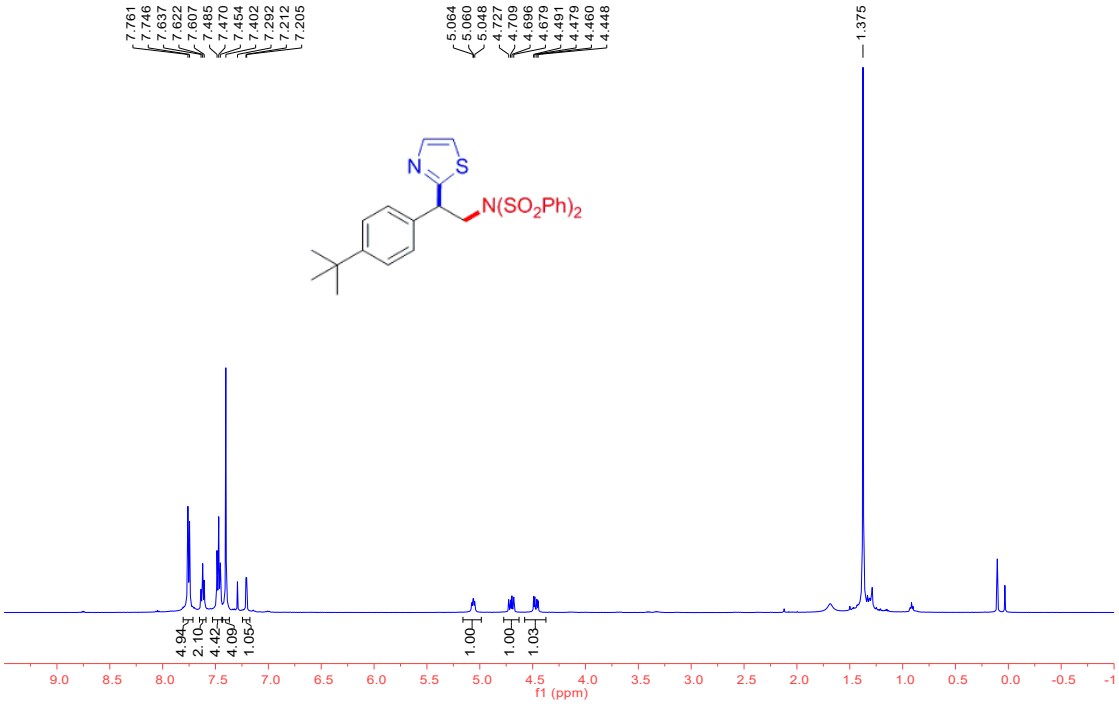


Figure S11. ^{1}H NMR spectrum (500 MHz) of **4d** in $CDCl_3$ at 25 ℃

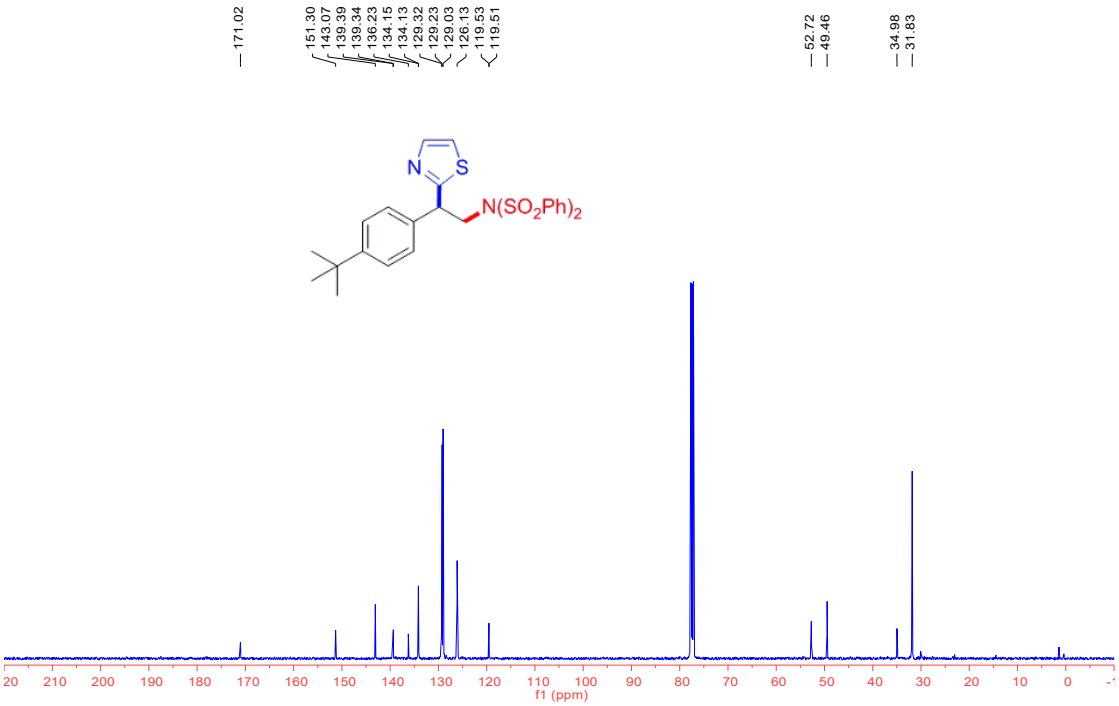


Figure S12. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4d** in $CDCl_3$ at 25 ℃

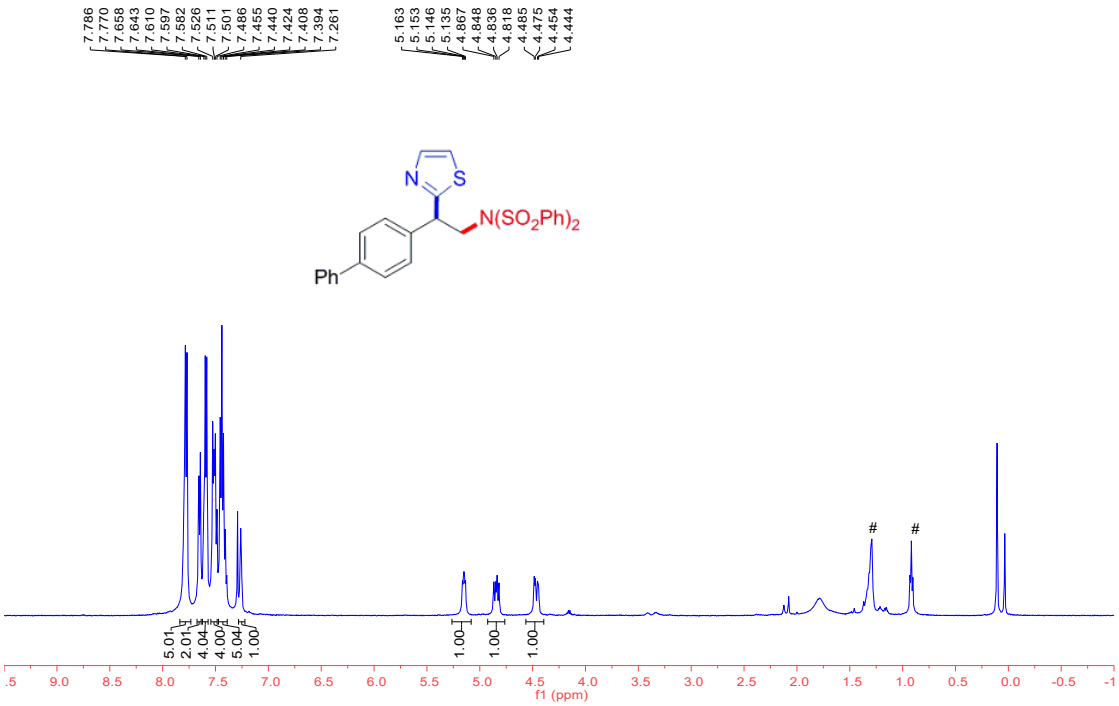


Figure S13. ^{1}H NMR spectrum (500 MHz) of **4e** in $CDCl_3$ at 25 °C. # = *n*-hexane

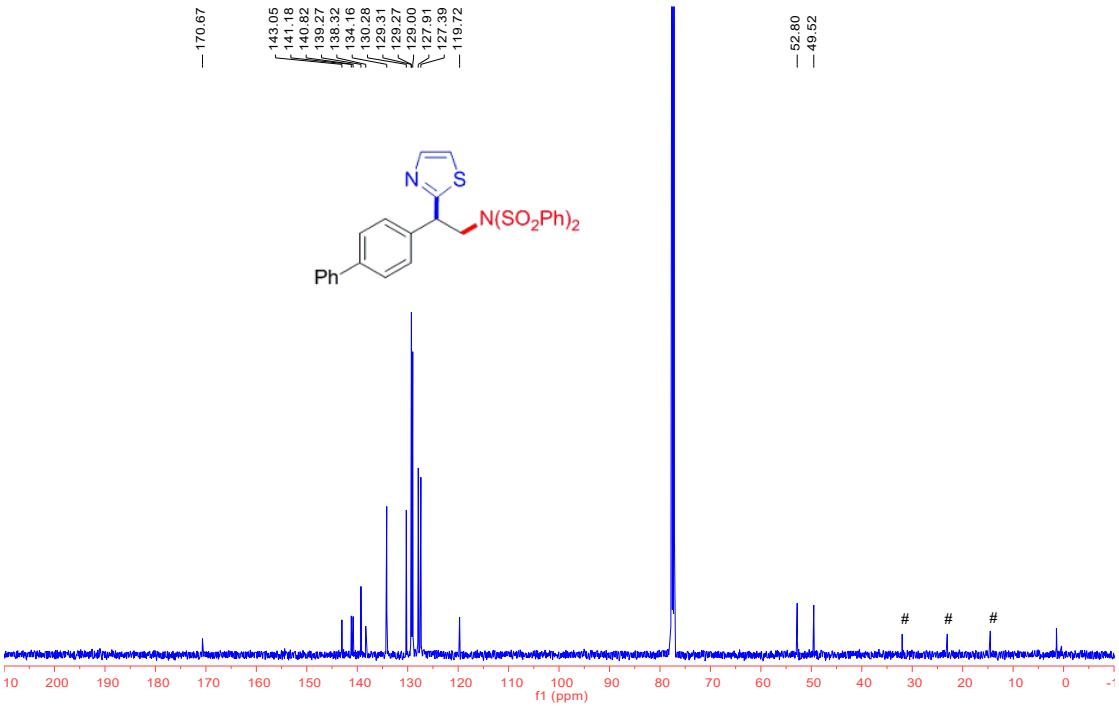


Figure S14. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4e** in $CDCl_3$ at 25 °C.

= *n*-hexane

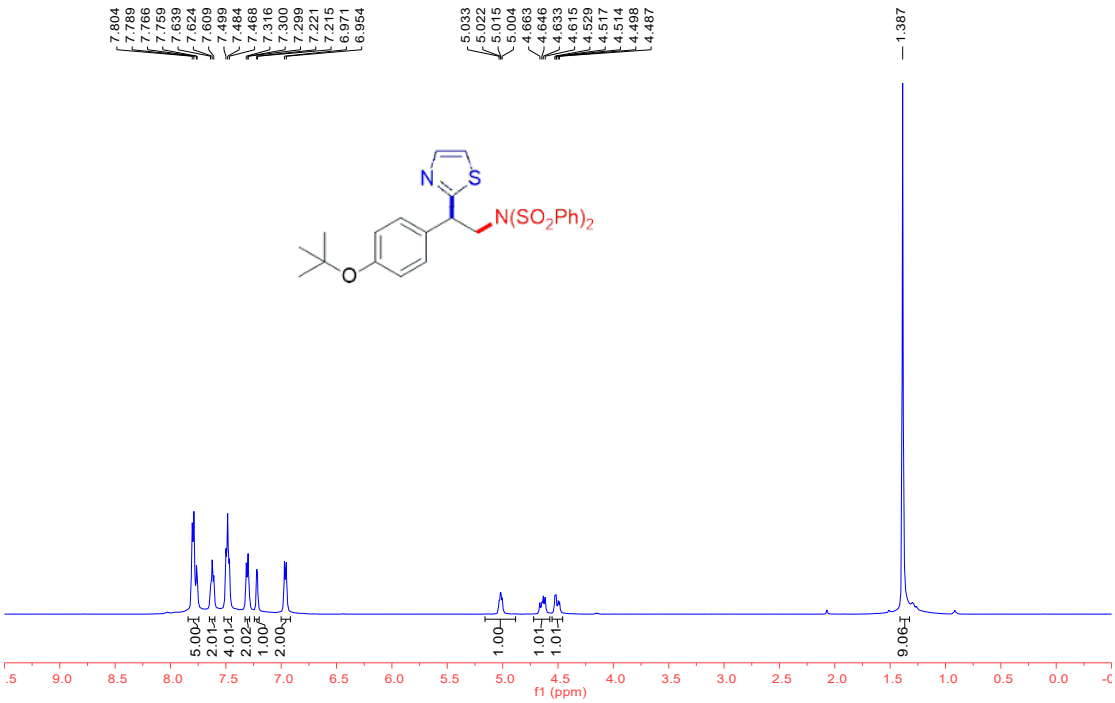


Figure S15. ^{1}H NMR spectrum (500 MHz) of **4f** in $CDCl_3$ at 25 ℃

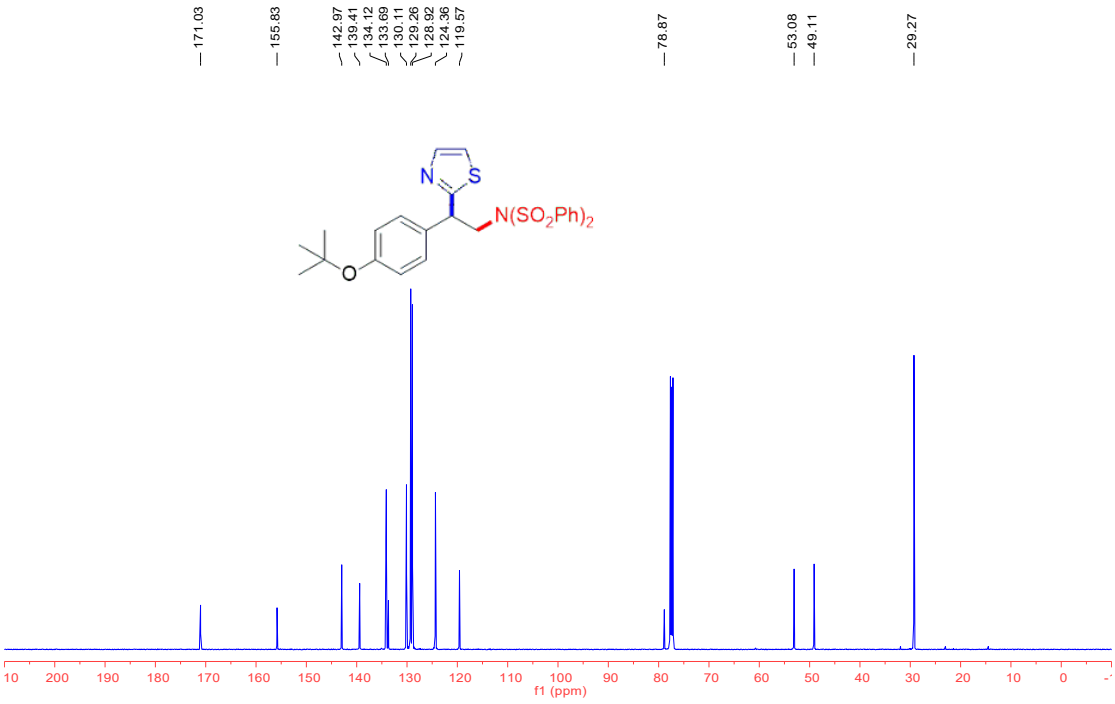


Figure S16. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4f** in $CDCl_3$ at 25 ℃

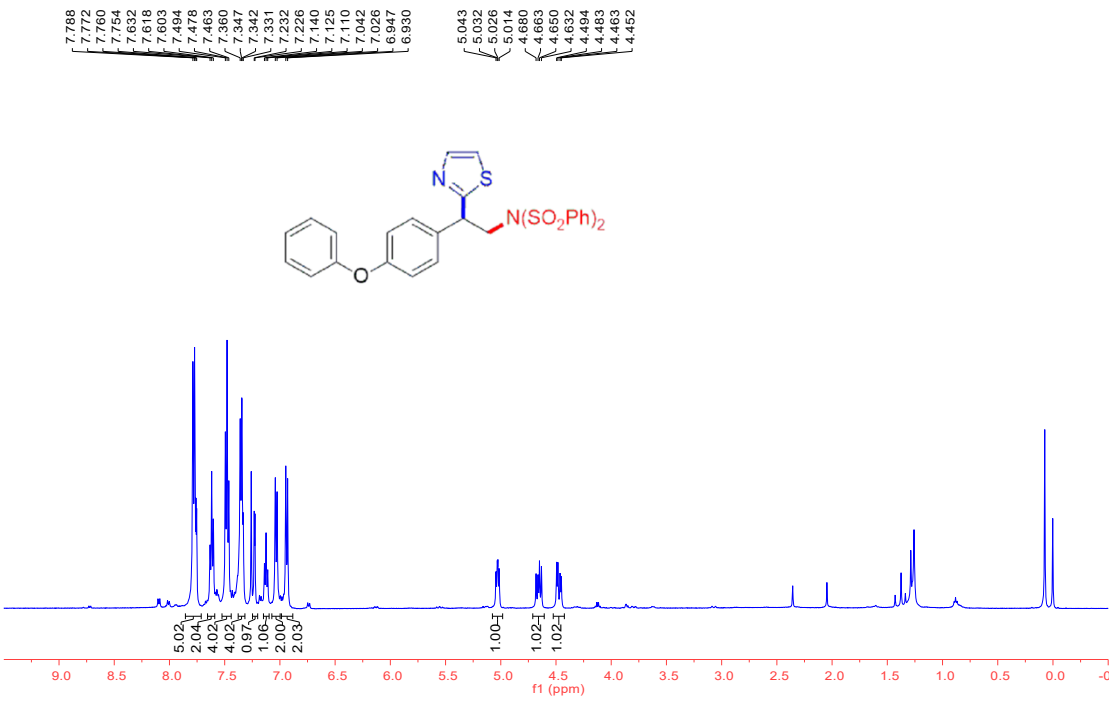


Figure S17. ^{1}H NMR spectrum (500 MHz) of **4g** in $CDCl_3$ at 25 °C

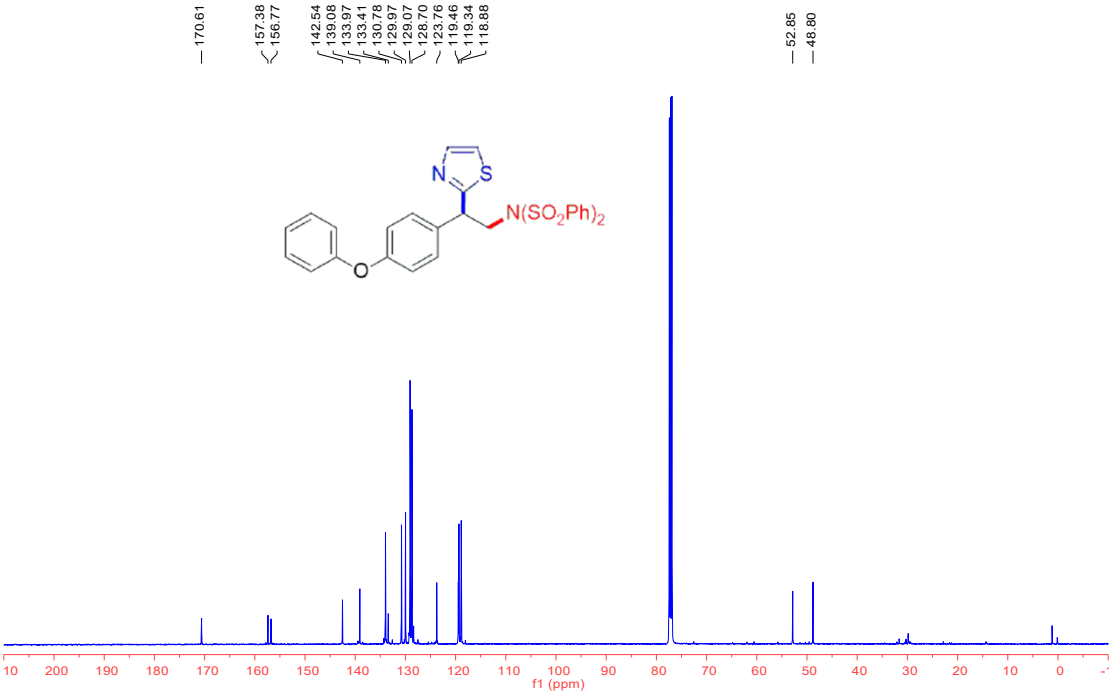


Figure S18. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4g** in $CDCl_3$ at 25 °C

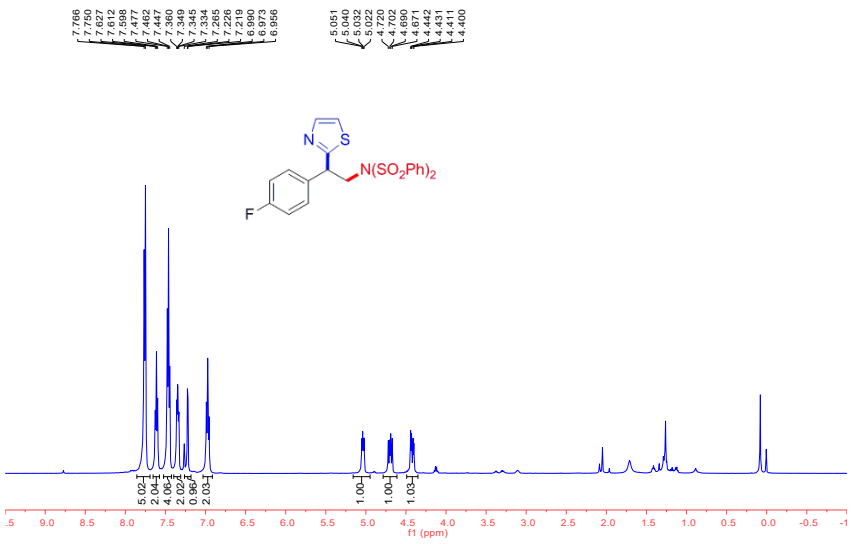


Figure S19. ^{1}H NMR spectrum (500 MHz) of **4h** in $CDCl_3$ at 25 ℃

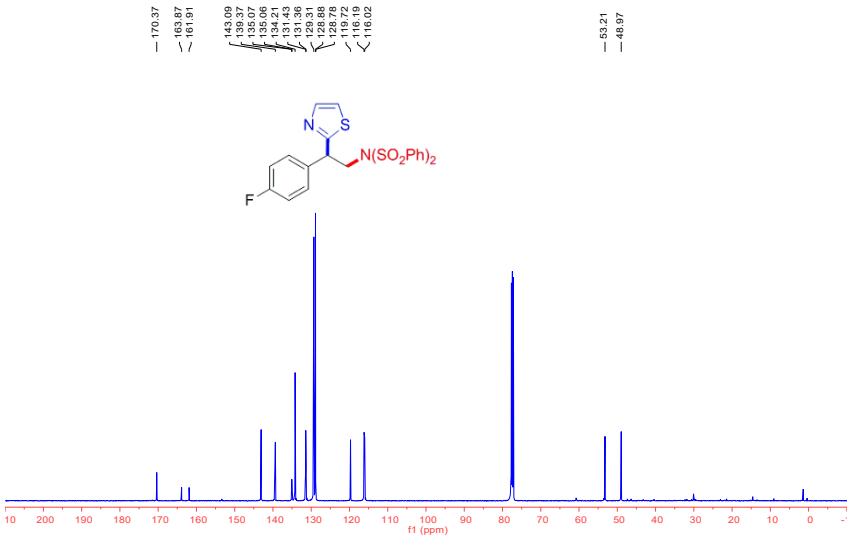


Figure S20. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4h** in $CDCl_3$ at 25 ℃

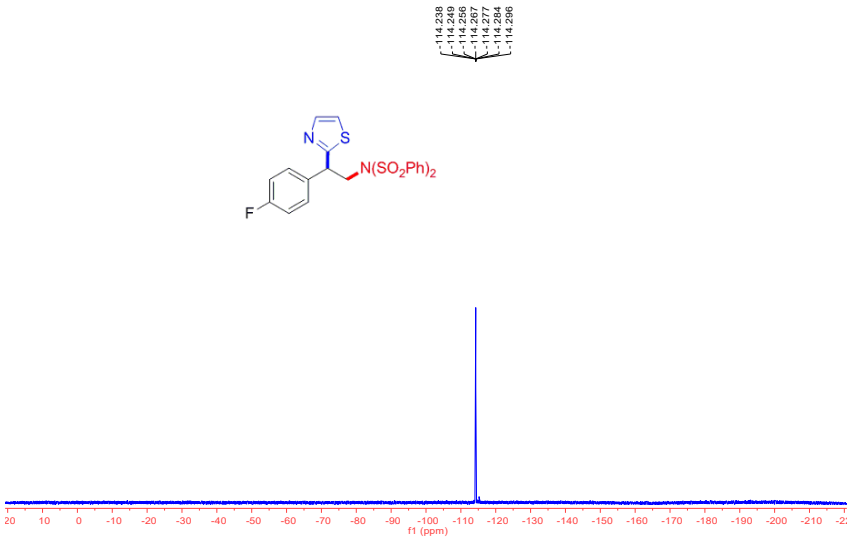


Figure S21. ^{19}F NMR spectrum (470 MHz) of **4h** in $CDCl_3$ at 25 ℃

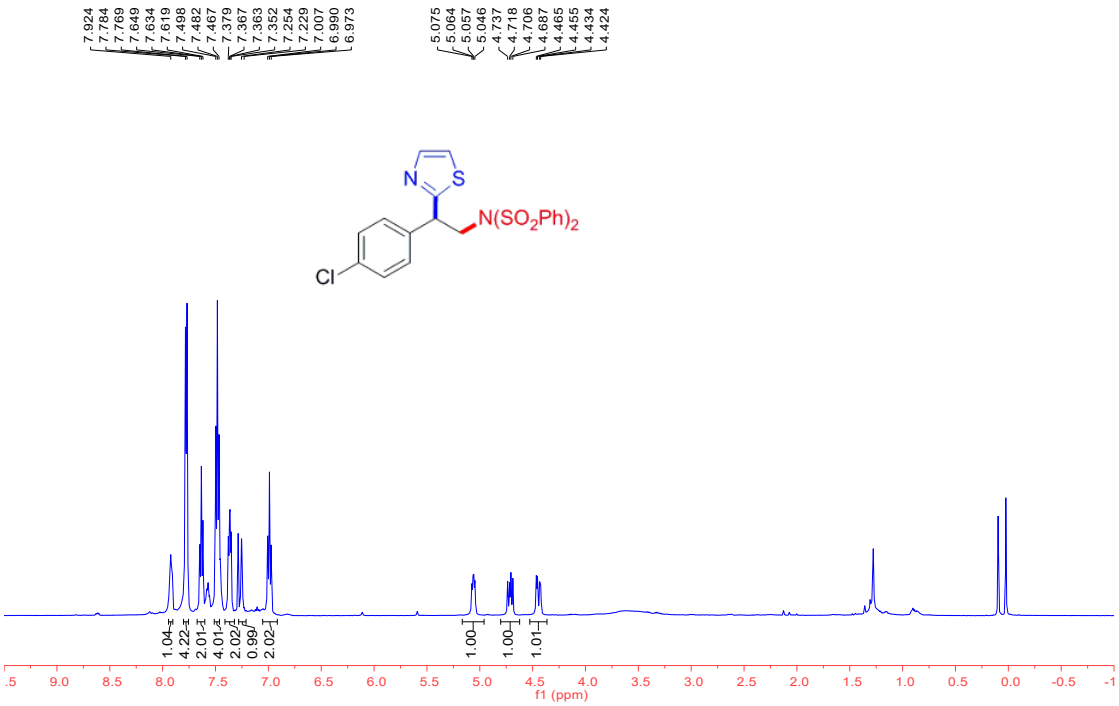


Figure S22. ^{1}H NMR spectrum (500 MHz) of **4i** in $CDCl_3$ at 25 ℃

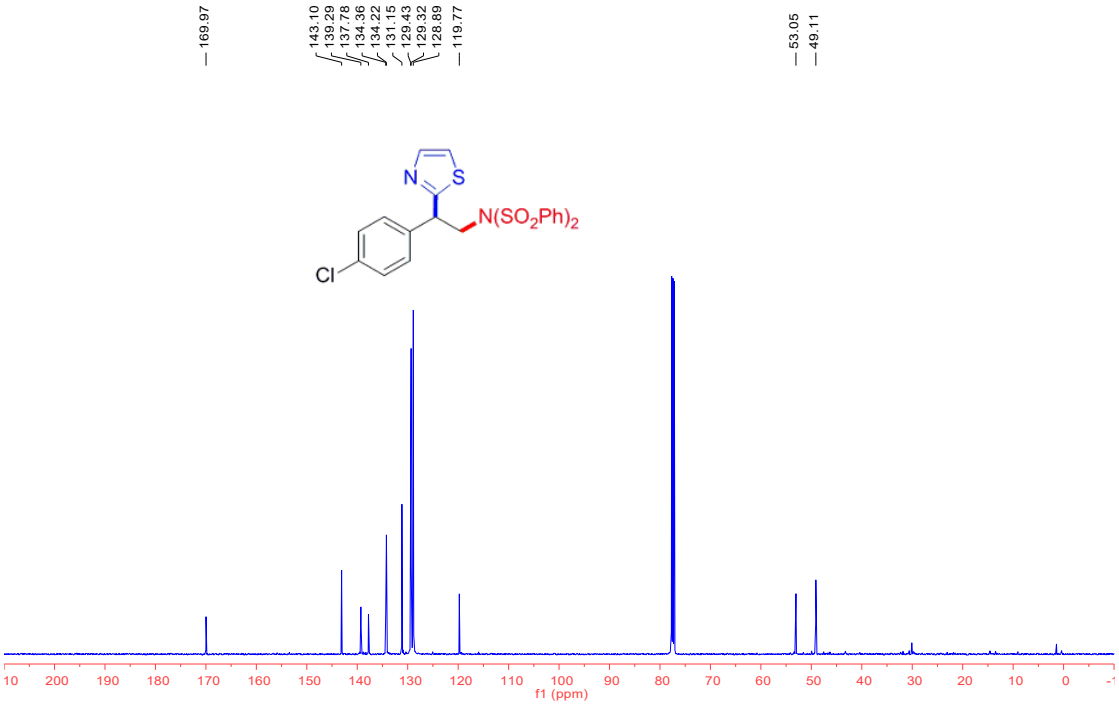


Figure S23. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4i** in $CDCl_3$ at 25 ℃

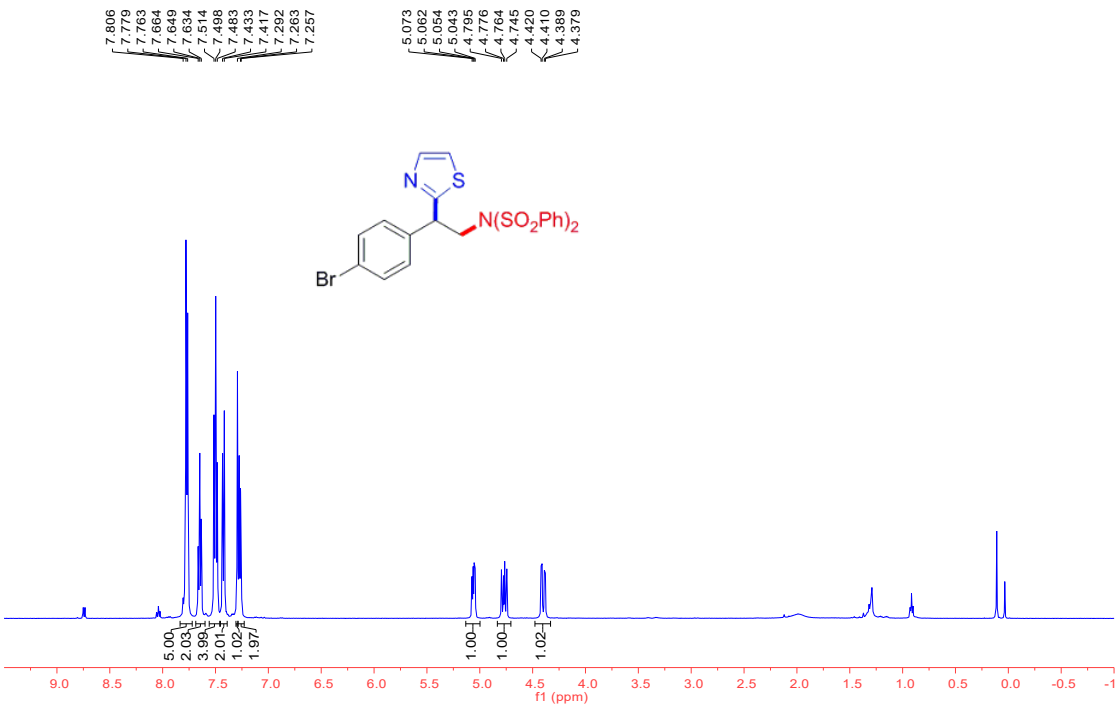


Figure S24. ^{1}H NMR spectrum (500 MHz) of **4j** in $CDCl_3$ at 25 ℃

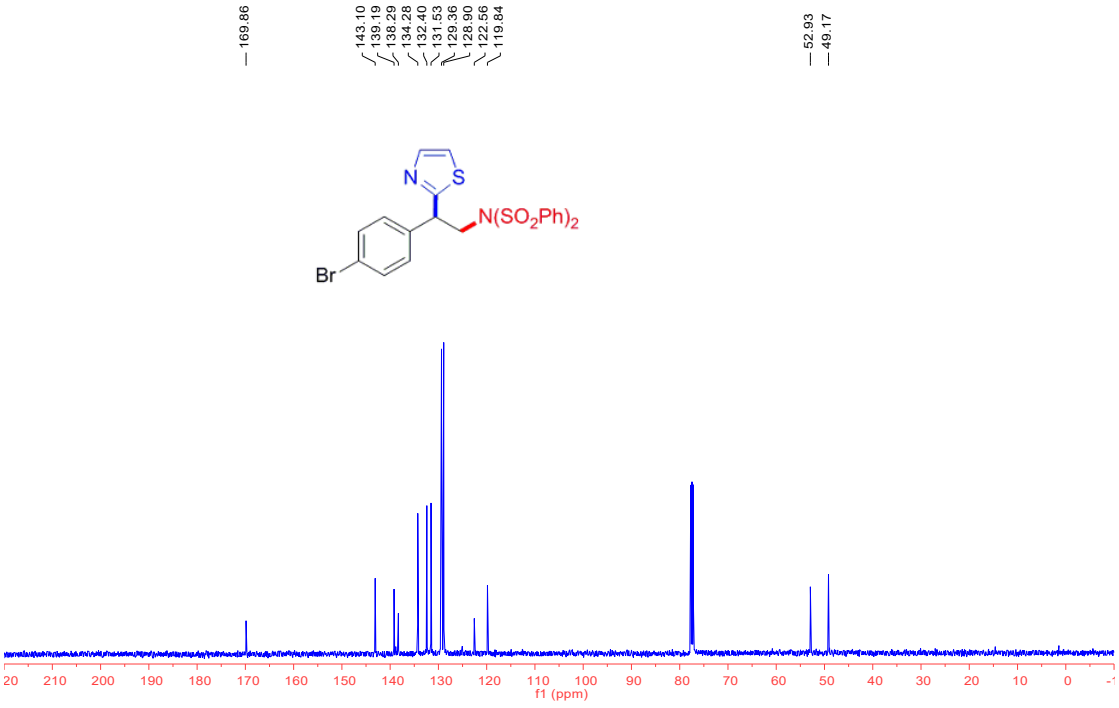


Figure S25. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4j** in $CDCl_3$ at 25 ℃

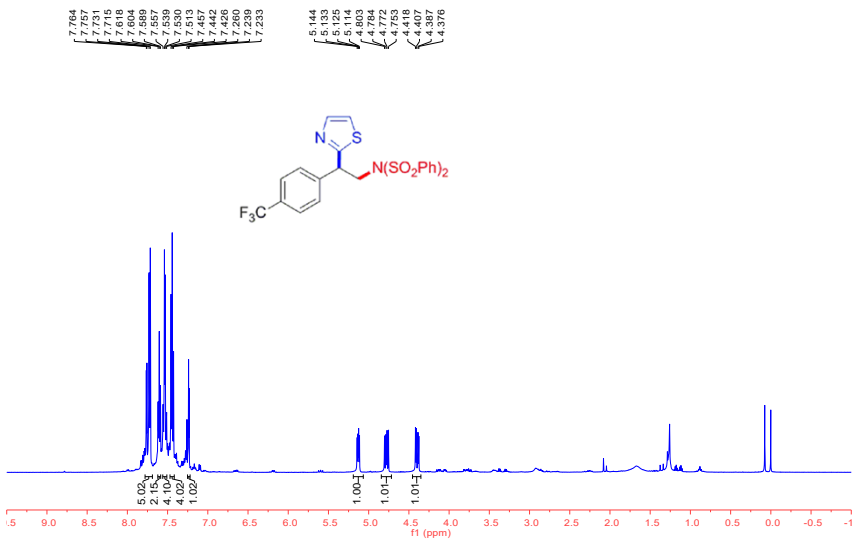


Figure S26. ^{1}H NMR spectrum (500 MHz) of **4k** in $CDCl_3$ at 25 ℃

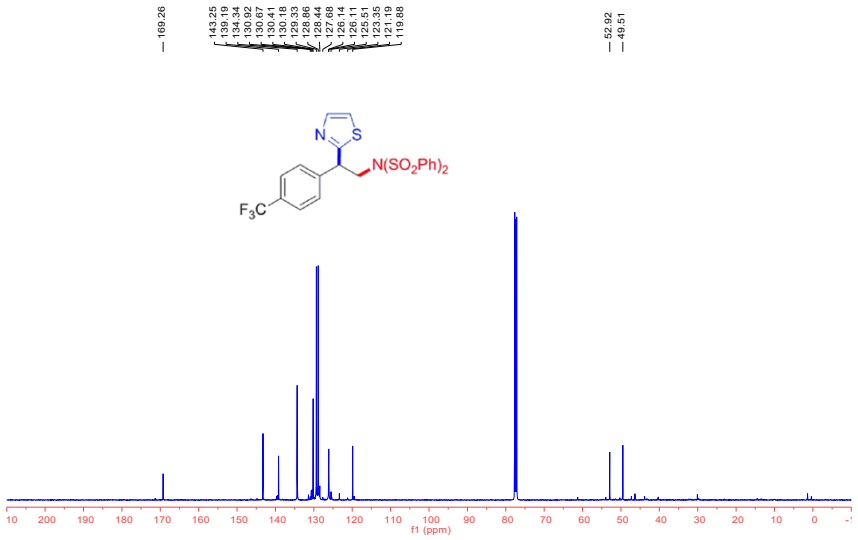


Figure S27. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4k** in $CDCl_3$ at 25 ℃

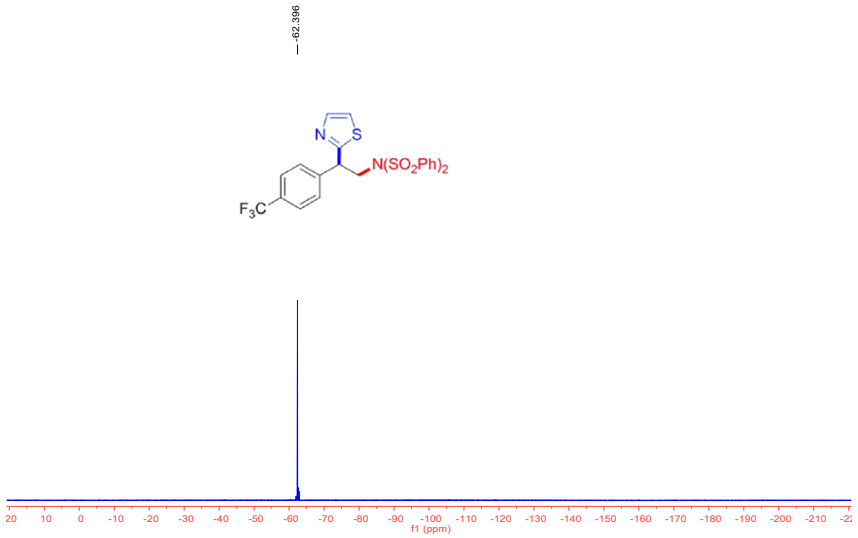


Figure S28. ^{19}F NMR spectrum (470 MHz) of **4k** in $CDCl_3$ at 25 ℃

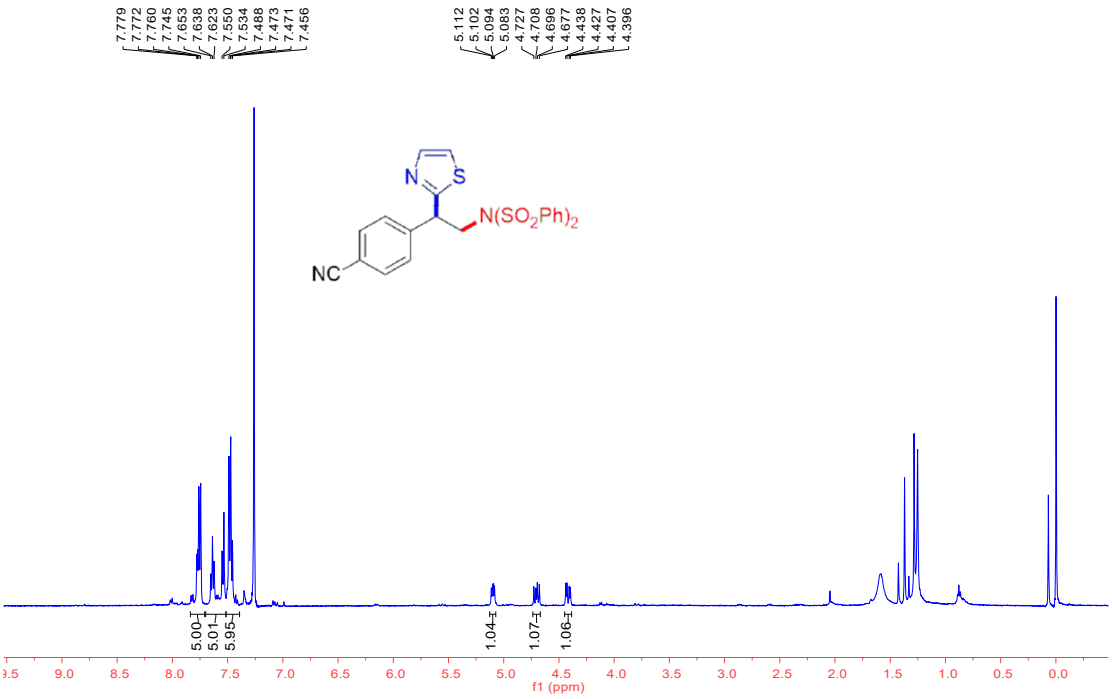


Figure S29. ^{1}H NMR spectrum (500 MHz) of **4l** in $CDCl_3$ at 25 ℃

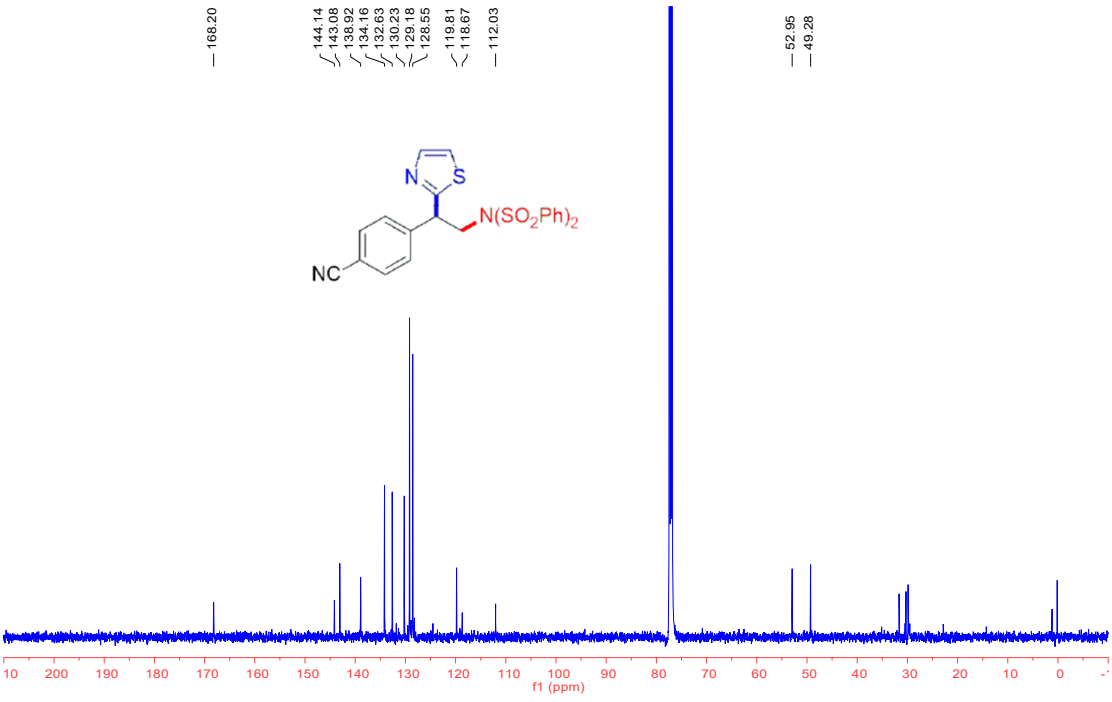


Figure S30. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4l** in $CDCl_3$ at 25 ℃

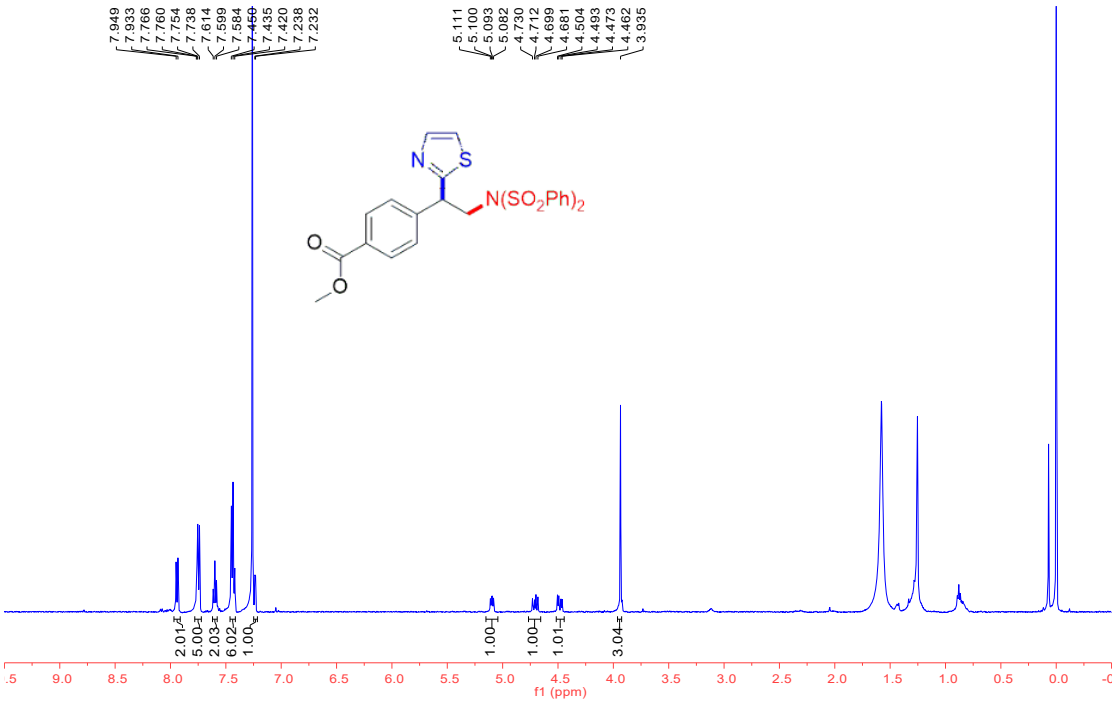


Figure S31. ^{1}H NMR spectrum (500 MHz) of **4m** in $CDCl_3$ at 25 °C

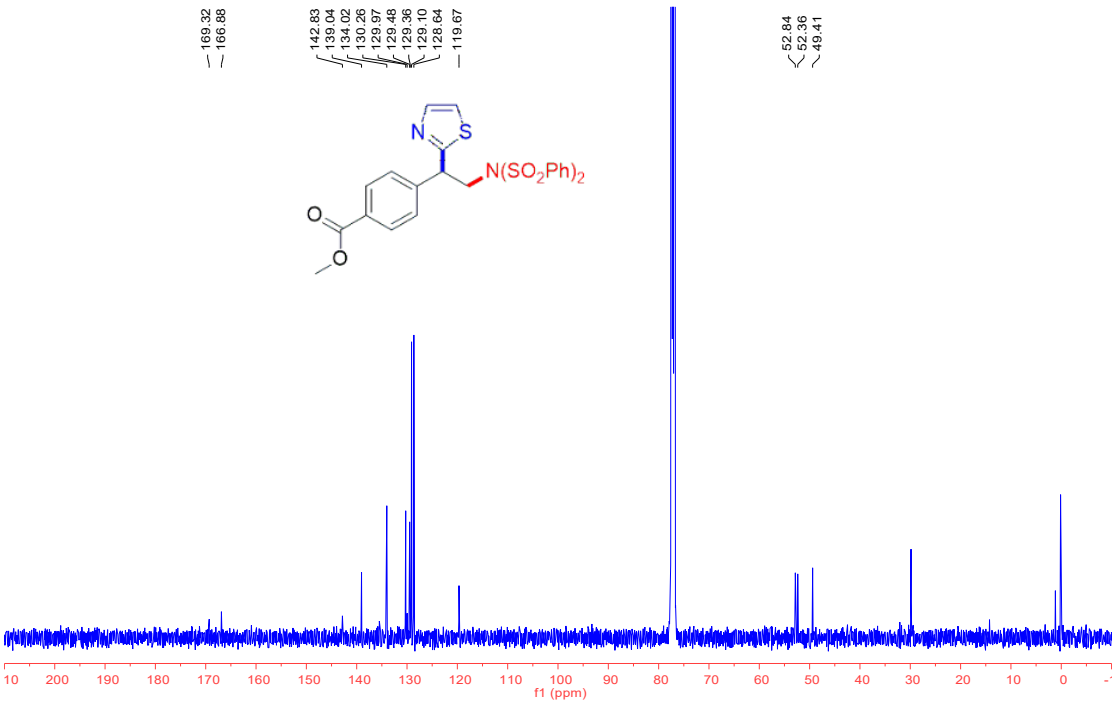


Figure S32. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4m** in $CDCl_3$ at 25 °C

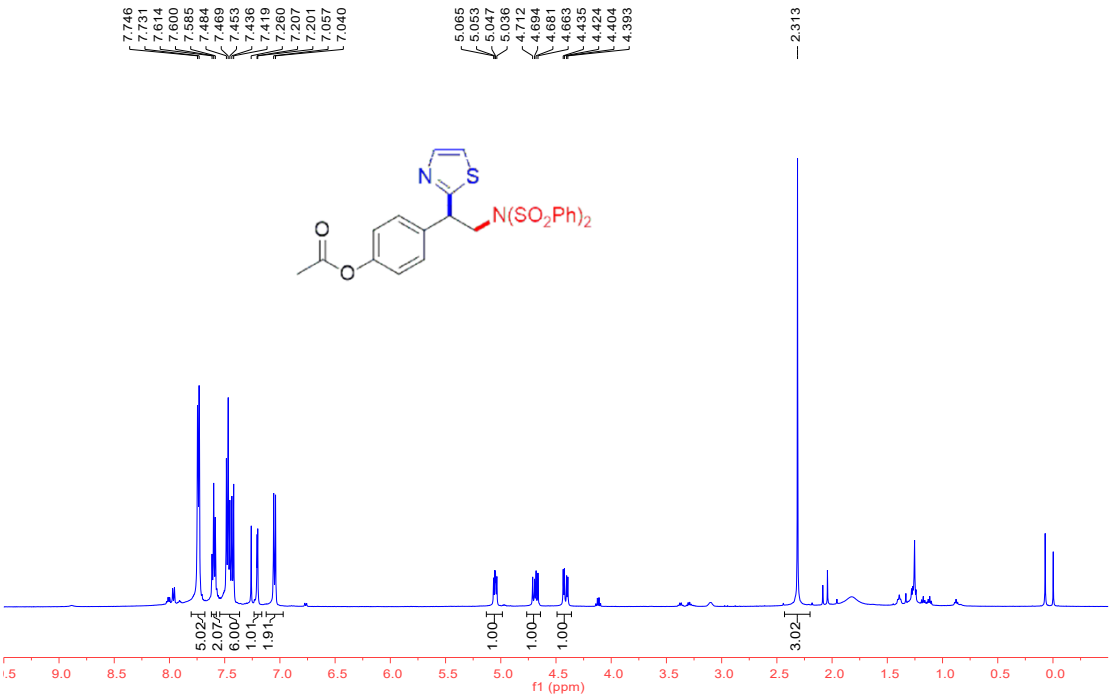


Figure S33. ^{1}H NMR spectrum (500 MHz) of **4n** in $CDCl_3$ at 25 °C

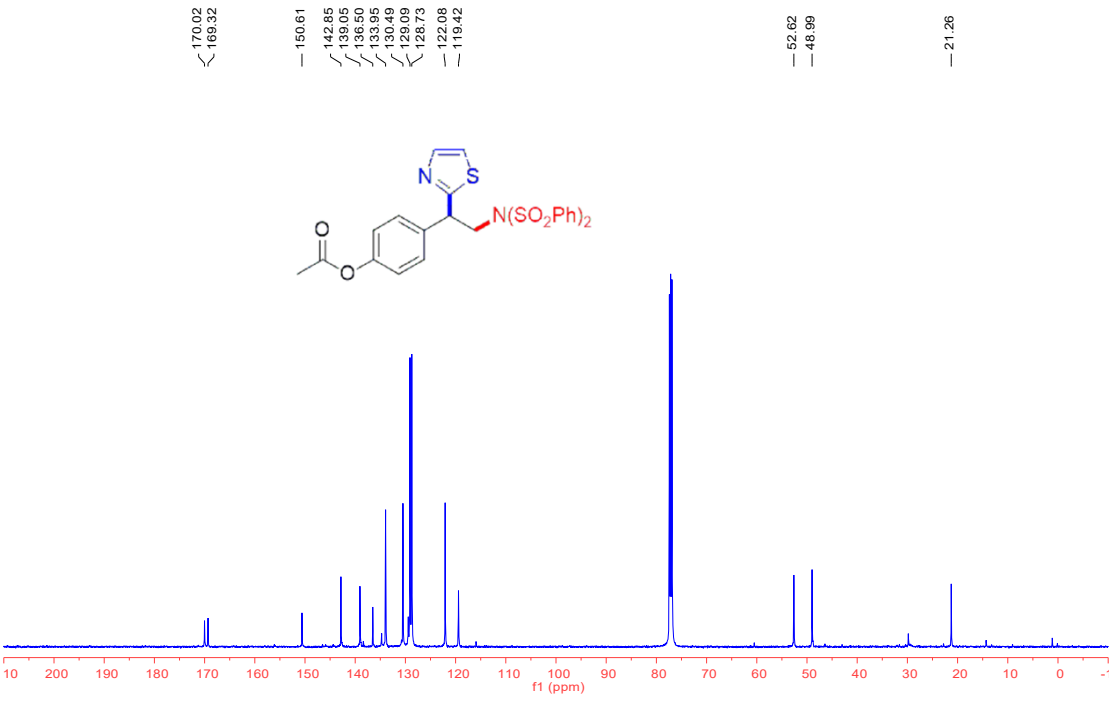


Figure S34. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4n** in $CDCl_3$ at 25 °C

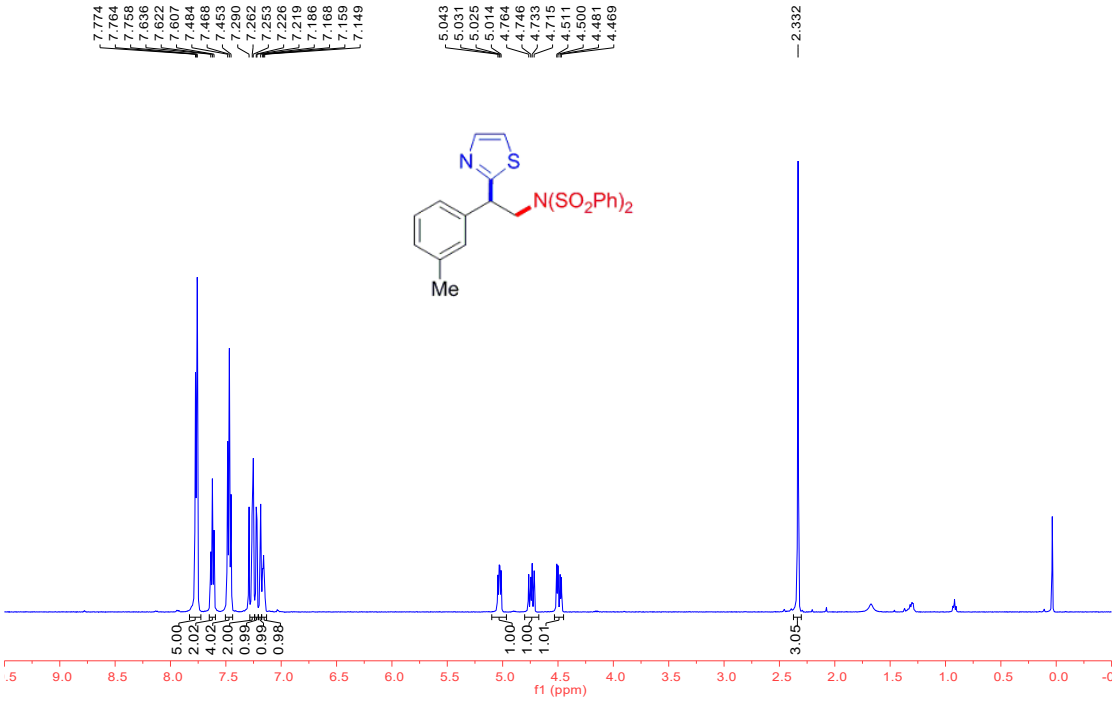


Figure S35. ^{1}H NMR spectrum (500 MHz) of **4o** in $CDCl_3$ at 25 °C

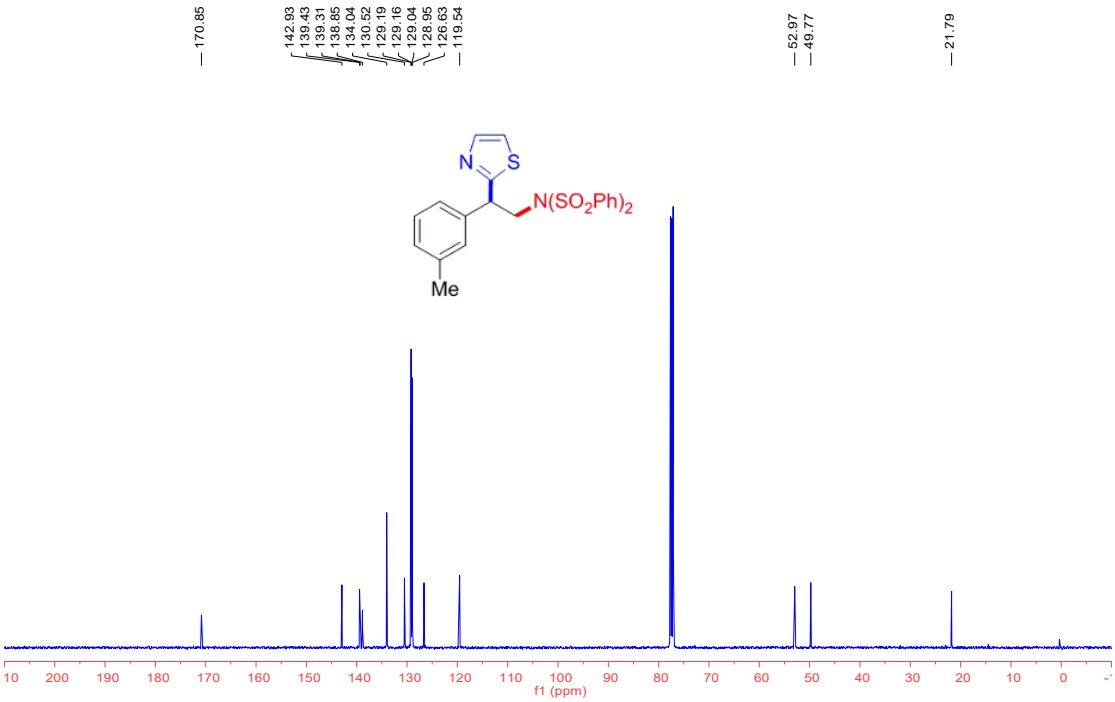


Figure S36. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4o** in $CDCl_3$ at 25 °C

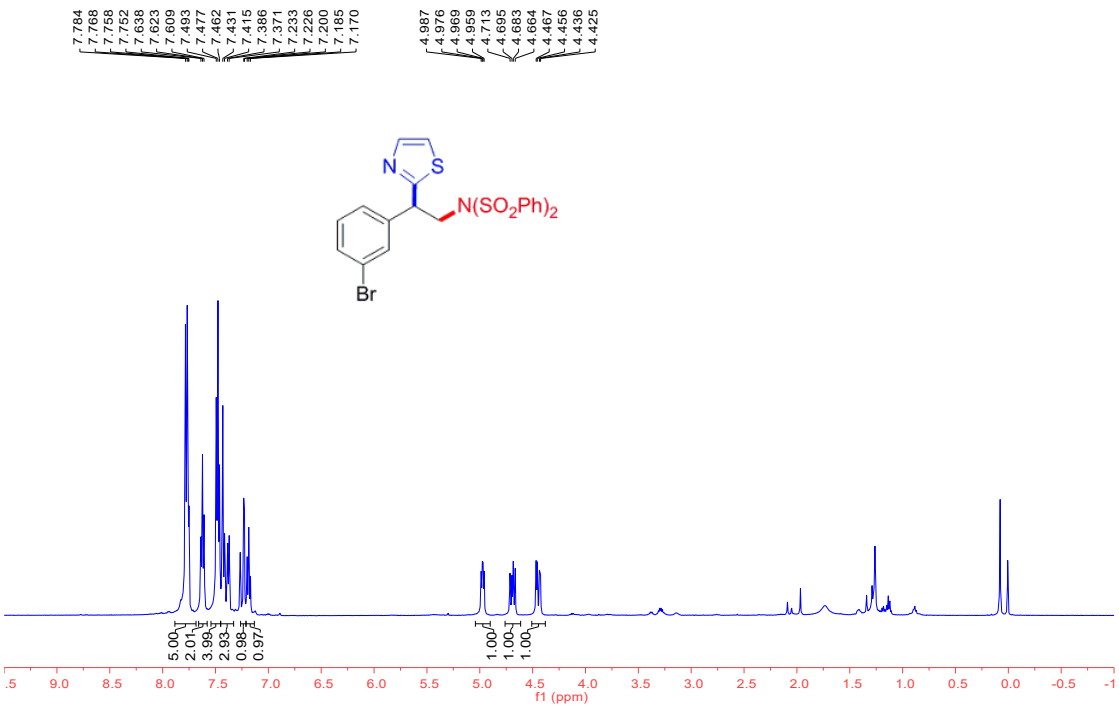


Figure S37. ^{1}H NMR spectrum (500 MHz) of **4p** in $CDCl_3$ at 25 ℃

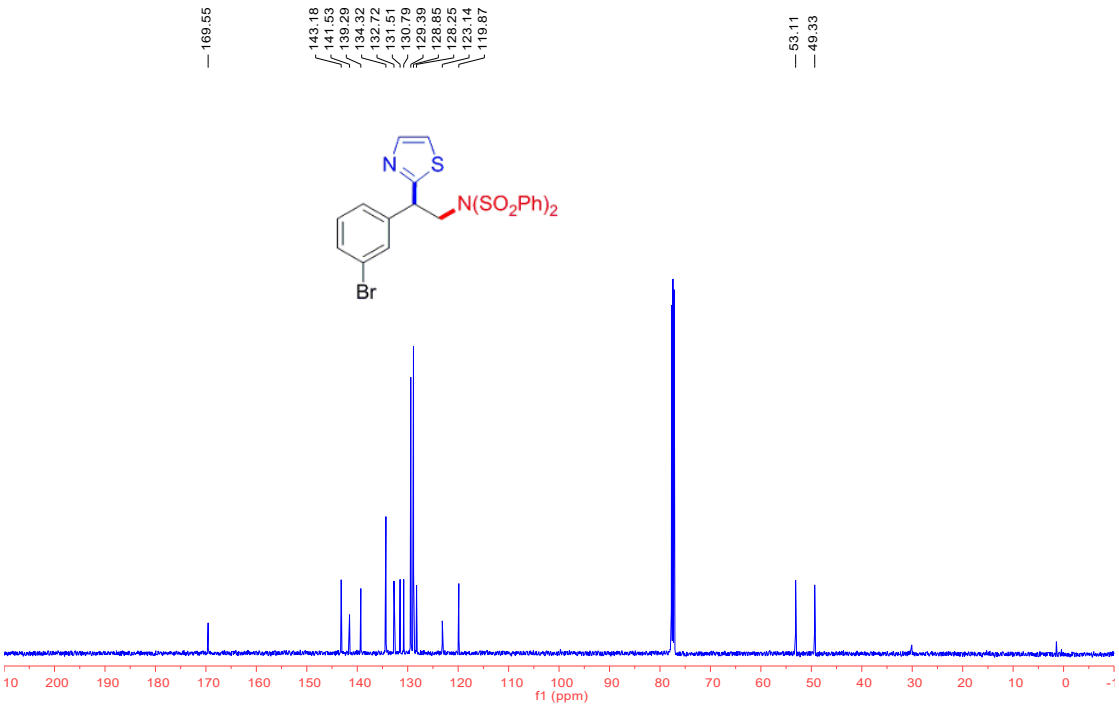


Figure S38. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4p** in $CDCl_3$ at 25 ℃

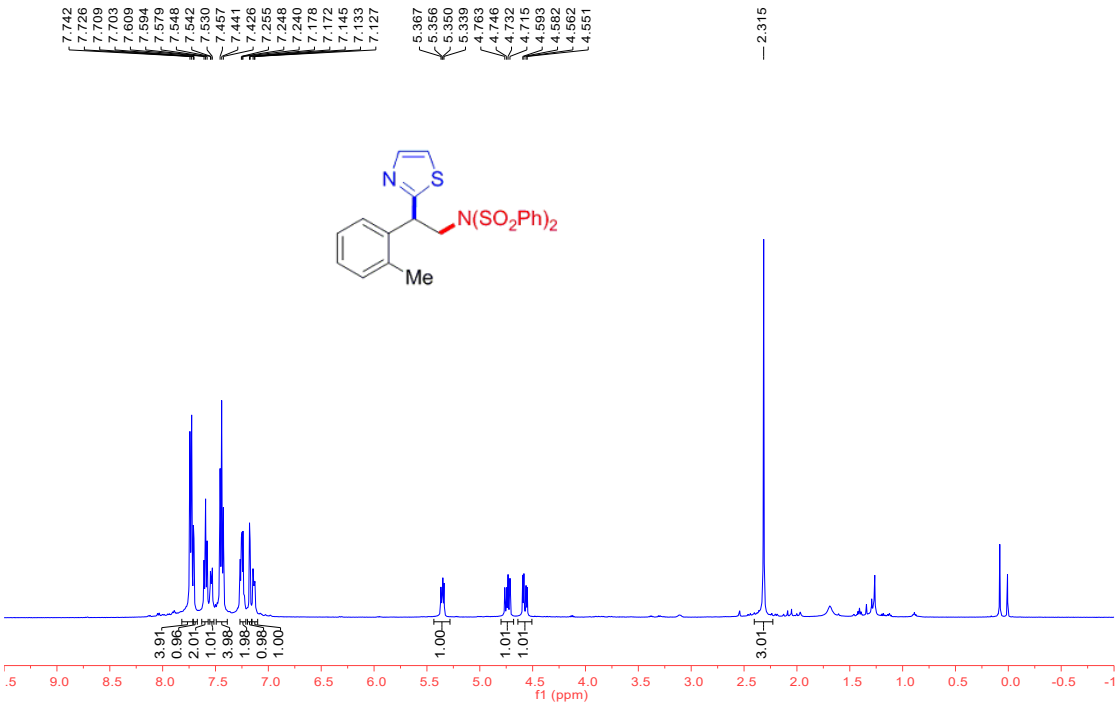


Figure S39. ^{1}H NMR spectrum (500 MHz) of **4q** in $CDCl_3$ at 25 ℃

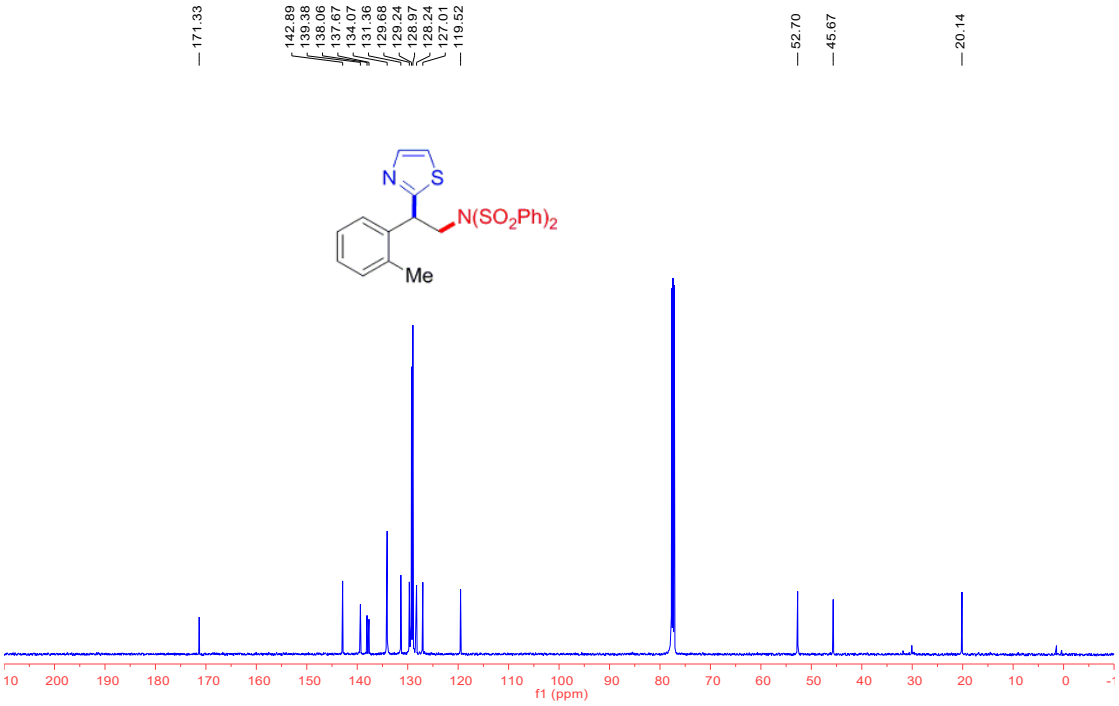


Figure S40. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4q** in $CDCl_3$ at 25 ℃

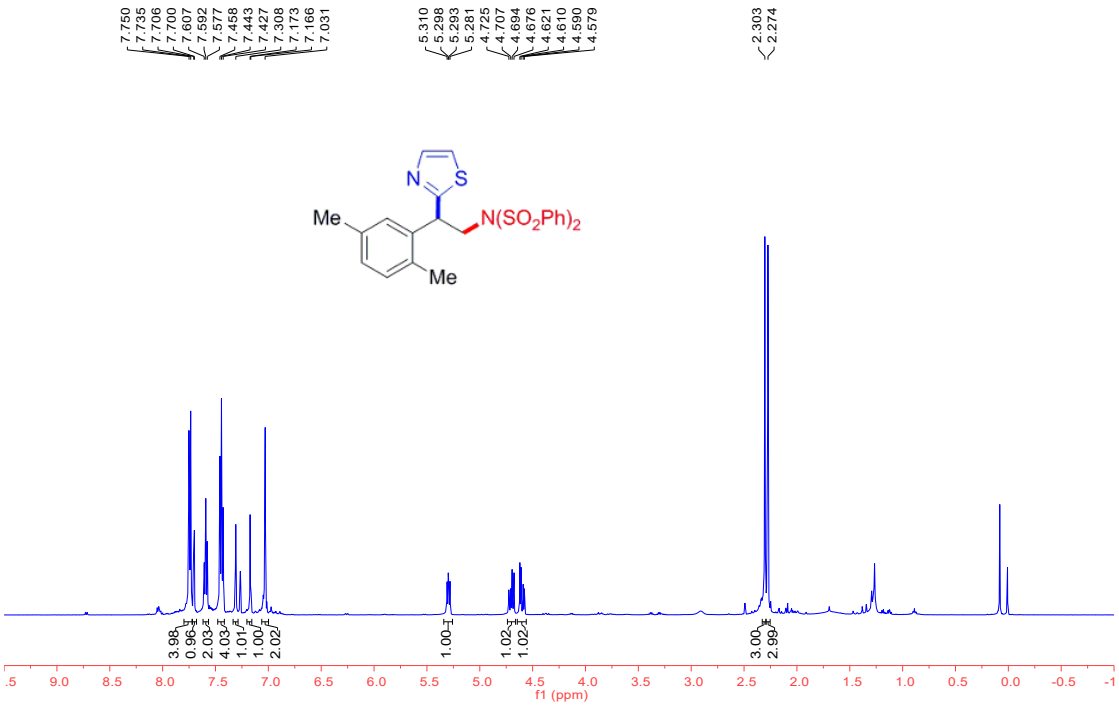


Figure S41. ^{1}H NMR spectrum (500 MHz) of **4r** in $CDCl_3$ at 25 °C

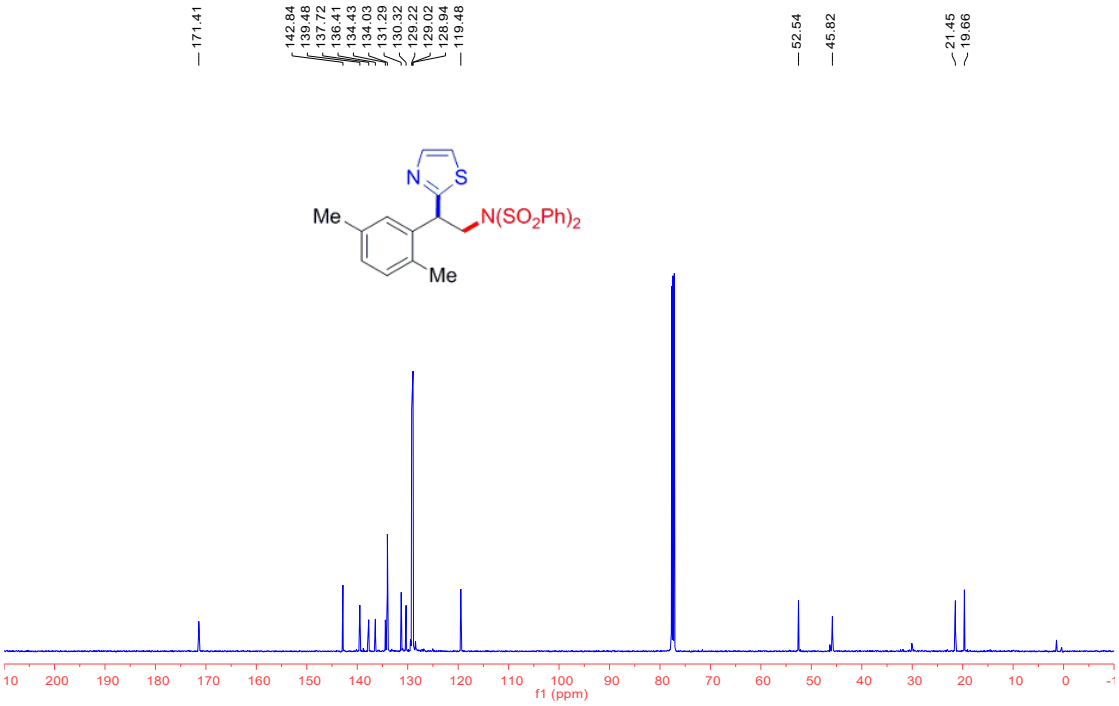


Figure S42. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4r** in $CDCl_3$ at 25 °C

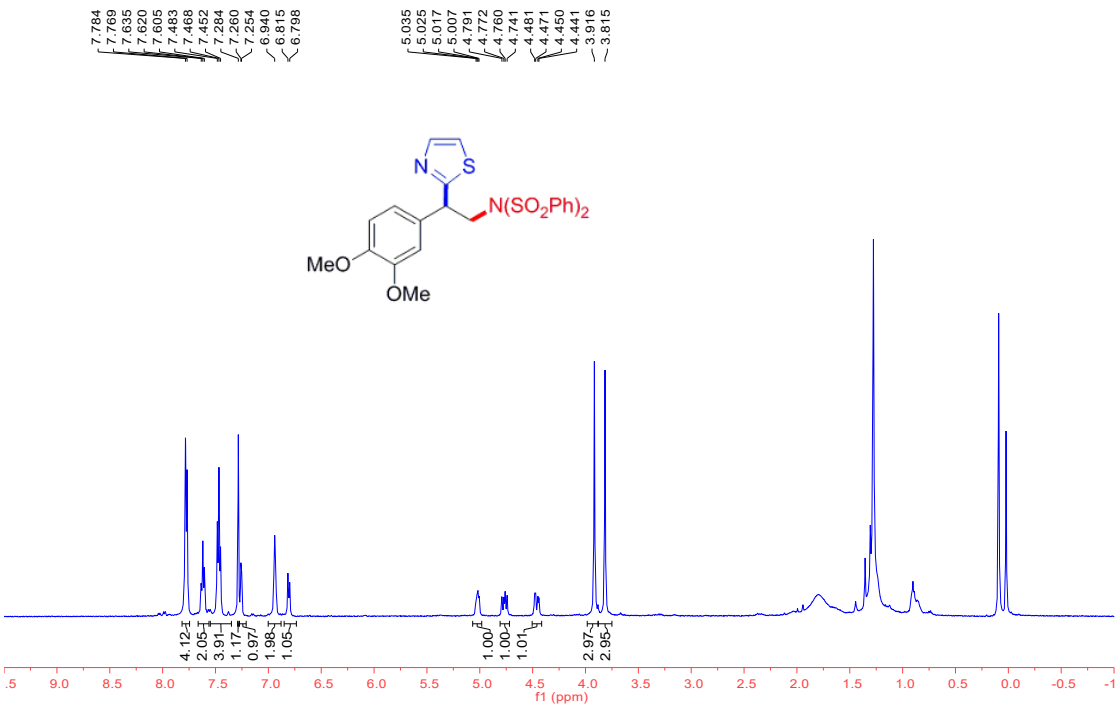


Figure S43. ^{1}H NMR spectrum (500 MHz) of **4s** in $CDCl_3$ at 25 ℃

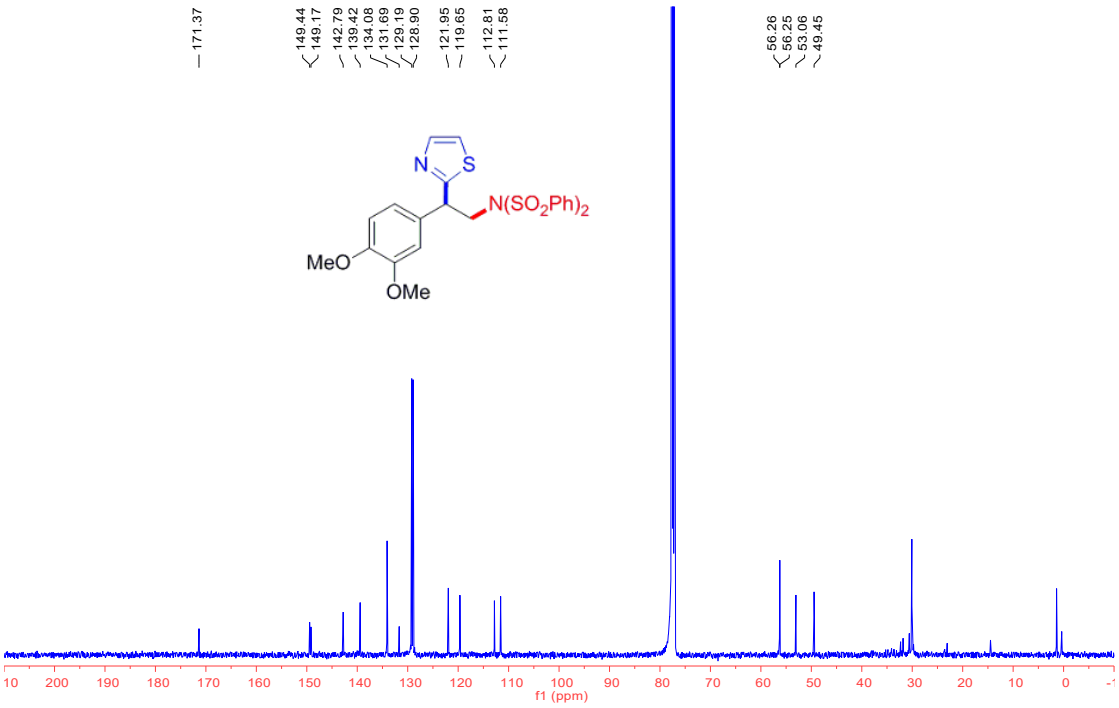


Figure S44. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4s** in $CDCl_3$ at 25 ℃

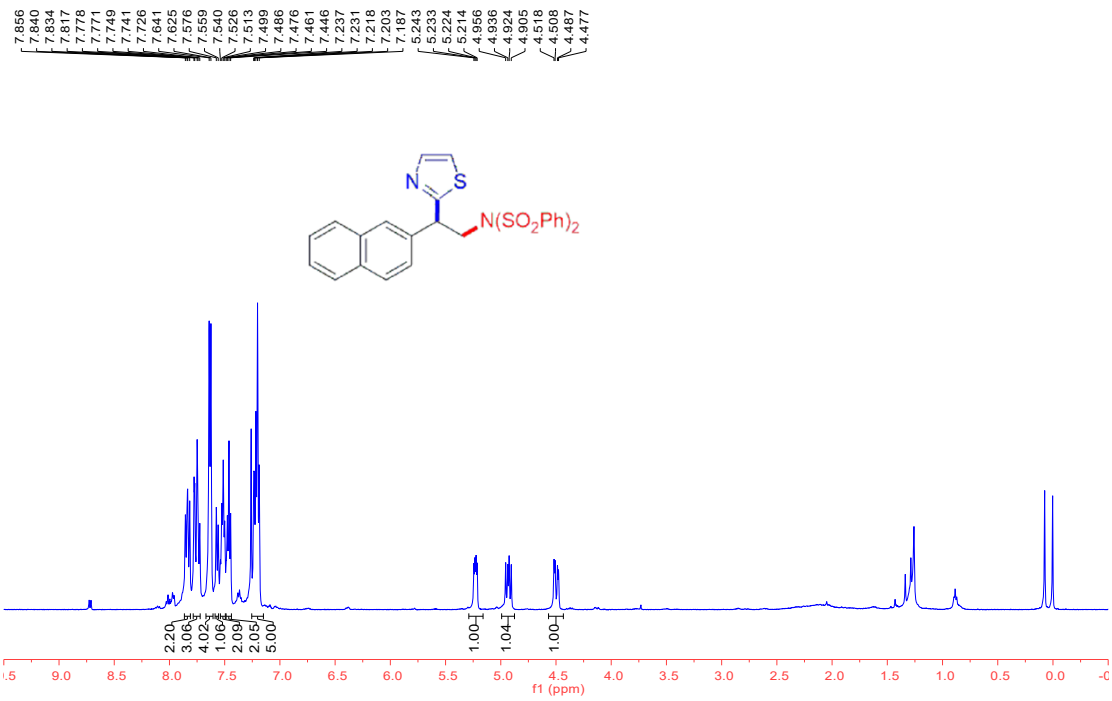


Figure S45. ^{1}H NMR spectrum (500 MHz) of **4t** in $CDCl_3$ at 25 ℃

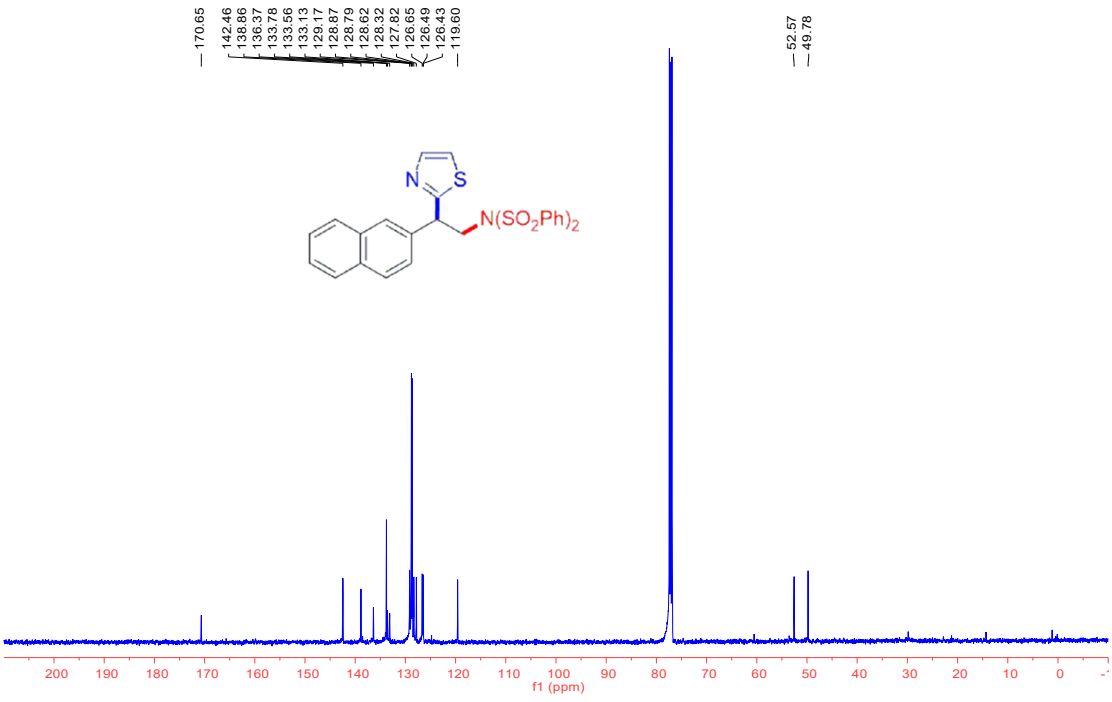


Figure S46. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4t** in $CDCl_3$ at 25 ℃

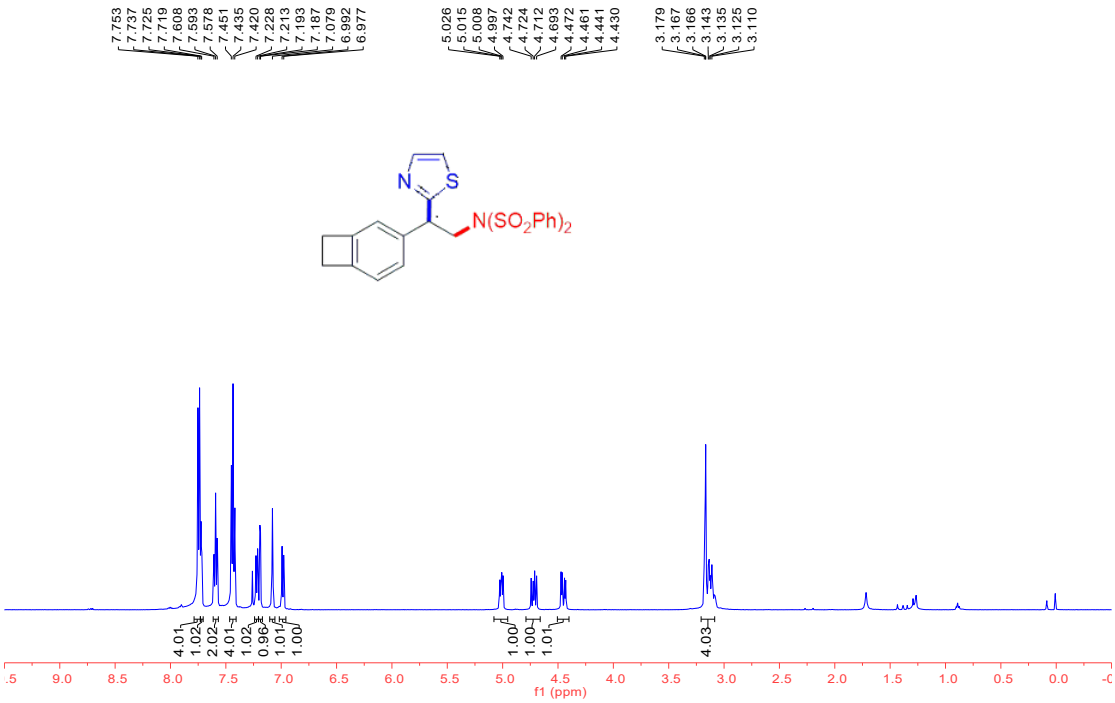


Figure S47. ^{1}H NMR spectrum (500 MHz) of **4u** in $CDCl_3$ at 25 °C

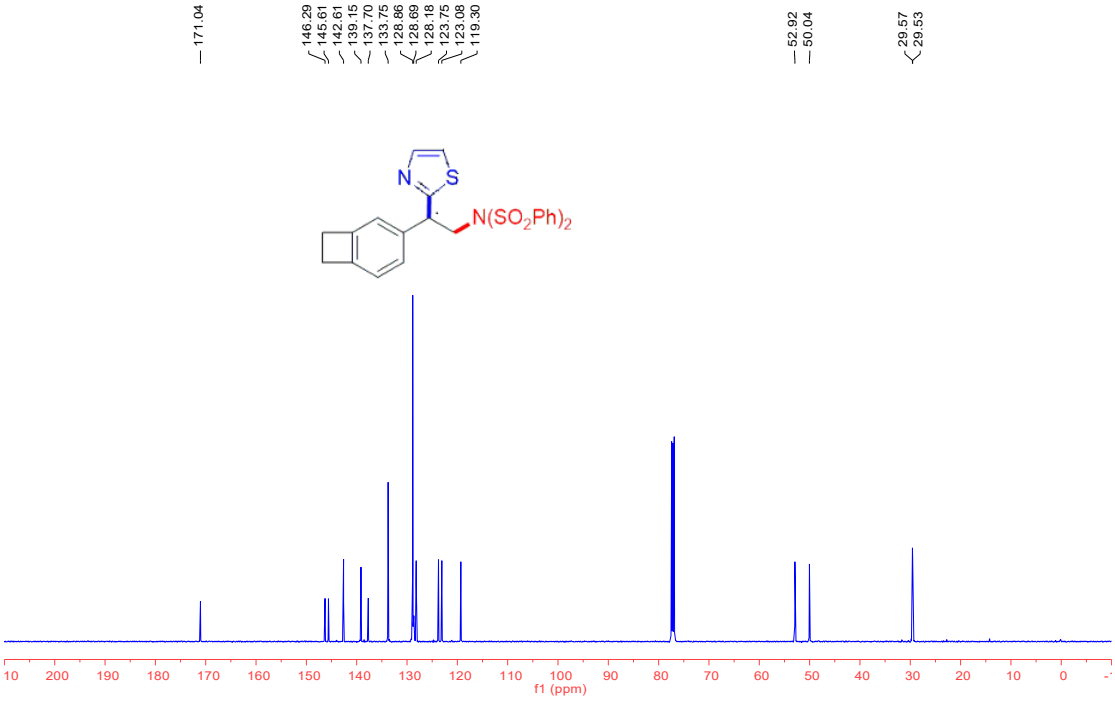


Figure S48. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **4u** in $CDCl_3$ at 25 °C

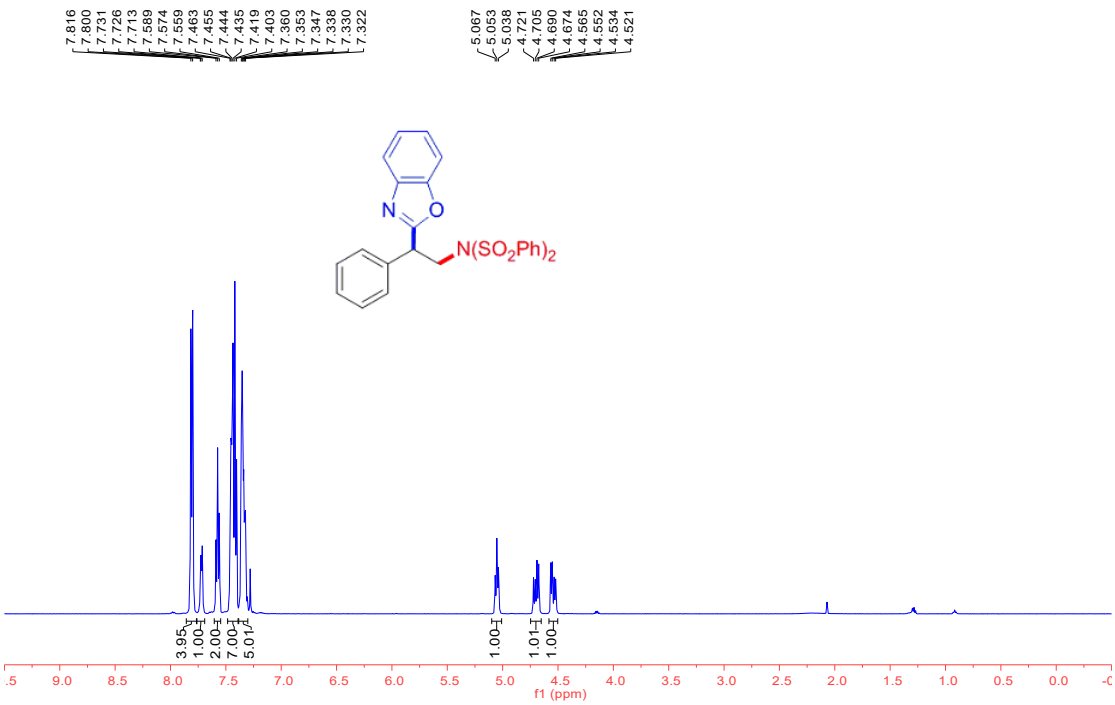


Figure S49. ^{1}H NMR spectrum (500 MHz) of **5a** in $CDCl_3$ at 25 ℃

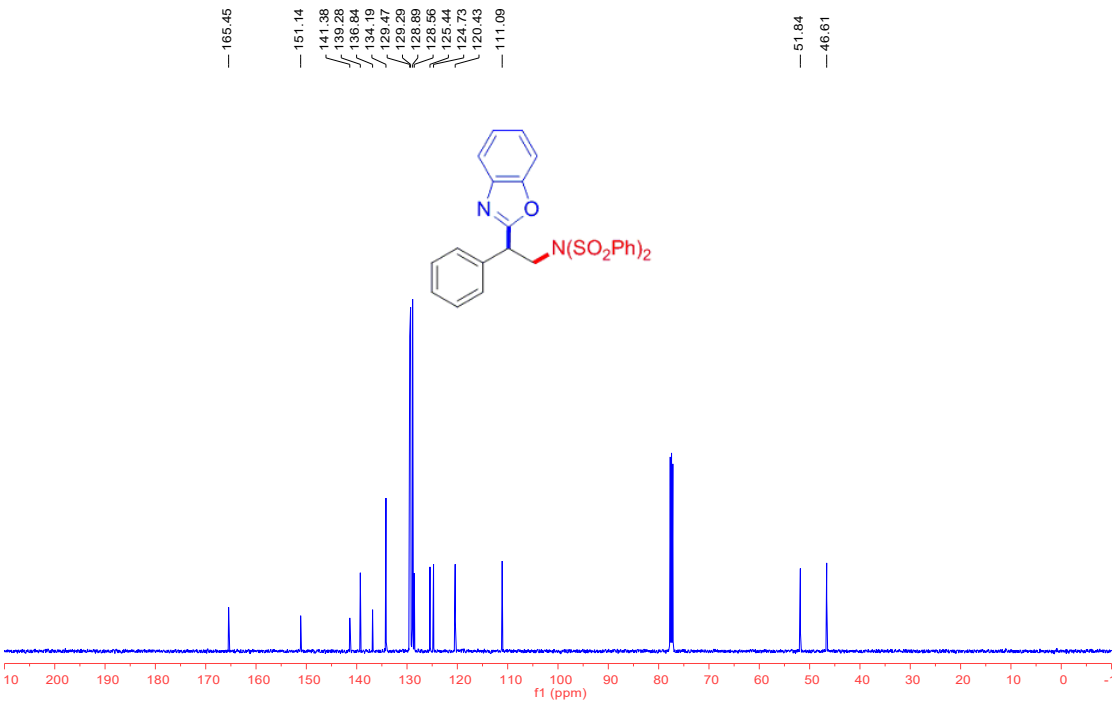


Figure S50. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5a** in $CDCl_3$ at 25 ℃

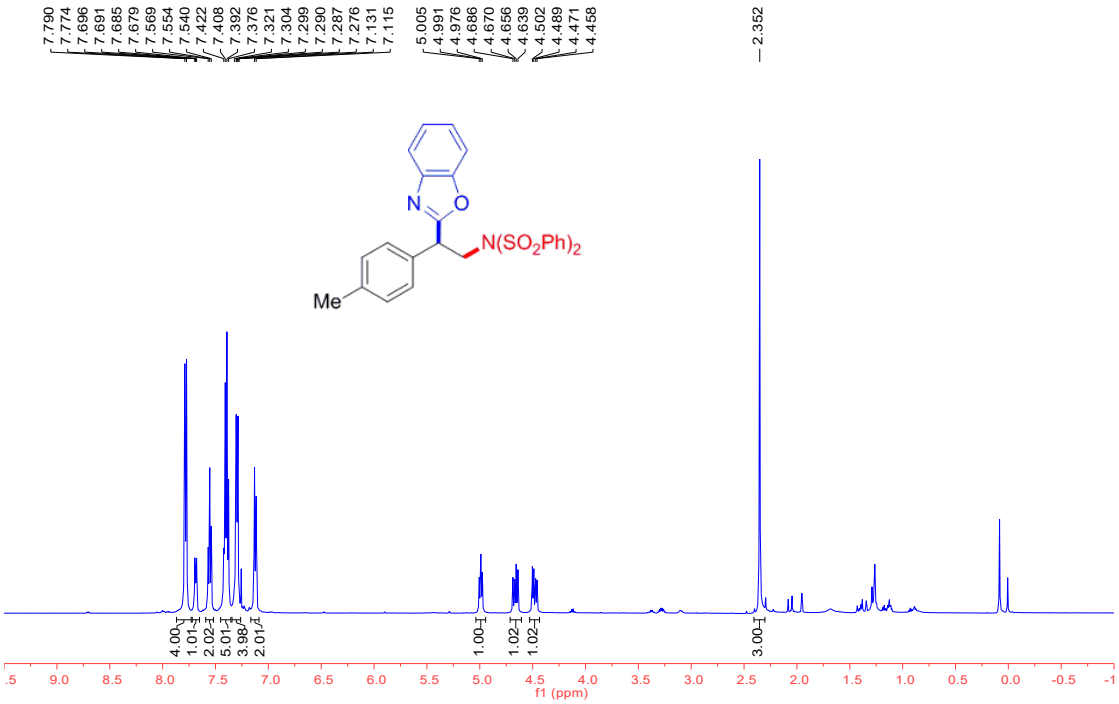


Figure S51. ^{1}H NMR spectrum (500 MHz) of **5b** in $CDCl_3$ at 25 ℃

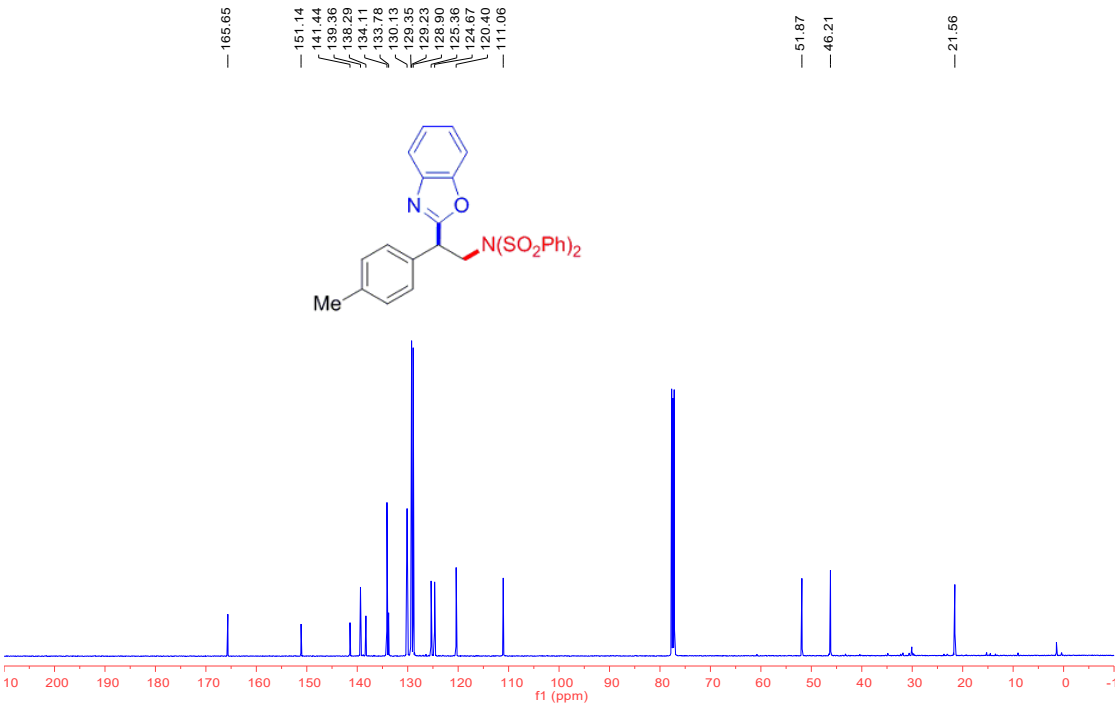


Figure S52. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5b** in $CDCl_3$ at 25 ℃

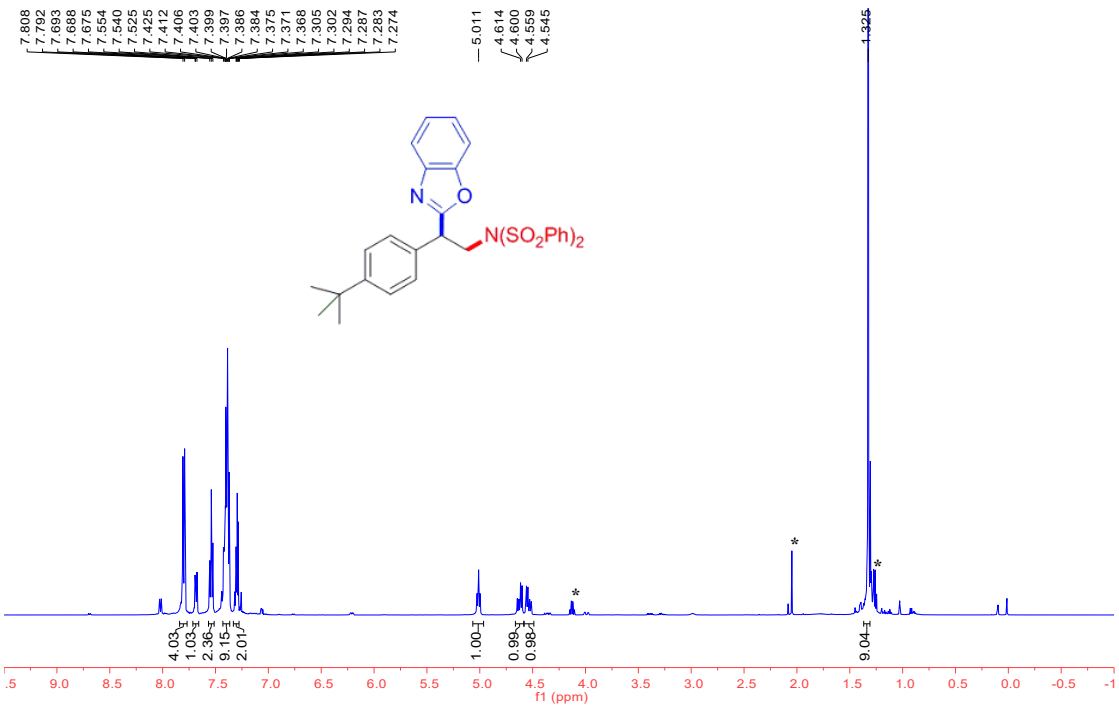


Figure S53. ^{1}H NMR spectrum (500 MHz) of **5c** in $CDCl_3$ at 25 °C. * = EtOAc

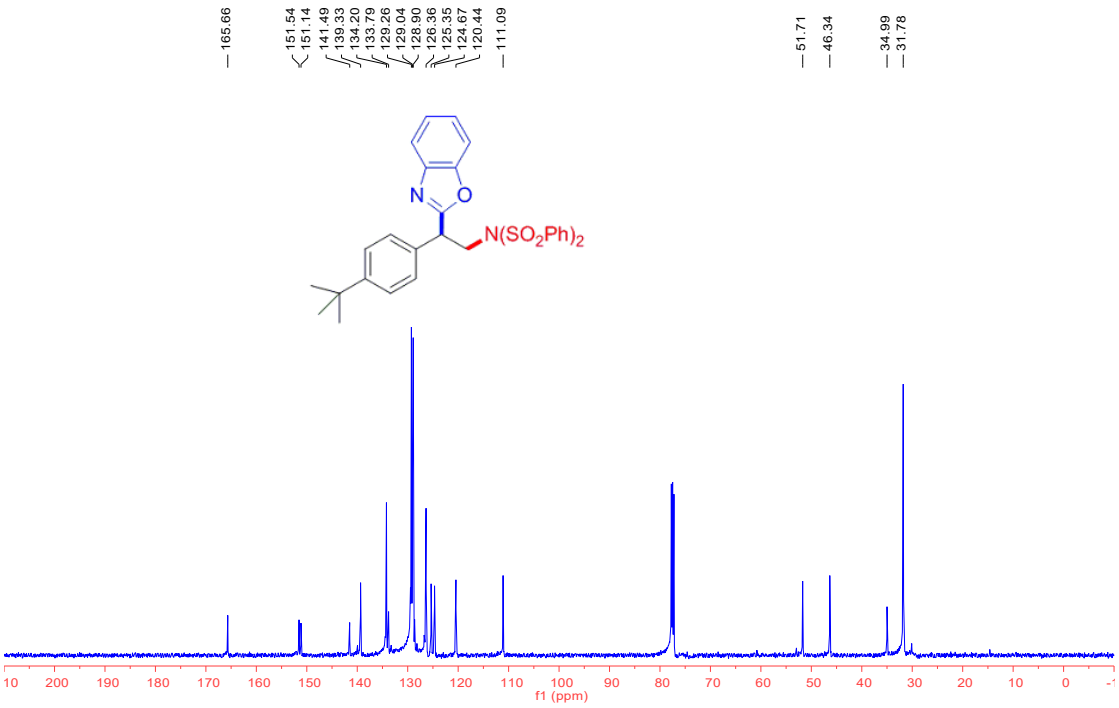


Figure S54. $^{13}C\{^{1}H\}$ NMR spectrum (125 MHz) of **5c** in $CDCl_3$ at 25 °C

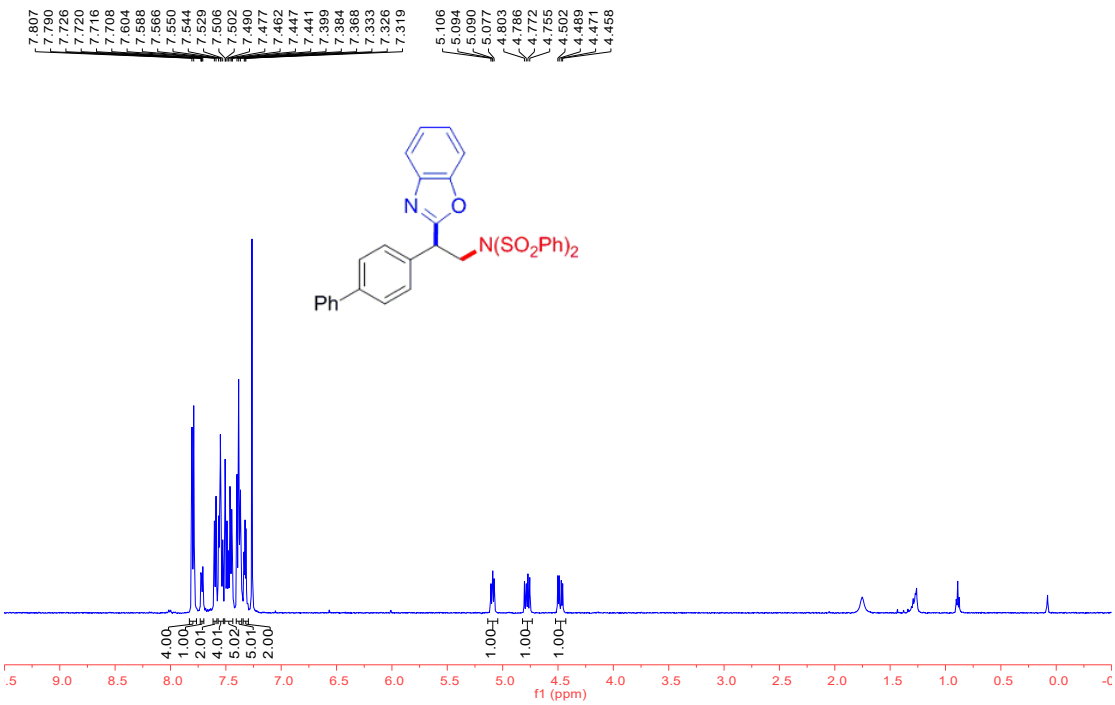


Figure S55. ^{1}H NMR spectrum (500 MHz) of **5d** in $CDCl_3$ at 25 °C

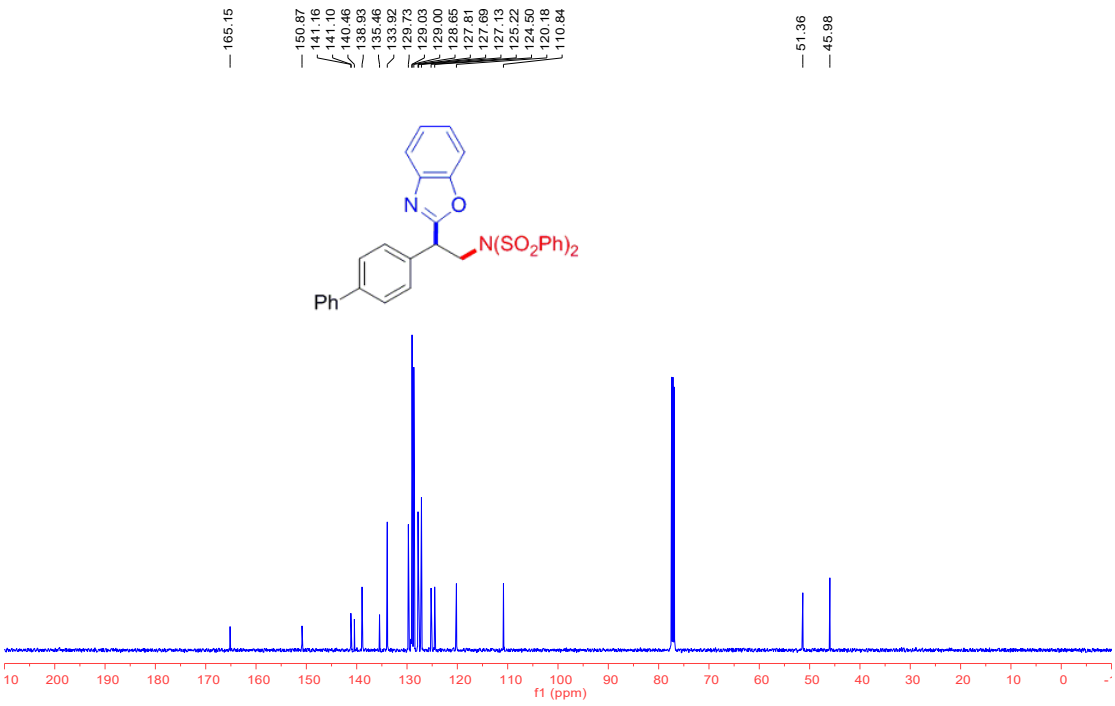


Figure S56. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5d** in $CDCl_3$ at 25 °C

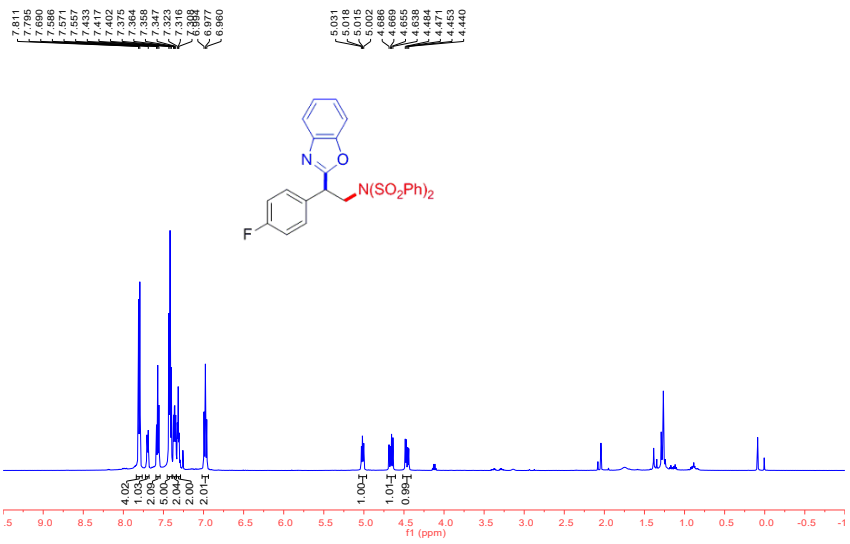


Figure S57. ^{1}H NMR spectrum (500 MHz) of **5e** in $CDCl_3$ at 25 ℃

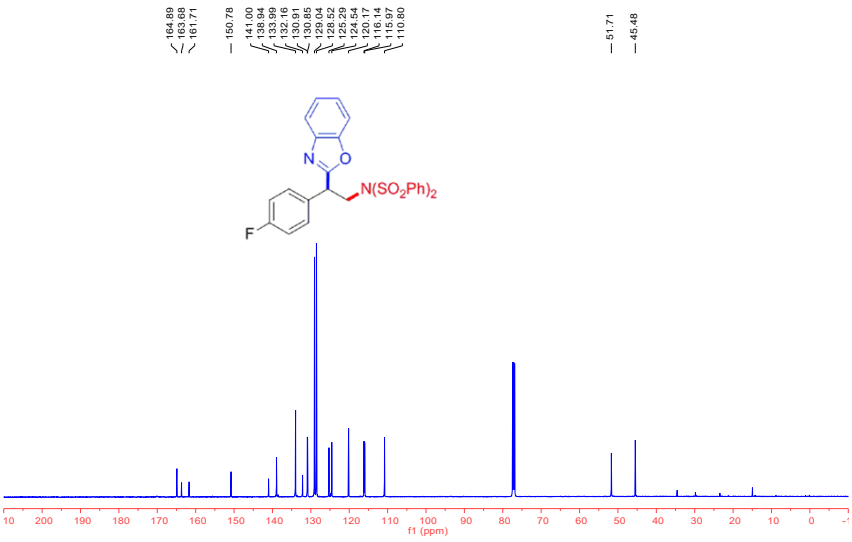


Figure S58. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5e** in $CDCl_3$ at 25 ℃

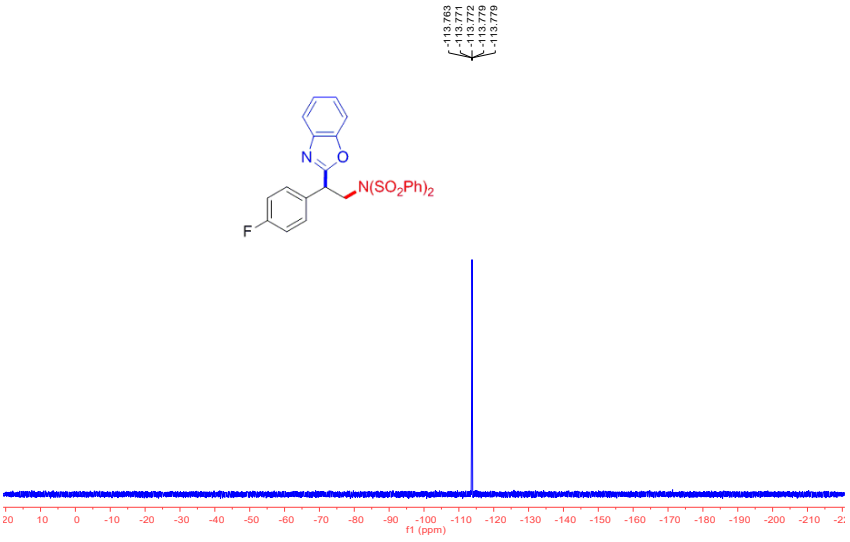


Figure S59. ^{19}F NMR spectrum (470 MHz) of **5e** in $CDCl_3$ at 25 ℃

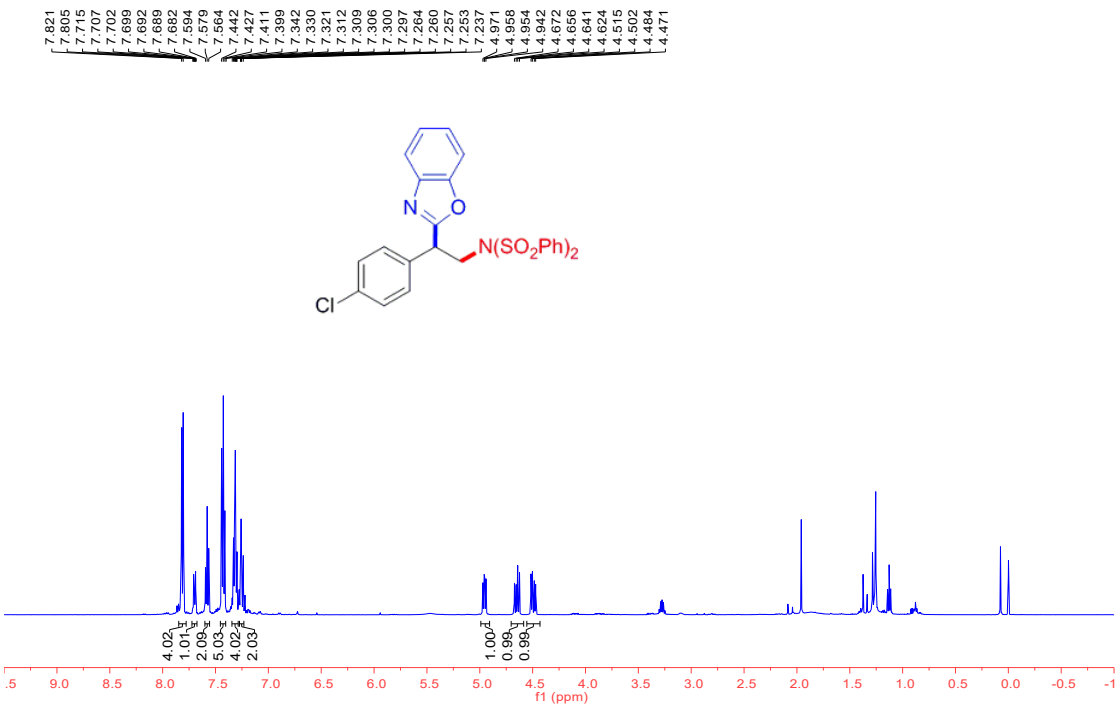


Figure S60. ^{1}H NMR spectrum (500 MHz) of **5f** in $CDCl_3$ at 25 °C

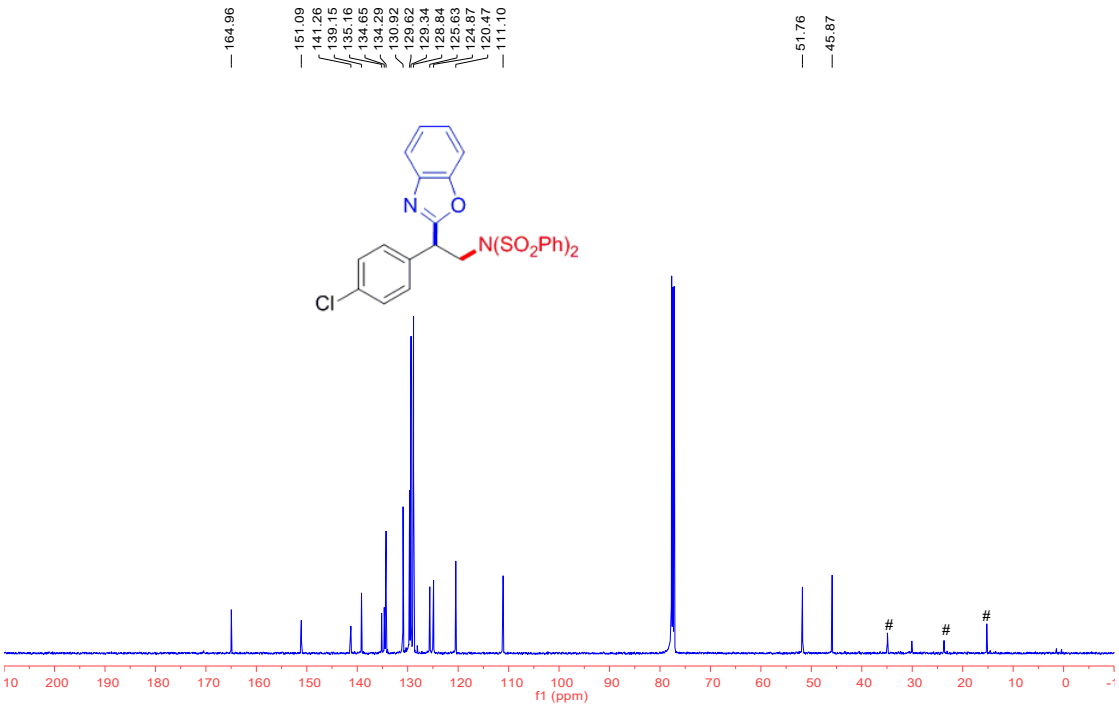


Figure S61. $^{13}C\{^{1}H\}$ NMR spectrum (125 MHz) of **5f** in $CDCl_3$ at 25 °C.

= *n*-hexane

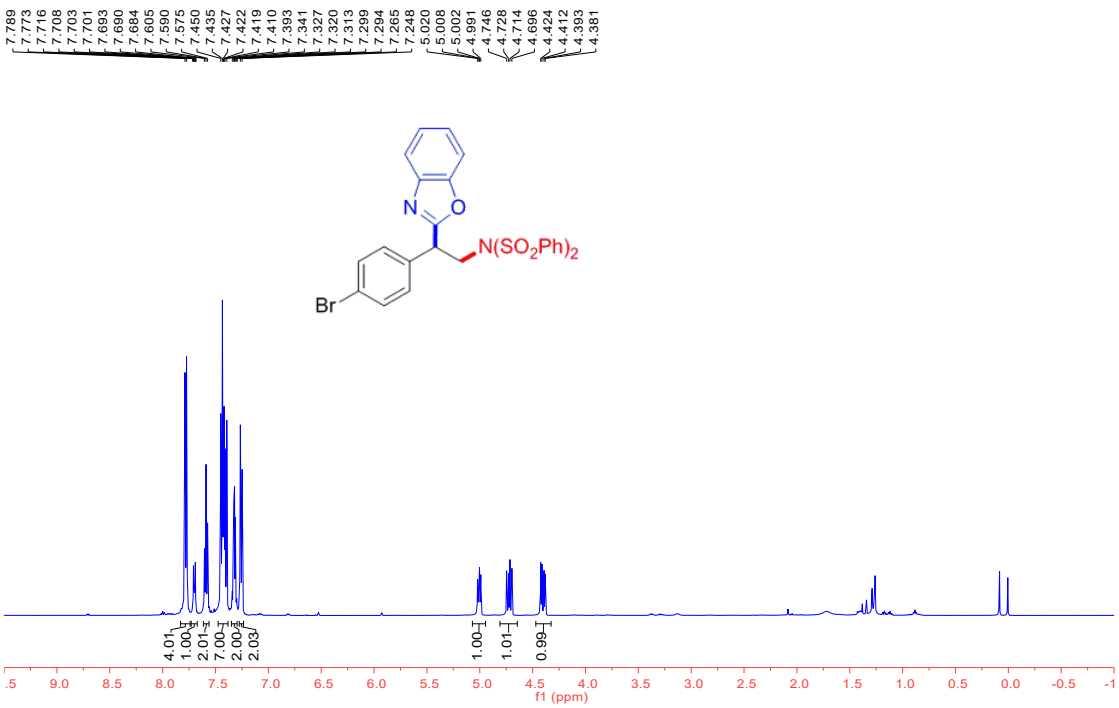


Figure S62. ^{1}H NMR spectrum (500 MHz) of **5g** in $CDCl_3$ at 25 ℃

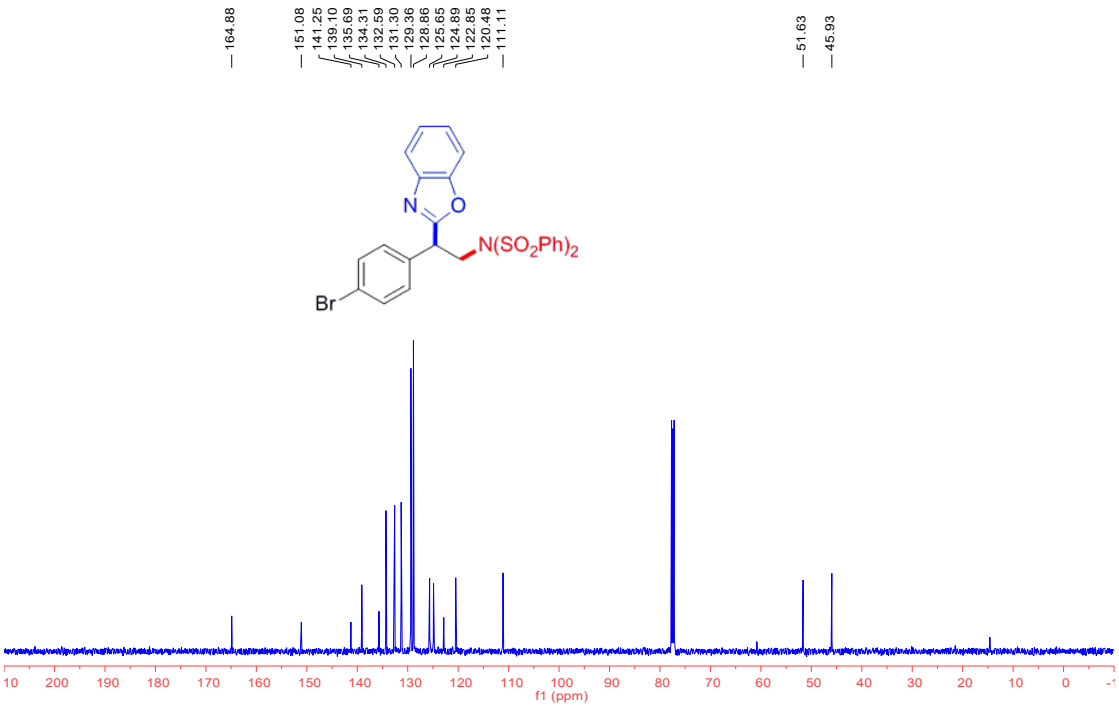


Figure S63. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5g** in $CDCl_3$ at 25 ℃

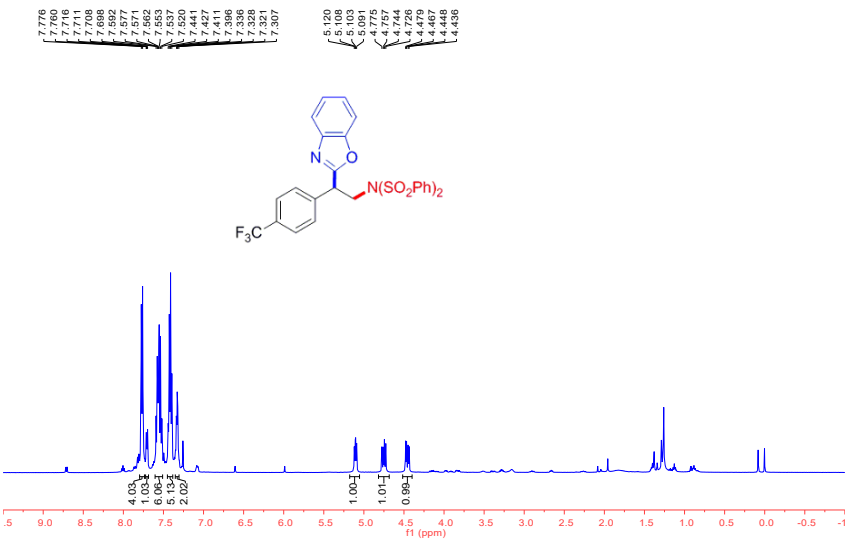


Figure S64. ^{1}H NMR spectrum (500 MHz) of **5h** in $CDCl_3$ at 25 °C

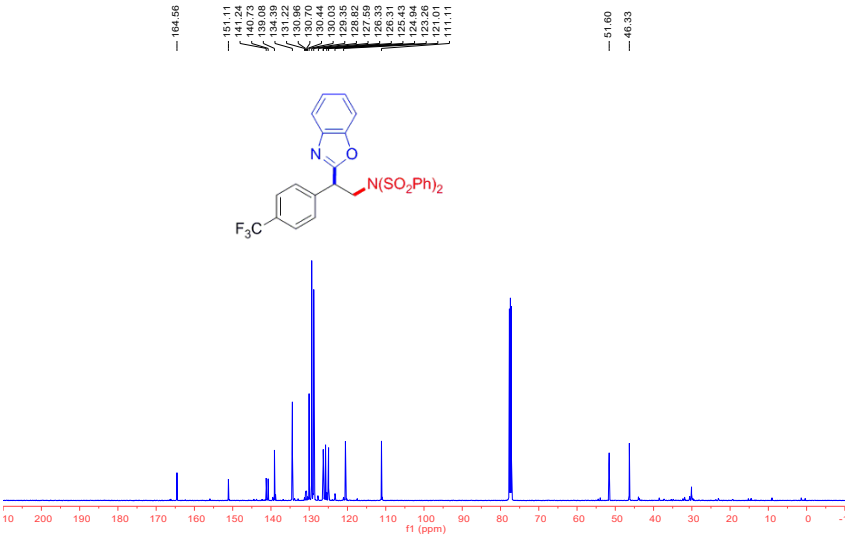


Figure S65. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5h** in $CDCl_3$ at 25 °C

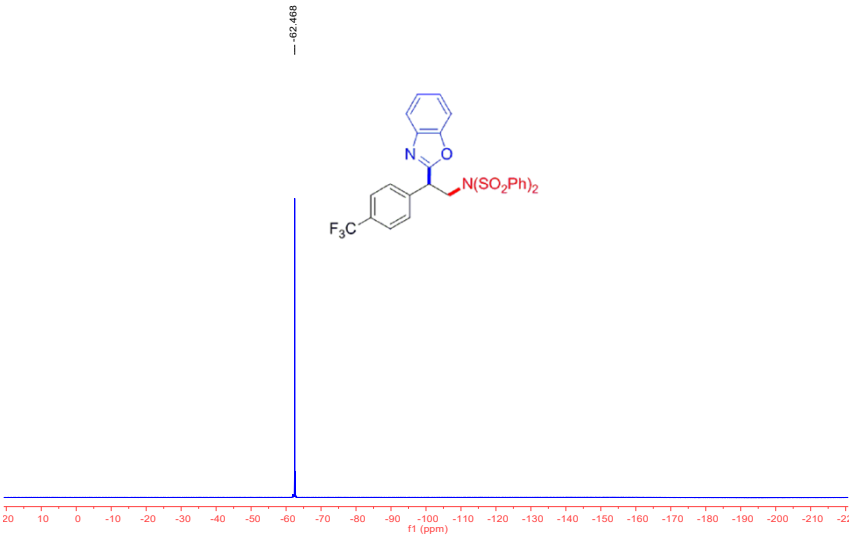


Figure S66. ^{19}F NMR spectrum (470 MHz) of **5h** in $CDCl_3$ at 25 °C

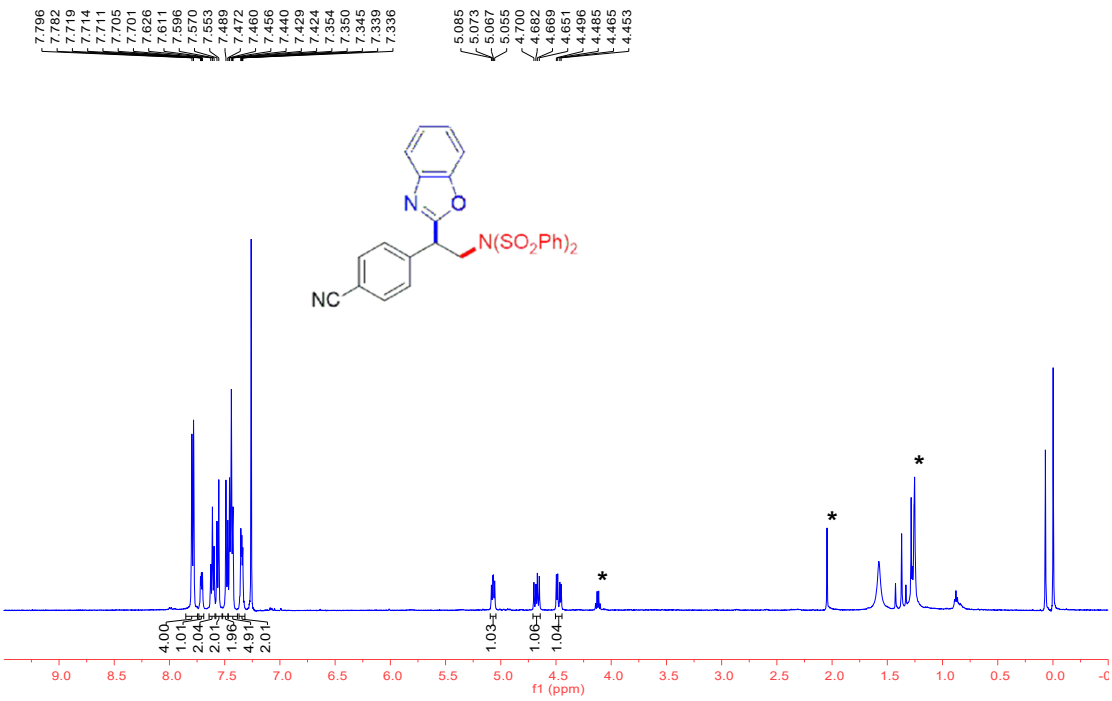


Figure S67. ^{1}H NMR spectrum (500 MHz) of **5i** in $CDCl_3$ at 25 °C. * = EtOAc

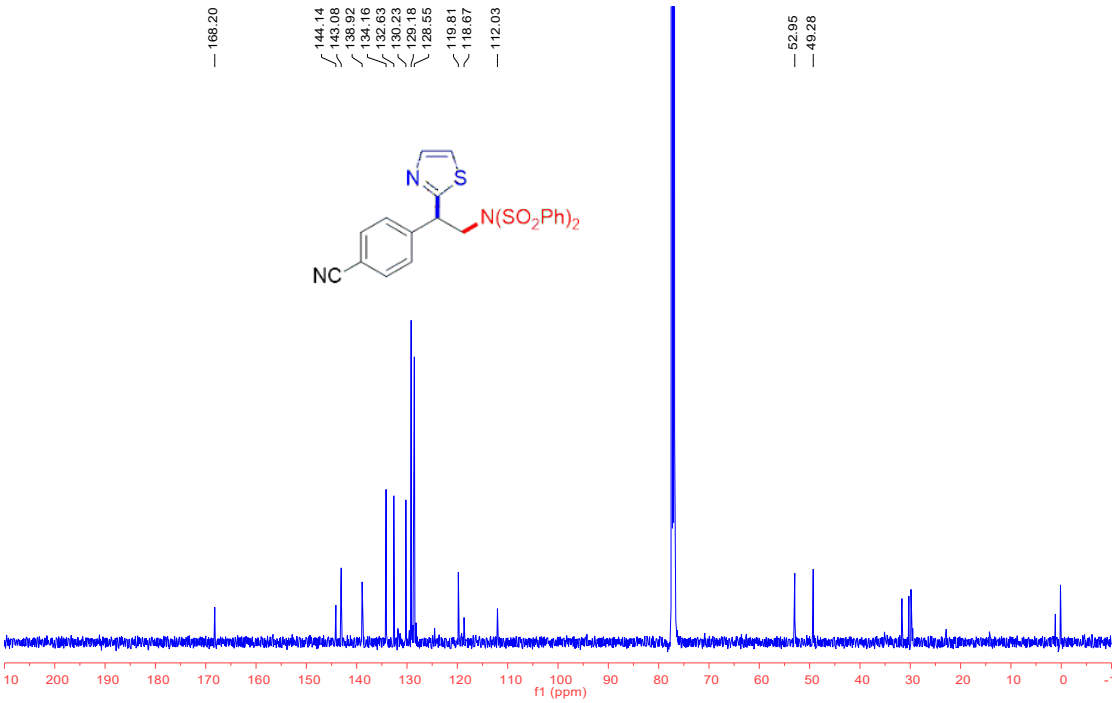


Figure S68. $^{13}C\{^{1}H\}$ NMR spectrum (125 MHz) of **5i** in $CDCl_3$ at 25 °C.

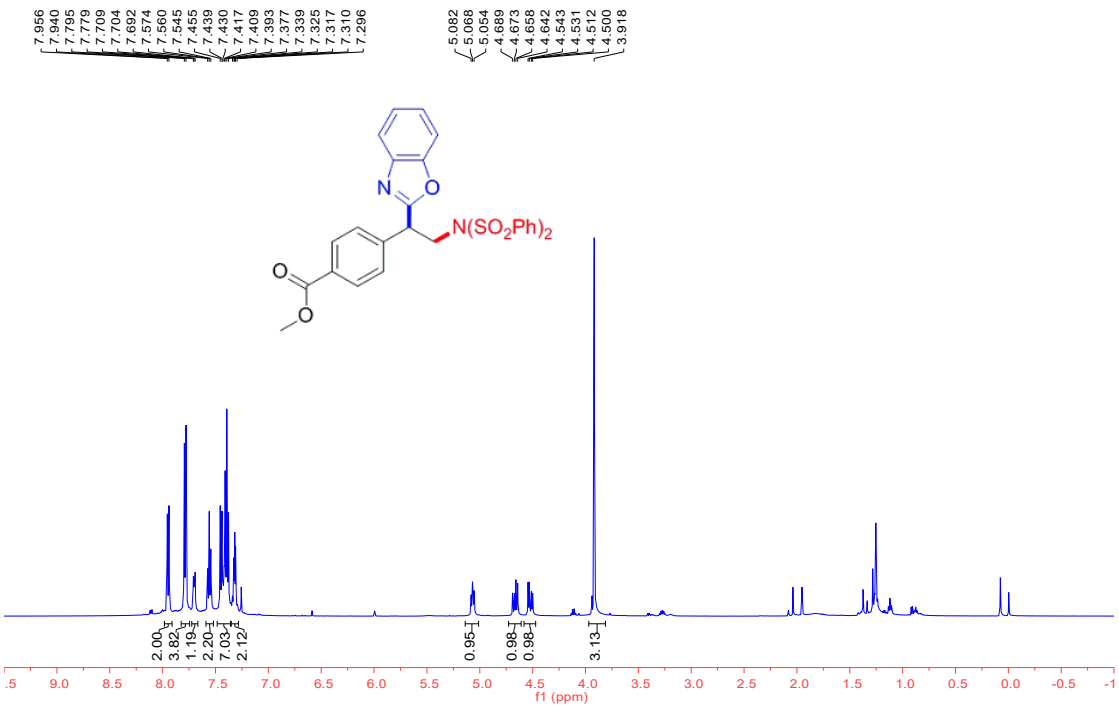


Figure S69. ^{1}H NMR spectrum (500 MHz) of **5j** in $CDCl_3$ at 25 ℃

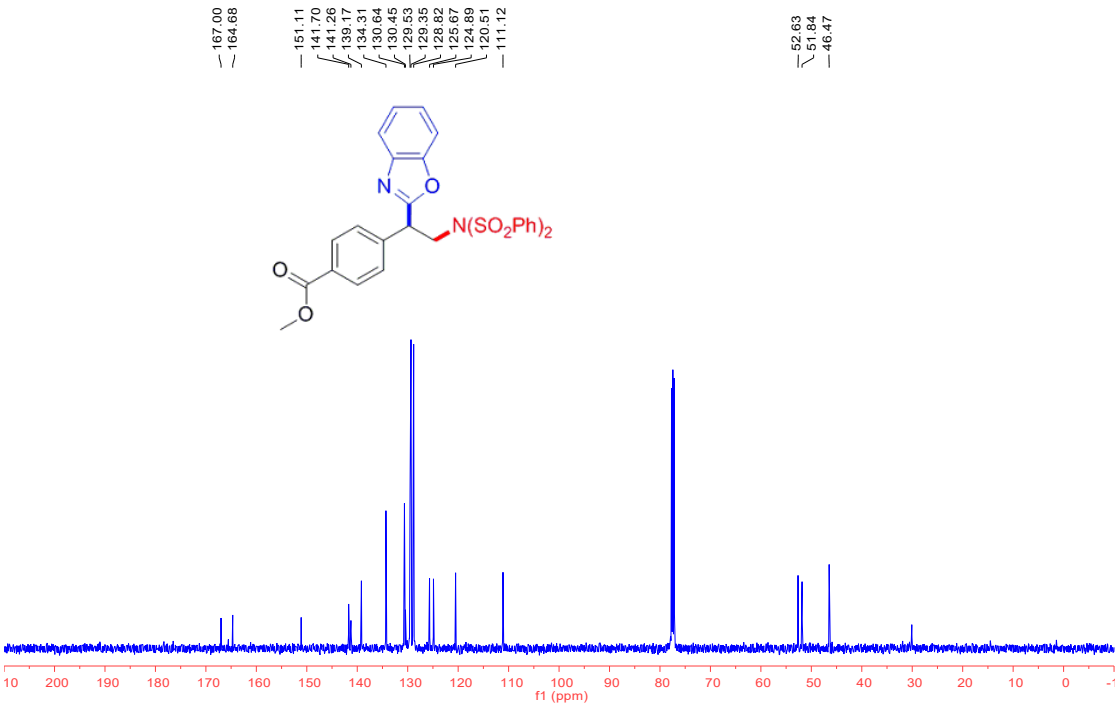


Figure S70. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5j** in $CDCl_3$ at 25 ℃

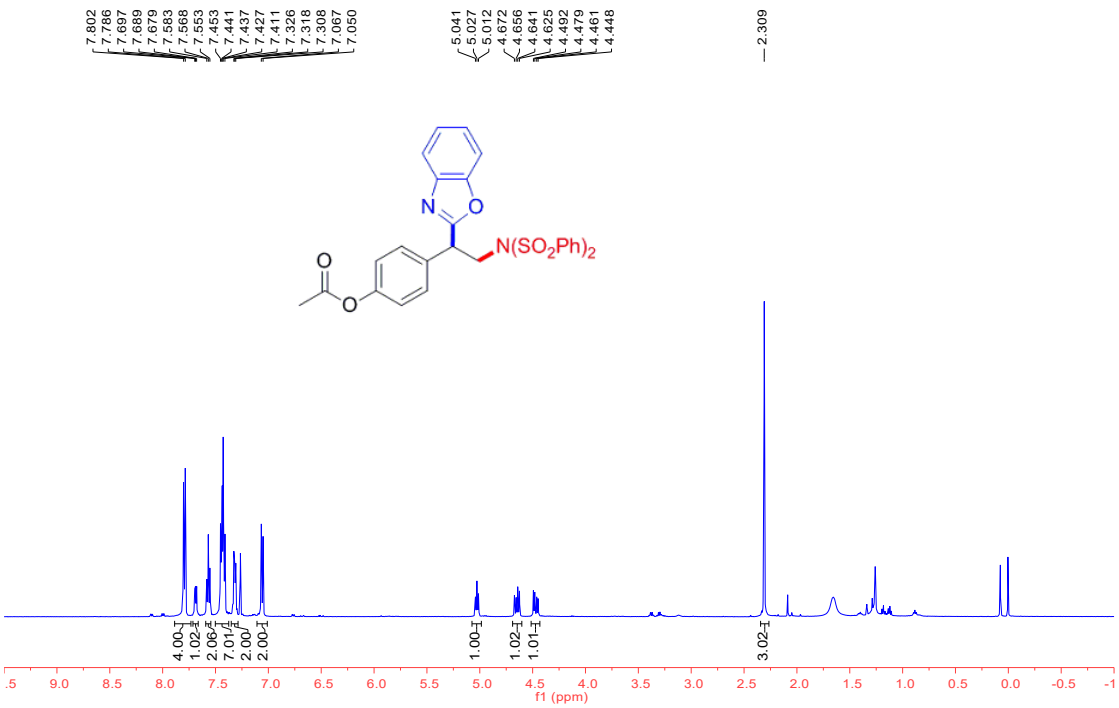


Figure S71. ^{1}H NMR spectrum (500 MHz) of **5k** in $CDCl_3$ at 25 ℃

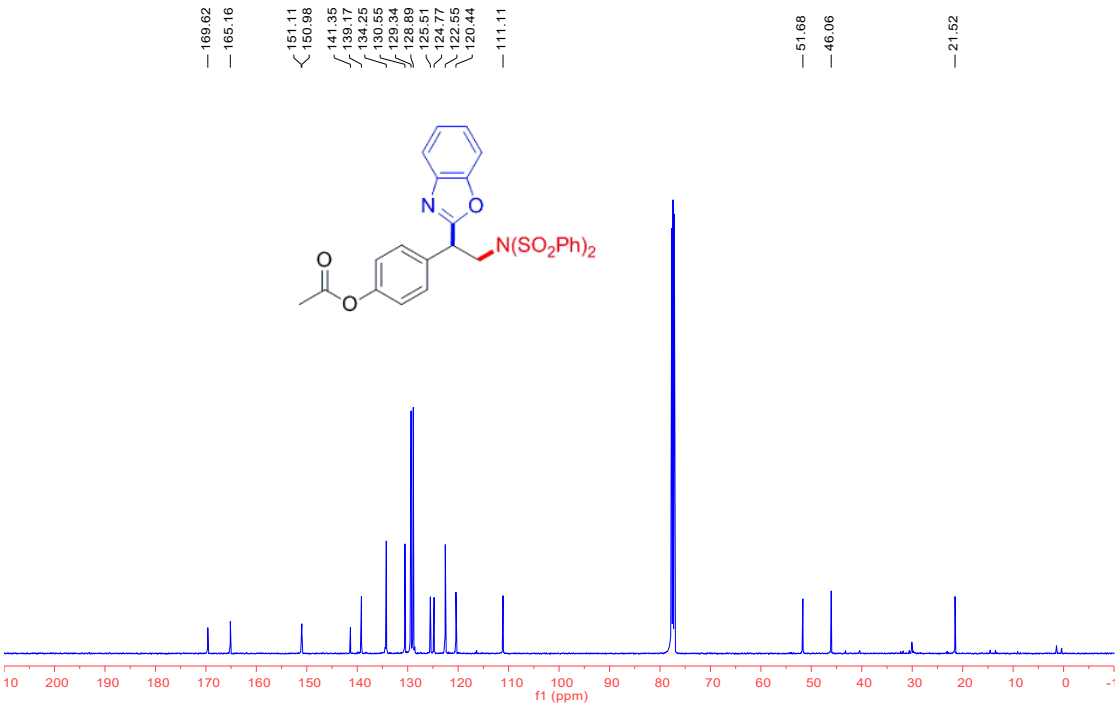


Figure S72. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5k** in $CDCl_3$ at 25 ℃

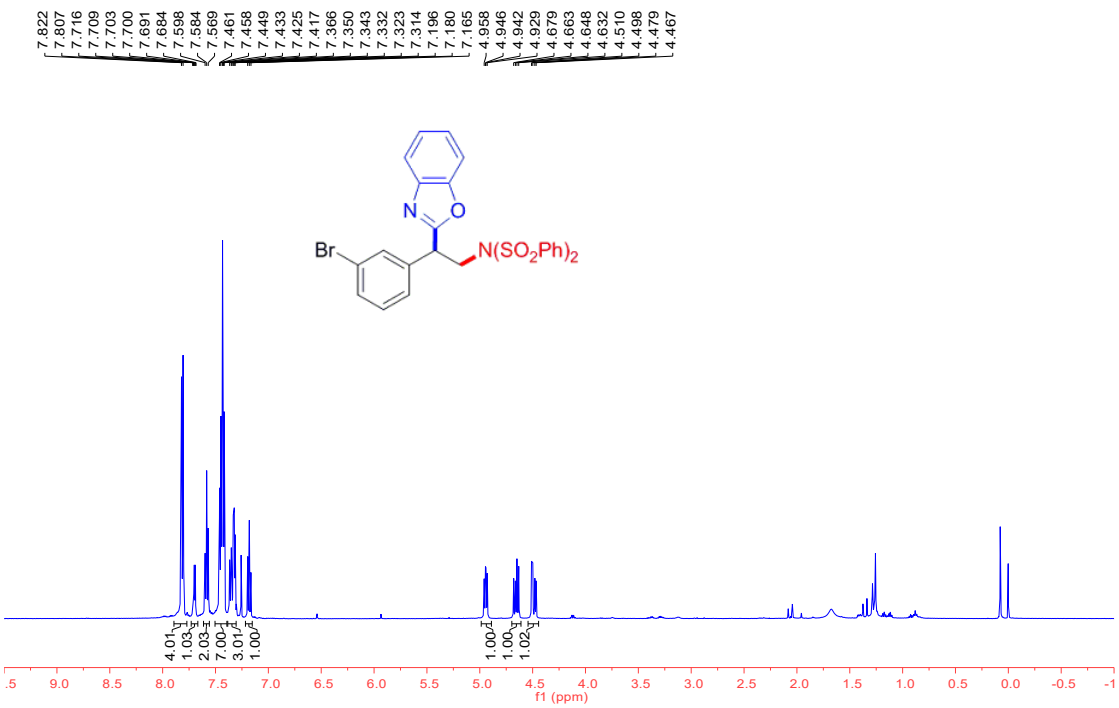


Figure S73. ^{1}H NMR spectrum (500 MHz) of **5l** in $CDCl_3$ at 25 ℃

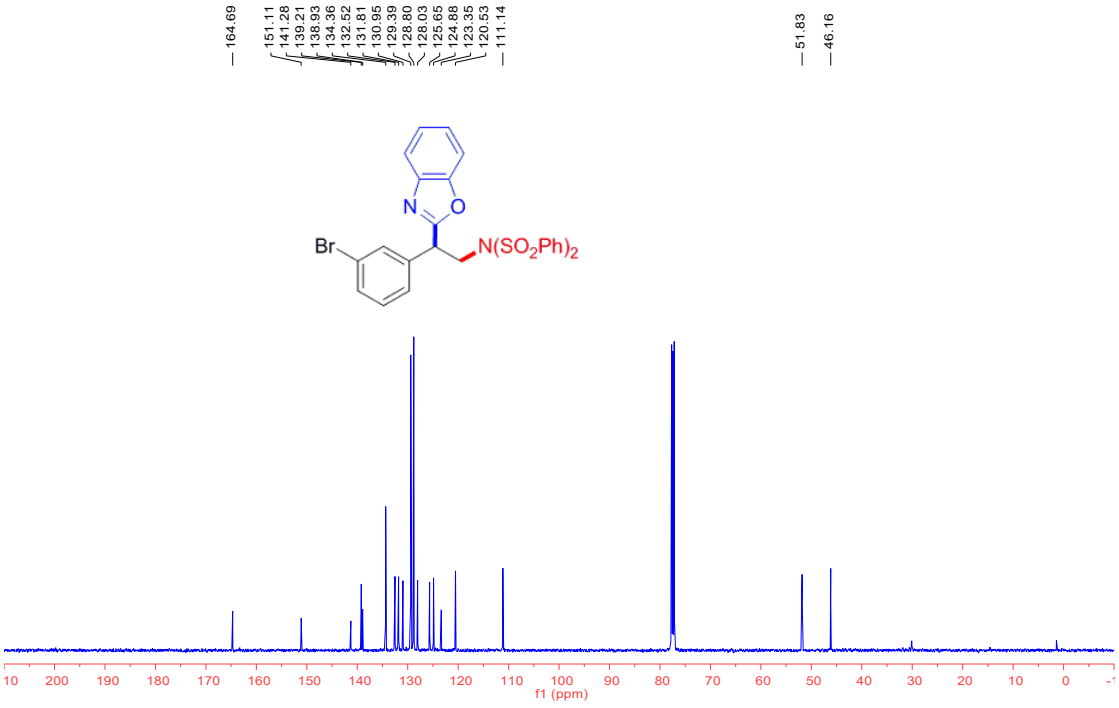


Figure S74. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5l** in $CDCl_3$ at 25 ℃

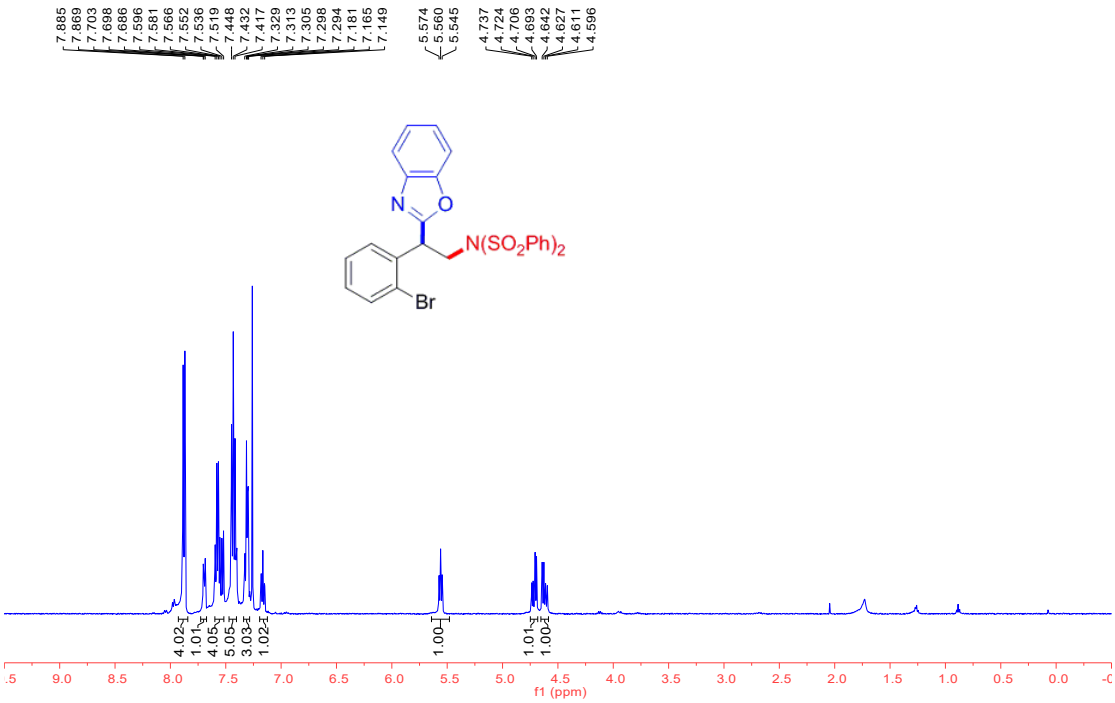


Figure S75. ^{1}H NMR spectrum (500 MHz) of **5m** in $CDCl_3$ at 25 °C

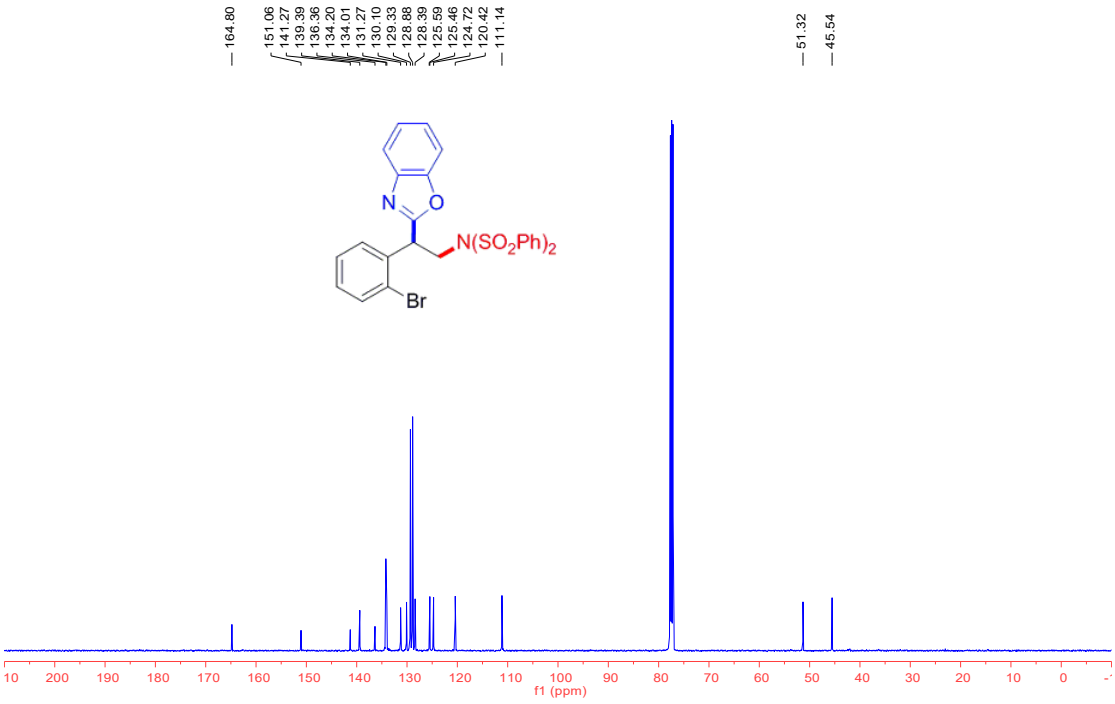


Figure S76. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5m** in $CDCl_3$ at 25 °C

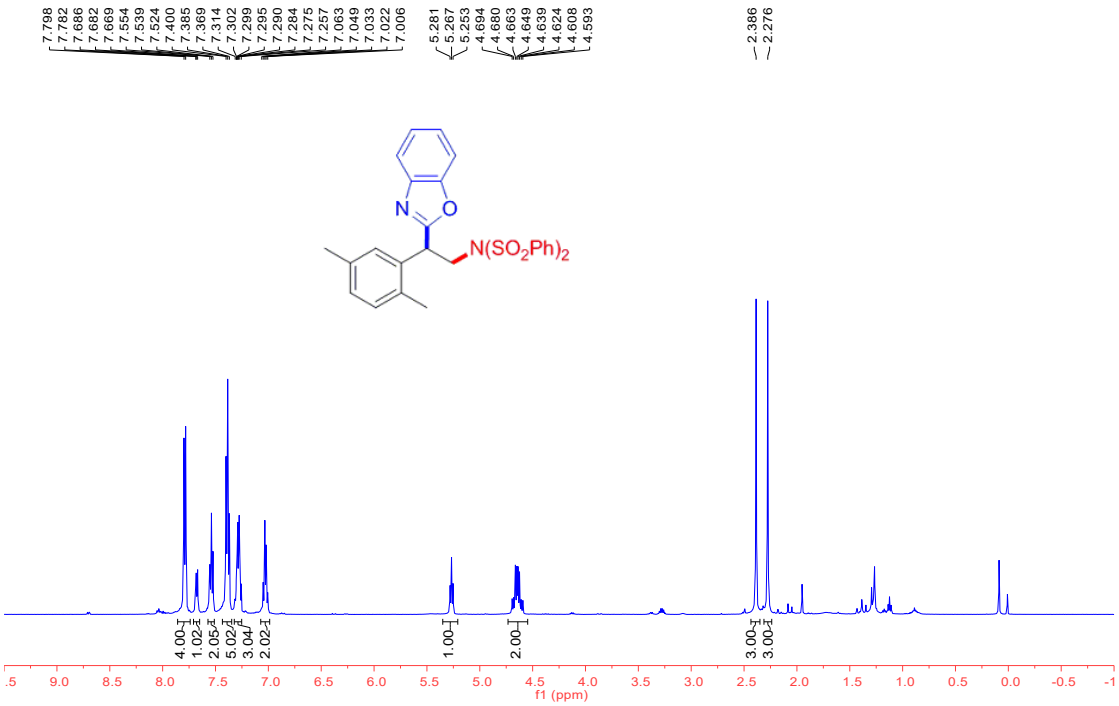


Figure S77. ^{1}H NMR spectrum (500 MHz) of **5n** in $CDCl_3$ at 25 ℃

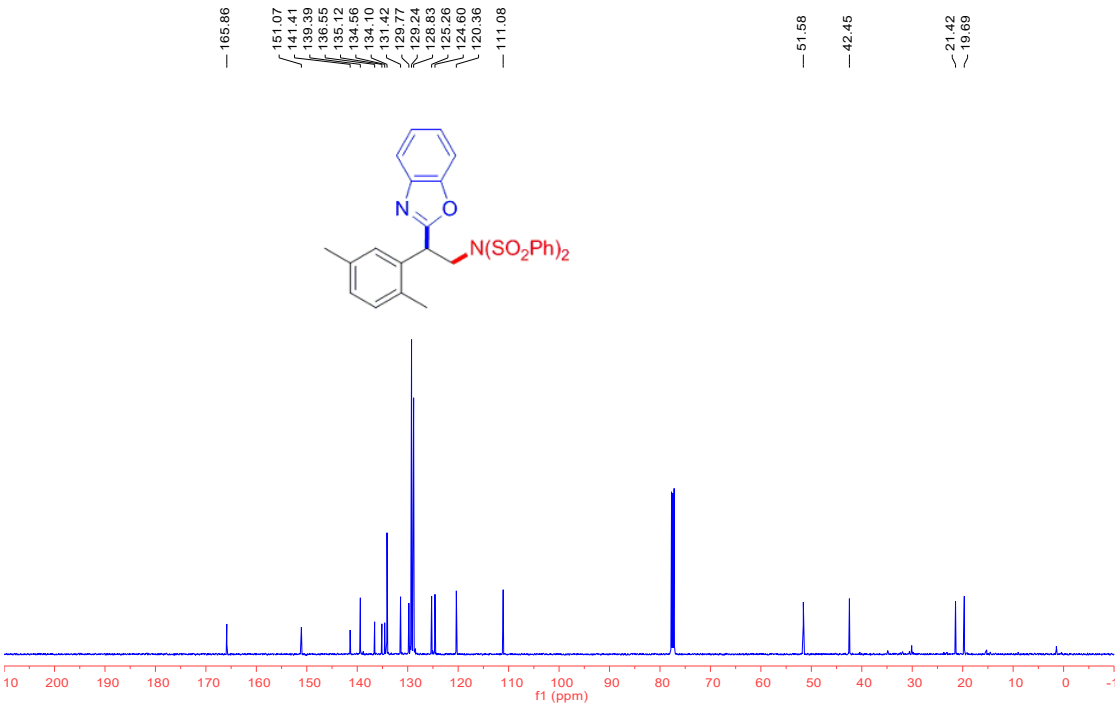


Figure S78. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5n** in $CDCl_3$ at 25 ℃

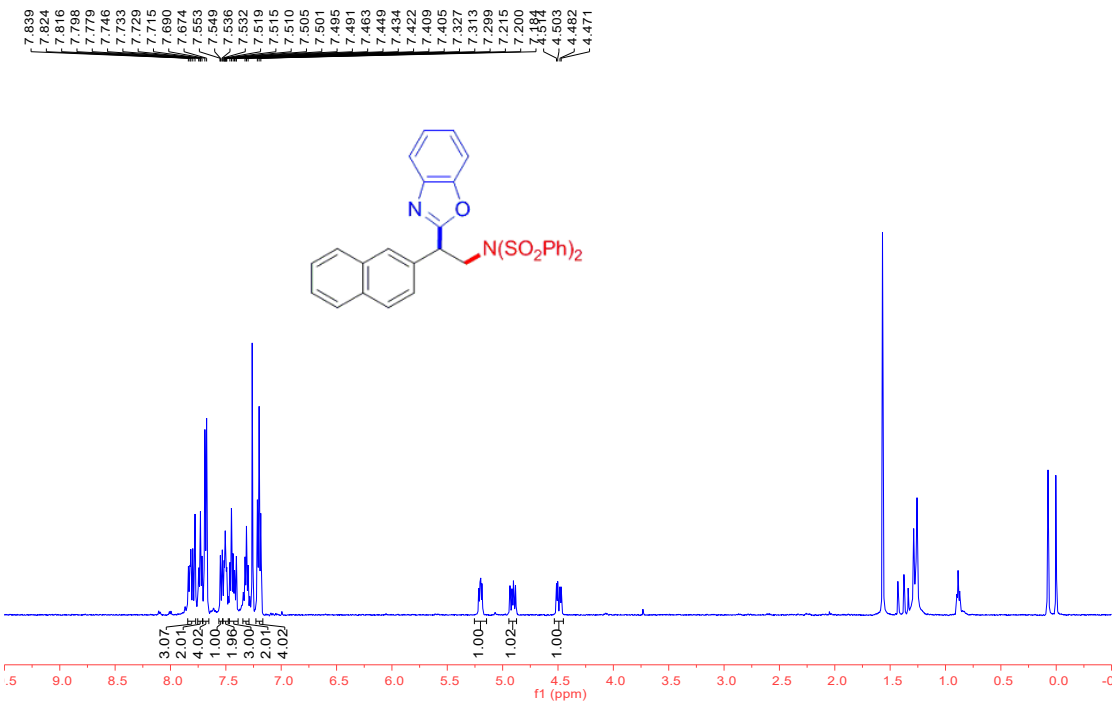


Figure S79. ^{1}H NMR spectrum (500 MHz) of **5o** in $CDCl_3$ at 25 °C

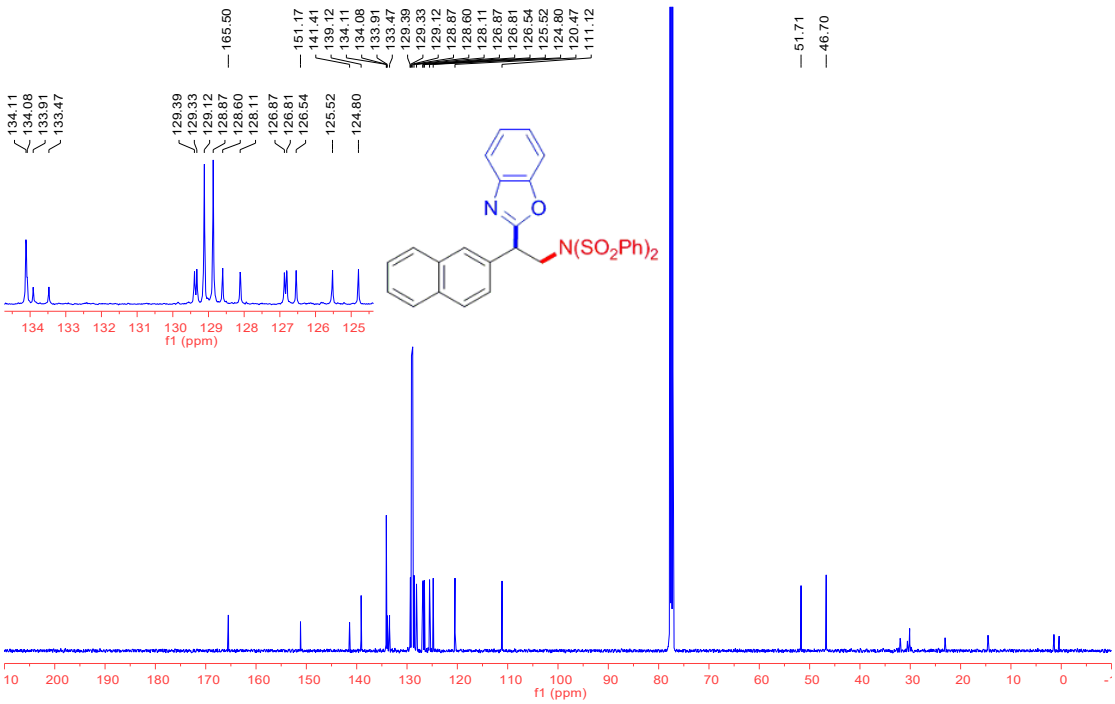


Figure S80. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5o** in $CDCl_3$ at 25 °C

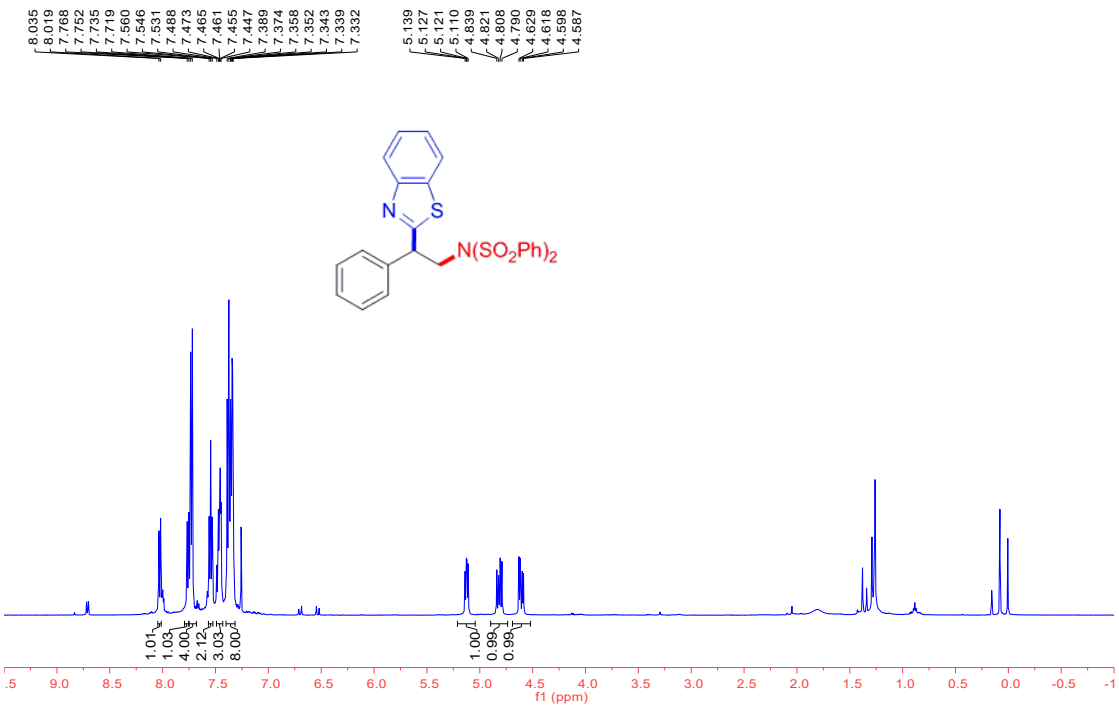


Figure S81. ^{1}H NMR spectrum (500 MHz) of **5p** in $CDCl_3$ at 25 ℃

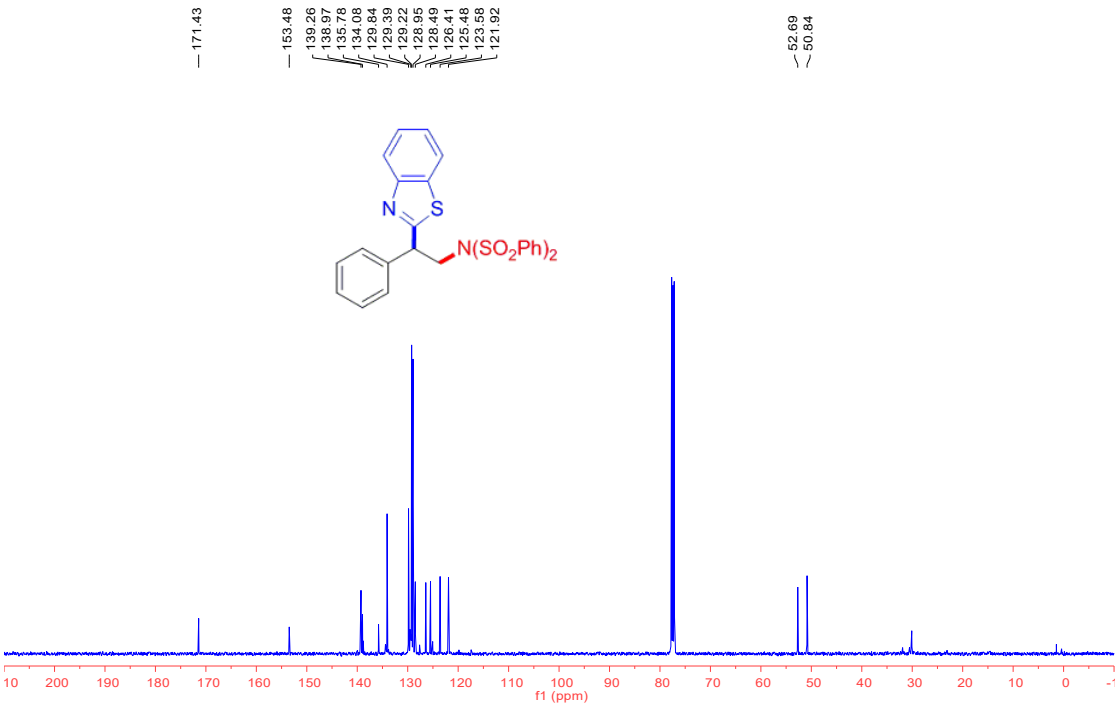


Figure S82. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **5p** in $CDCl_3$ at 25 ℃

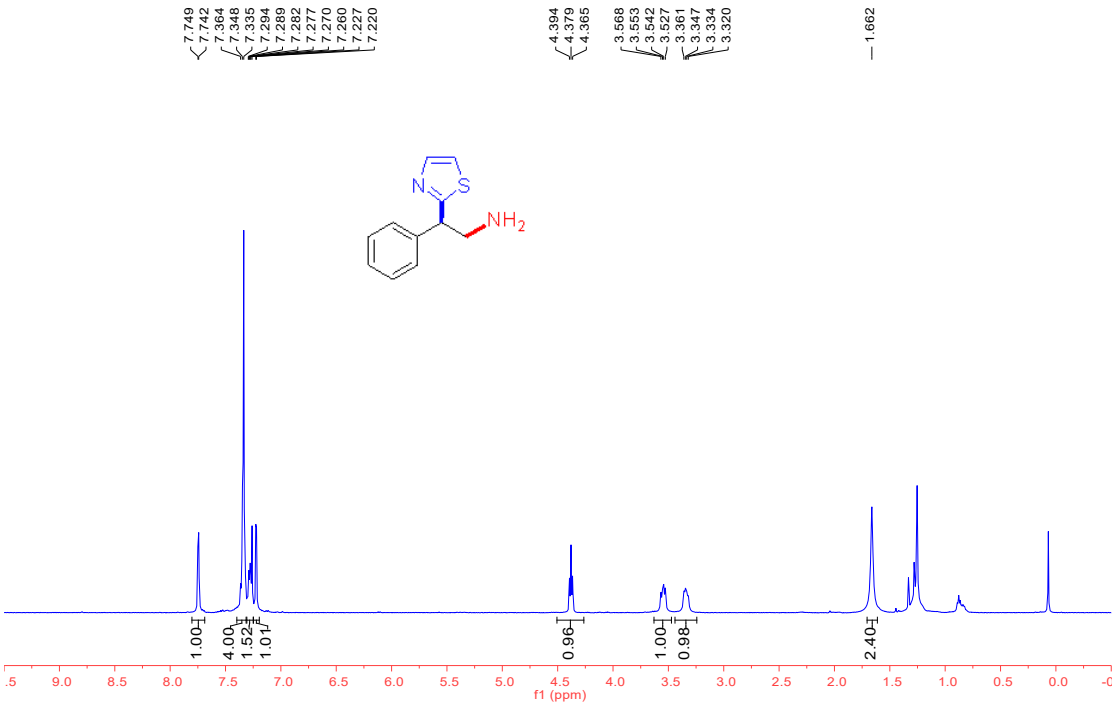


Figure S83. ^{1}H NMR spectrum (500 MHz) of **6** in $CDCl_3$ at 25 °C

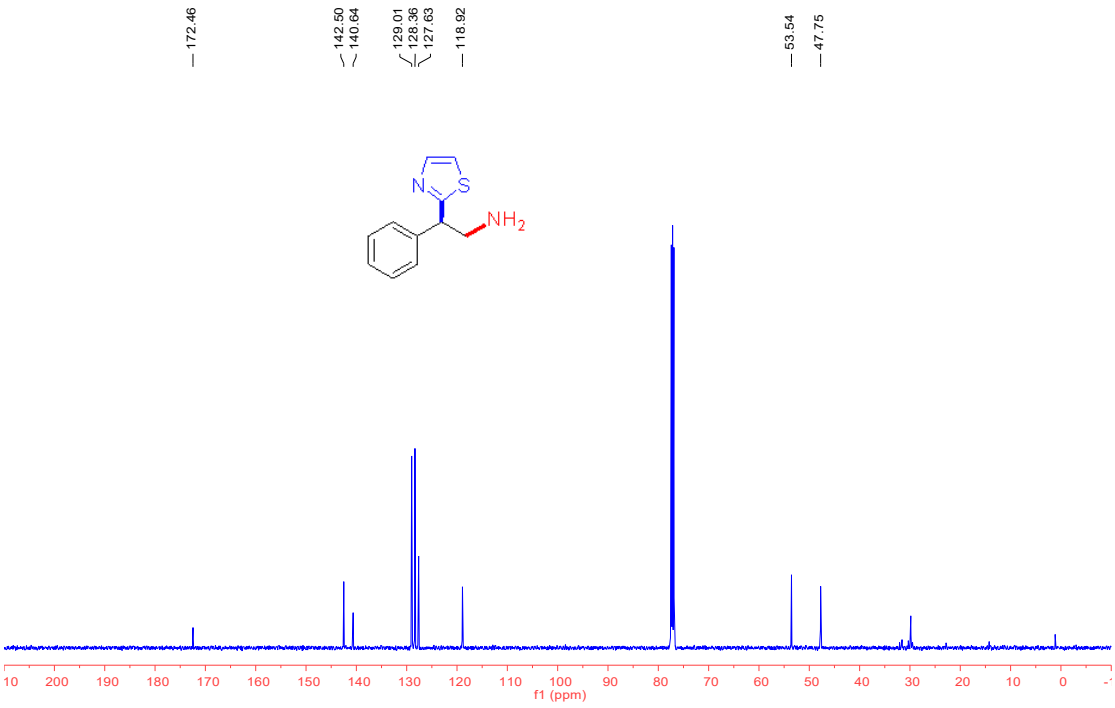


Figure S84. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **6** in $CDCl_3$ at 25 °C

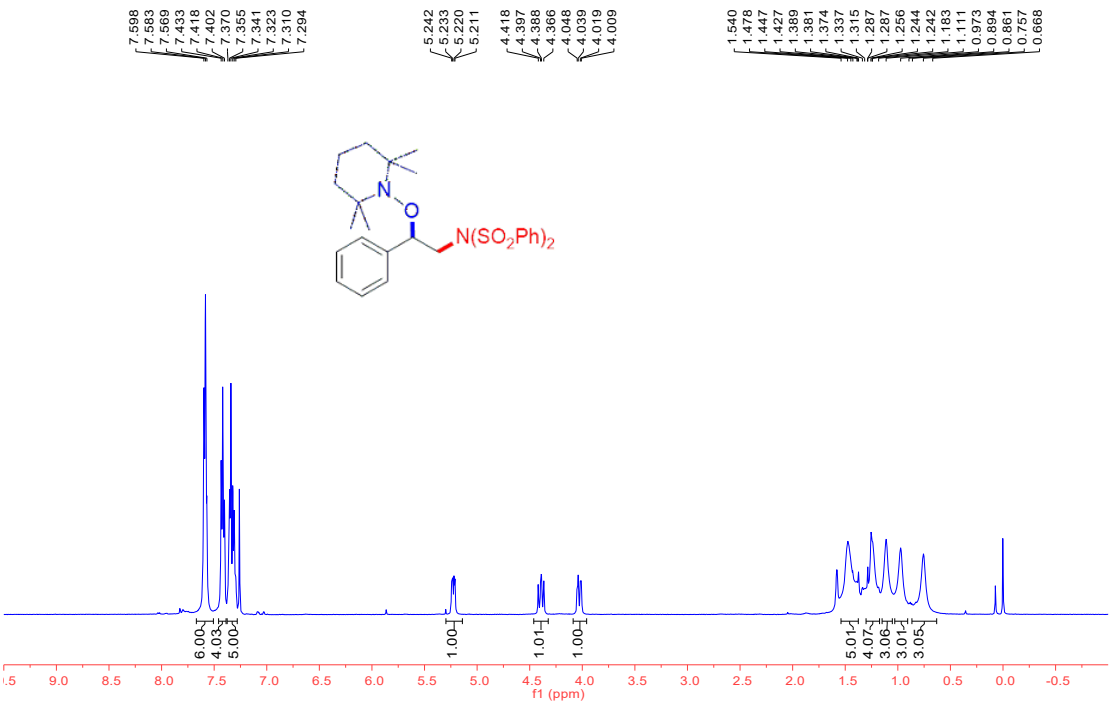


Figure S85. ^{1}H NMR spectrum (500 MHz) of **7** in $CDCl_3$ at 25 °C

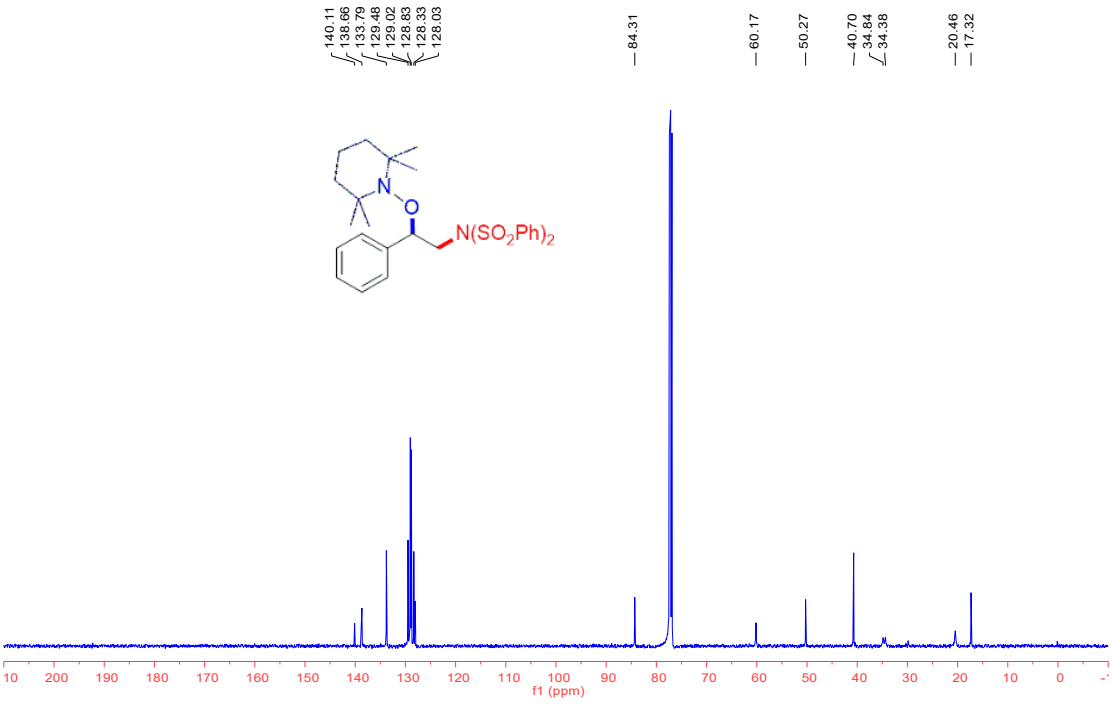


Figure S86. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **7** in $CDCl_3$ at 25 °C

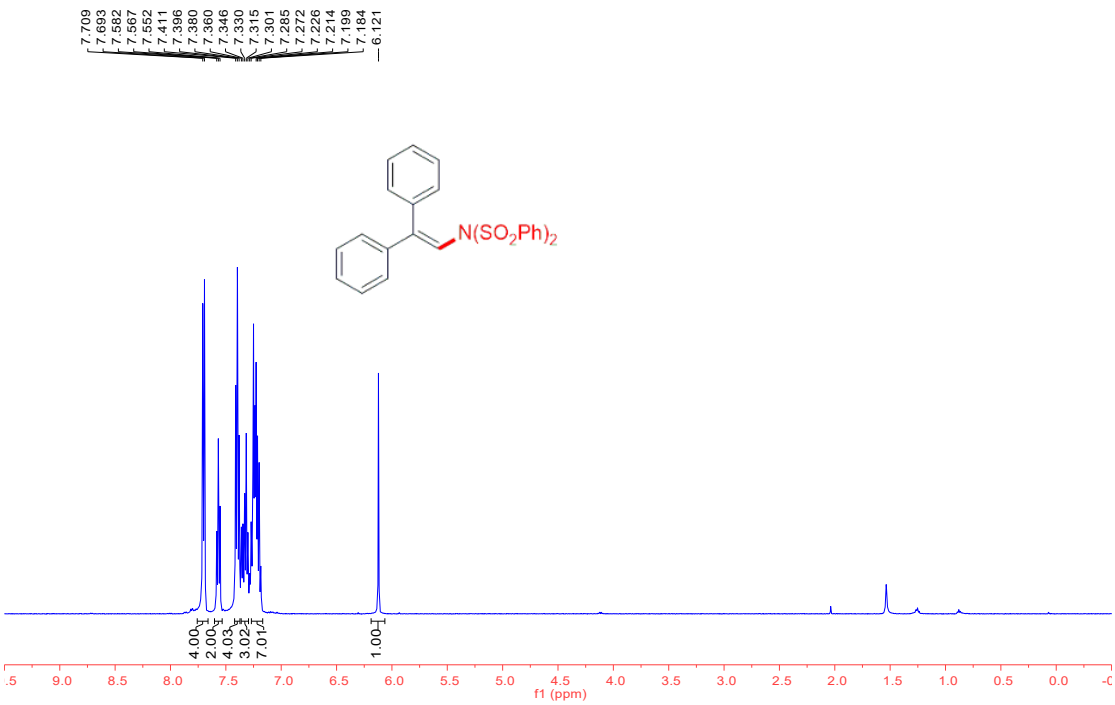


Figure S87. ^{1}H NMR spectrum (500 MHz) of **8** in $CDCl_3$ at 25 °C

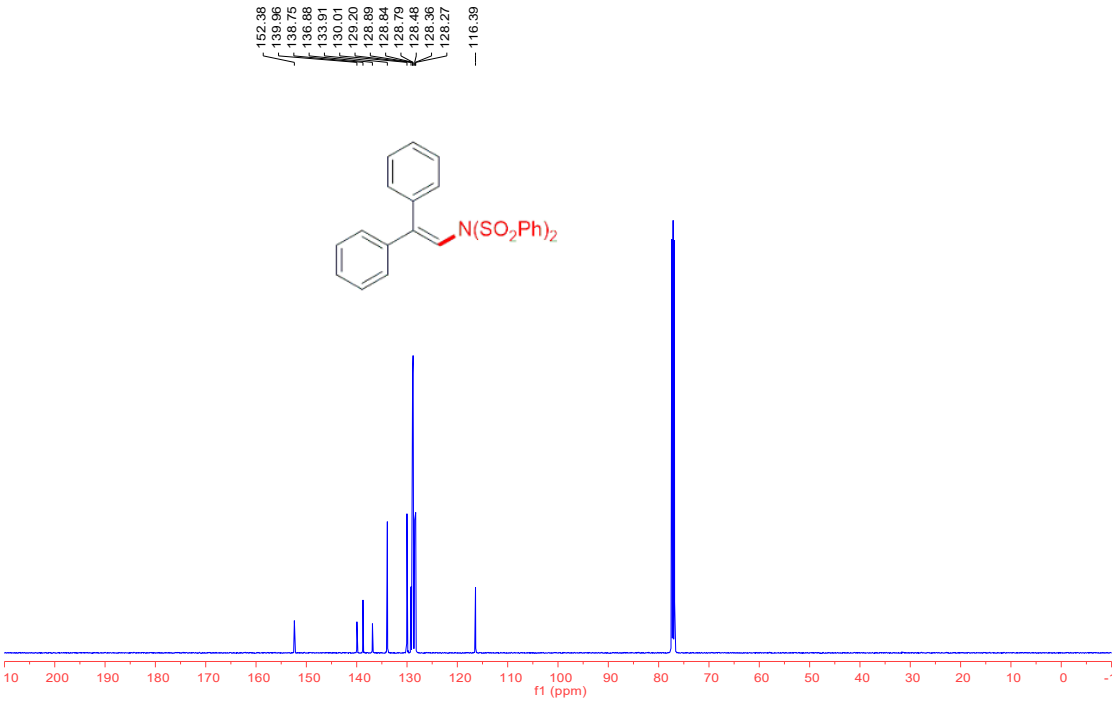


Figure S88. ^{13}C{^{1}H} NMR spectrum (125 MHz) of **8** in $CDCl_3$ at 25 °C